

**STIC-EIC1600/2900**

*339259*

STIC  
EIC  
1600/2900  
339259

**From:** STIC-EIC1600/2900@uspto.gov  
**Sent:** Thursday, August 05, 2010 8:53 PM  
**To:** Jaisle, Cecilia M.  
**Cc:** STIC-EIC1600/2900  
**Subject:** Confirmation Receipt: 1600 Search Request - 10595734

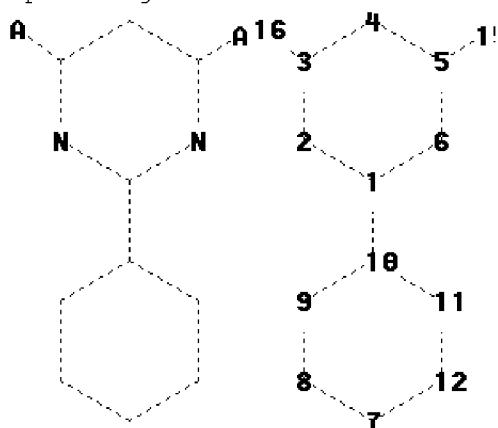
Additional Comments:

**Search compounds of formula (I) where R2, R3, R4 can be in any position of the pyrimidine ring.**

STIC ACCESSION No: 339259

Structures uploaded into STN REGISTRY

Uploading L1.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

15 16

chain bonds :

1-10 3-16 5-15

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-2 1-6 1-10 2-3 3-4 3-16 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

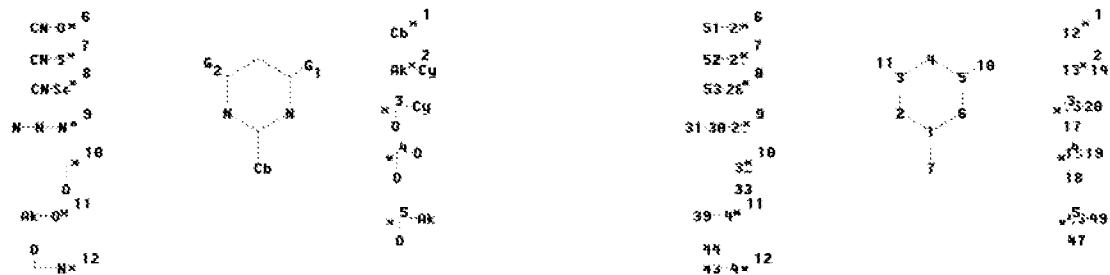
Connectivity :

2:2 E exact RC ring/chain 6:2 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 15:CLASS 16:CLASS

Uploading L17.str



chain nodes :

7 10 11 12 13 14 15 16 17 18 19 20 26 27 28 29 30 31 32 33 39  
 40 42 43 44 46 47 49 51 52 53

ring nodes :

1 2 3 4 5 6

chain bonds :

1-7 3-11 5-10 13-14 15-17 15-20 16-18 16-19 26-51 27-52 28-53 29-30 30-31

32-33 39-40 42-43 43-44 46-47 46-49

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 3-11 4-5 5-6 5-10 13-14 15-17 15-20 16-18 16-19  
 26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49

isolated ring systems :

containing 1 :

G1:O,S,N,[\*1],[\*2],[\*3],[\*4],[\*5]

G2:S,OH,SH,CN,NO2,Cy,Ak,[\*6],[\*7],[\*8],[\*9],[\*10],[\*11],[\*12]

Connectivity :

2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain  
 17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain

44:1 E exact RC

ring/chain 47:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom  
 13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom  
 26:CLASS 27:CLASS  
 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS  
 42:CLASS 43:CLASS  
 44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS

Generic attributes :

7:

Saturation : Unsaturated

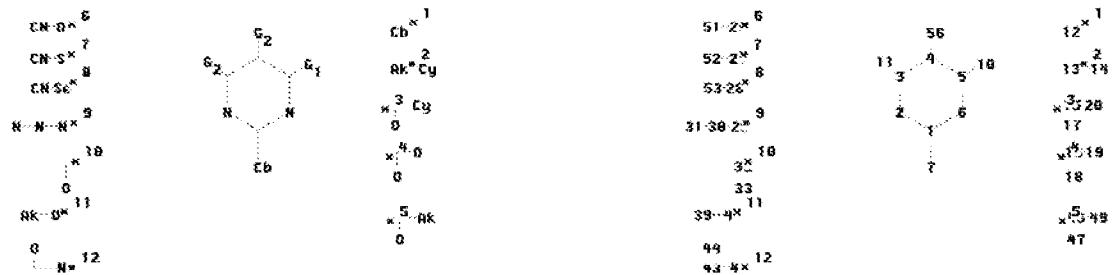
Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

14:

Saturation : Unsaturated

## Uploading L27.str



chain nodes :

ring nodes :

1 2 3 4 5 6

chain bonds :

1-7    3-11    4-56    5-10    13-14    15-17    15-20    16-18    16-19    26-51    27-52    28-53    29-  
30

30-31 32-33 39

ring bonds :

ring bonds:

exact/norm bonds : 1-2 1-6 1-7 2-3 3-4 3-11 4-5 4-56 5-6 5-10 13-14 15-17 15-20 16-18

16-19 26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49

isolated ring sys

containing 1 :

G1:O, S, N, [\*1], [\*2], [\*3], [\*4], [\*5]

G2:S, OH, SH, CN, NO<sub>2</sub>, Cy, Ak, [\*6], [\*7], [\*8], [\*9], [\*10], [\*11], [\*12]

Connectivity :

2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain  
17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain  
44:1 E exact RC

ring/chain 47:1 E exact. RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom  
13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom

15.CLASS 14:ACOM 15.CLASS 16.CLASS 17.CLASS 18.CLASS 19.CLASS 20:ACOM

28·CLASS 29·CLASS

20.CLASS 29.CLASS 30.CLASS 31.CLASS 32.CLASS 33.CLASS 34.CLASS 35.CLASS

44:CLASS 46:CLASS

11.CECLASS 12.CECLASS 13.CECLASS 14.CECLASS 15.CECLASS 16.CECLASS 17.CECLASS 18.CECLASS

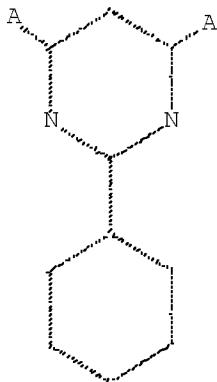
7:

•

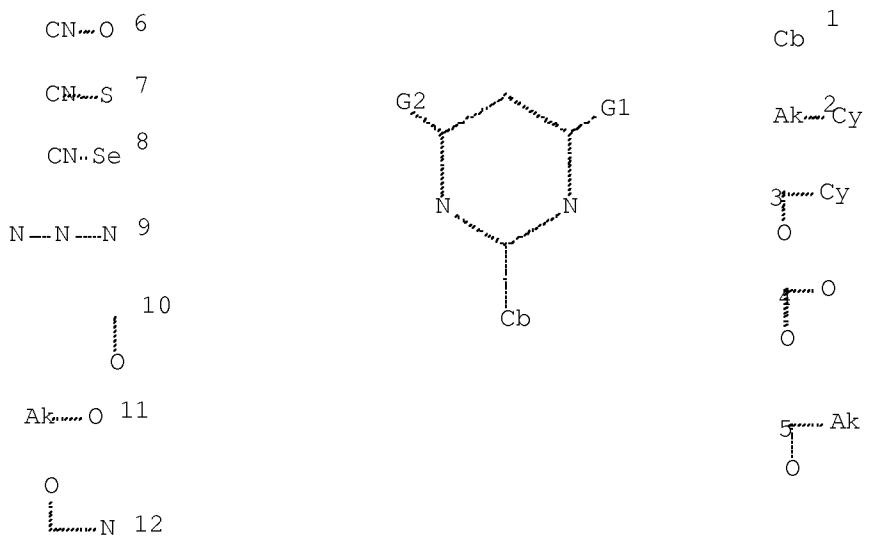
Saturation : Unsaturated  
Number of Carbon Atoms : less than 7  
Type of Ring System : Monocyclic  
14:  
Saturation : Unsaturated

Structure search history

=> d stat query L55  
 L1 STR



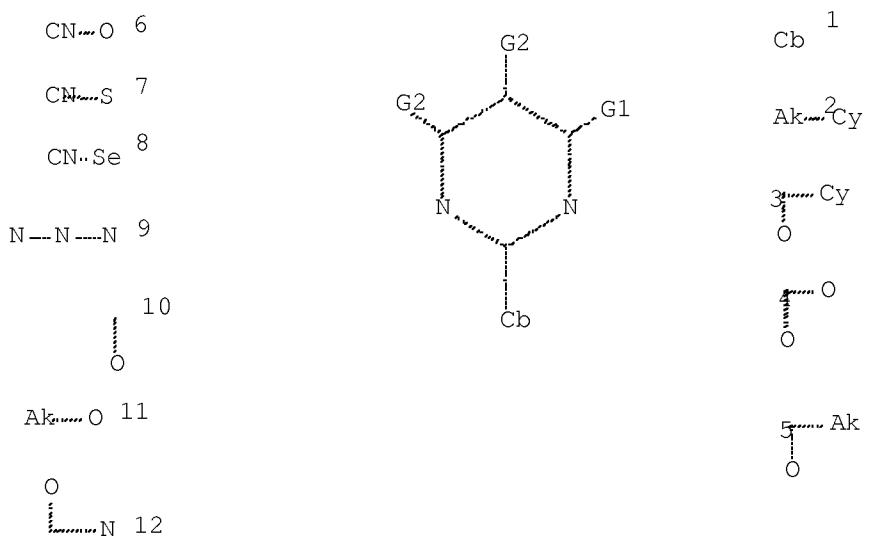
Structure attributes must be viewed using STN Express query preparation.  
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 L5 143 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON (103-90-2/BI OR  
 11041-12-6/BI OR 1247-42-3/BI OR 134523-00-5/BI OR 1406-18-4/BI  
 OR 141907-41-7/BI OR 14417-88-0/BI OR 15687-27-1/BI OR  
 23187-87-3/BI OR 23288-49-5/BI OR 25812-30-0/BI OR 299406-55-6/  
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 300719-05-5/BI OR 300837-31-4/BI OR 303147-11-7/BI OR 303147-12  
 -8/BI OR 303147-40-2/BI OR 303147-41-3/BI OR 303147-45-7/BI OR  
 306980-56-3/BI OR 306980-58-5/BI OR 307332-77-0/BI OR 307332-78  
 -1/BI OR 312499-77-7/BI OR 312626-14-5/BI OR 312626-15-6/BI OR  
 315194-30-0/BI OR 320418-43-7/BI OR 320418-48-2/BI OR 320418-49  
 -3/BI OR 320421-36-1/BI OR 329077-80-7/BI OR 329900-75-6/BI OR  
 329967-85-3/BI OR 330221-00-6/BI OR 330819-79-9/BI OR 330981-36  
 -7/BI OR 330981-37-8/BI OR 330981-38-9/BI OR 330981-39-0/BI OR  
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 338960-73-9/BI OR 338960-74-0/BI OR 338960-75-1/BI OR 338960-76  
 -2/BI OR 338960-93-3/BI OR 338960-99-9/BI OR 338967-63-8/BI OR  
 339279-05-9/BI OR 339279-06-0/BI OR 339279-07-1/BI OR 339279-08  
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 478031-59-3/BI OR  
 L6 84 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L3 AND L5  
 L17 STR



Structure attributes must be viewed using STN Express query preparation.

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L19      11720 SEA FILE=REGISTRY SUB=L3 SSS FUL L17
L20      27 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L19 AND L5
L22      717 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L19
L23      598 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L22 AND (AY<2007 OR
          PY<2007 OR PRY<2007 OR REVIEW/DT)
L24      184 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L23 AND (THU/RL OR
          DGN/RL OR DMA/RL OR PAC/RL OR PKT/RL)
L25      2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L20
L26      2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L6
L27      STR
  
```



Structure attributes must be viewed using STN Express query preparation.

L29           3855 SEA FILE=REGISTRY SUB=L3 SSS FUL L27  
 L30           STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

L32           7345 SEA FILE=REGISTRY SUB=L3 SSS FUL L30  
 L35           71 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L29  
 L36           108 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L24 AND L32  
 L37           158 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L35 OR L36)  
 L38           83538 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON PHARMACEUTICALS+NT, PFT  
               /CT  
 L39           2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND L38  
 L40           59 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L5 NOT L6  
 L41           10086 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON TUMOR NECROSIS  
               FACTOR  
 L42           12404 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON COX1  
 L43           4548 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON COX2  
 L44           1 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND L6 AND L40  
 L45           3 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND (L41 OR L42  
               OR L43)  
 L46           46 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L37 AND (INFLAM? OR  
               ANTINFLAM? OR ANTI(W) INFLAM? OR ANTIPYR? OR ANTI(W) PYRET?)  
 L47           2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L36 OR L37) AND L6  
 L48           48 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L25 OR L26) OR L39  
               OR (L44 OR L45 OR L46 OR L47)  
 L53           39 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L48 AND (AY<2005 OR  
               PY<2005 OR PRY<2005 OR REVIEW/DT)  
 L54           2 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L6 AND L53  
 L55           39 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L53 OR L54)

## Structure search results

=> d L55 1-39 ibib ed abs hitrn hitstr

L55 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2007:941927 HCAPLUS Full-text  
DOCUMENT NUMBER: 147:300862  
TITLE: Preparation of 3-chloro-4-isopropoxybenzamide and  
3-cyano-4-isopropoxybenzamide derivatives as  
inhibitors of mitotic kinesins  
INVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie;  
Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Huang,  
Jennifer Kuo Chen; Wang, Jianchao; Morgans, David J.;  
Morgan, Bradley P.; Bergnes, Gustave; Dhanak,  
Dashyant; Knight, Steven D.; Adams, Nicholas D.;  
Parrish, Cynthia A.; Duffy, Kevin; Fitch, Duke;  
Tedesco, Rosanna  
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 253 pp., Cont.-in-part of U.S.  
Ser. No. 121,709.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

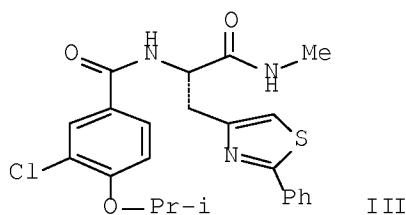
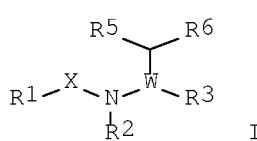
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070197481	A1	20070823	US 2005-124608	20050506 <--
US 7618981	B2	20091117	US 2005-121709	20050503 <--
US 20060094708	A1	20060504		
US 20060247289	A1	20061102	US 2005-271147	20051109 <--
US 7504413	B2	20090317		
US 20080255182	A1	20081016	US 2008-7143	20080107 <--
US 20090306127	A1	20091210	US 2009-350114	20090107 <--
US 20090312365	A1	20091217	US 2009-350094	20090107 <--
US 20090286841	A1	20091119	US 2009-396345	20090302 <--
US 20100069453	A1	20100318	US 2009-541015	20090813 <--
PRIORITY APPLN. INFO.:			US 2004-569510P	P 20040506 <--
			US 2005-121709	A2 20050503 <--
			US 2005-124608	A2 20050506 <--
			US 2005-271147	A3 20051109 <--
			US 2006-598250	A1 20061108 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:300862

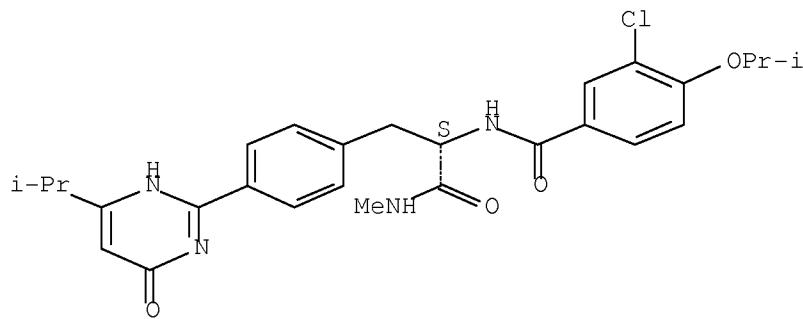
ED      Entered STN: 24 Aug 2007

GI



- AB Title compds. I [R1 = (un)substituted (hetero)aryl, heterocyclyl; X = CO, SO<sub>2</sub>; R2 = H, (un)substituted lower alkyl; W = CR<sub>4</sub>, CH<sub>2</sub>CR<sub>4</sub>, N; R3 = COR<sub>7</sub>, H, CN, (un)substituted alkyl, heterocyclyl, aryl, sulfonyl; R4 = H, (un)substituted alkyl; R5 = H, HO, (un)substituted amino, heterocyclyl, or lower alkyl; R6 = H, (un)substituted alkyl, alkoxy, (hetero)aryloxy, alkoxycarbonyl, aminocarbonyl, (hetero)aryl, etc.; R7 = HO, (un)substituted lower alkyl, aryl, amino, aralkoxy, or alkoxy; provided that if W is N, then R5 is not hydroxy or (un)substituted amino, and R6 is not optionally substituted alkoxy, optionally substituted aralkoxy, optionally substituted heteroaralkoxy, or optionally substituted amino; and their pharmaceutically acceptable salts, solvates, chelates, non-covalent complexes, prodrugs, and their mixts.] were prepared Compds. I including N-benzoyl-amino alcs., N-benzoyl-amino acid amide, N-benzoylemicarbazine, and N-benzoyl-diamine derivs. are inhibitors of one or more mitotic kinesins and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, fungal disorders, and inflammation by modulating the activity of one or more mitotic kinesins. Thus, cyclocondensation of (2S)-2-(tert-butoxycarbonylamino)-5-bromo-4-oxopentanoic acid Me ester with thiobenzamide in the presence of diisopropylethylamine in methanol under refluxing for 24 h gave (2S)-2-(tert-butoxycarbonylamino)-3-(2-phenylthiazol-4-yl)propanoic acid which was treated with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> at room temperature for 10 min to give (2S)-2-amino-3-(2-phenylthiazol-4-yl)propanoic acid (II). II was condensed with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester in the presence of diisopropylethylamine in DMF at room temperature to give (2S)-N-methyl-2-[(3-chloro-4-isopropoxybenzoyl)amino]-3-(2-phenylthiazol-4-yl) propanamide (III). Selected I showed GI<sub>50</sub> (50% growth inhibition concentration) of ≤10 μM against human ovarian tumor cells Skov-3.
- IT 869566-64-3P, (2S)-N-Methyl-2-[(3-chloro-4-isopropoxybenzoyl)amino]-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2-yl)phenyl]propanamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and N-benzoylemicarbazine derivs. as inhibitors of mitotic kinesins)
- IT 869566-64-3P, (2S)-N-Methyl-2-[(3-chloro-4-isopropoxybenzoyl)amino]-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2-yl)phenyl]propanamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and N-benzoylemicarbazine derivs. as inhibitors of mitotic kinesins)
- RN 869566-64-3 HCPLUS
- CN Benzene propanamide, α-[(3-chloro-4-(1-methylethoxy)benzoyl)amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, (αS)-(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L55 ANSWER 2 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:705111 HCPLUS [Full-text](#)  
 DOCUMENT NUMBER: 147:143660  
 TITLE: Preparation of 3-chloro-4-isopropoxybenzamide and  
3-cyano-4-isopropoxybenzamide derivatives as  
inhibitors of mitotic kinesins  
 INVENTOR(S): Qian, Xiangping; Ashcraft, Luke W.; Wang, Jianchao;  
Yao, Bing; Jiang, Hong; Bergnes, Gustave; Morgan,  
Bradley P.; Morgans, David J.; Dhanak, Dashyant;  
Knight, Steven D.; Adams, Nicholas D.; Parrish,  
Cynthia A.; Duffy, Kevin J.; Fitch, Duke; Tedesco,  
Rosanna  
 PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA; Cytokinetics,  
Incorporated  
 SOURCE: U.S. Pat. Appl. Publ., 171 pp., Cont.-in-part of U.S.  
Ser. No. 271,147.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

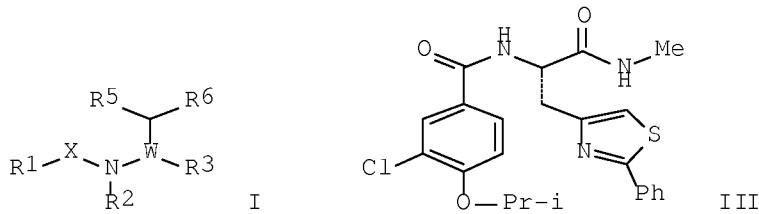
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070149516	A1	20070628	US 2006-598250	20061108 <--
US 7582668	B2	20090901		
US 20060247289	A1	20061102	US 2005-271147	20051109 <--
US 7504413	B2	20090317		
US 20100069453	A1	20100318	US 2009-541015	20090813 <--
PRIORITY APPLN. INFO.:			US 2005-271147	A2 20051109 <--
			US 2004-569510P	P 20040506 <--
			US 2005-121709	A2 20050503 <--
			US 2005-124608	A2 20050506 <--
			US 2006-598250	A1 20061108 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 147:143660

ED Entered STN: 29 Jun 2007

GI



The title compds. [I; R1 = 3-halo-4-((R)-1,1,1-trifluoropropan-2-yloxy)phenyl, 3-cyano-4-((R)-1,1,1-trifluoropropan-2-yloxy)phenyl, 3-halo-4-isopropylaminophenyl, 3-cyano-4-isopropylaminophenyl, 3-halo-4-((R)-1,1,1-trifluoropropan-2-ylamino)phenyl, 3-cyano-4-((R)-1,1,1-trifluoropropan-2-ylamino)phenyl; X = CO, SO<sub>2</sub>; R2 = H, (un)substituted lower alkyl; W = CR<sub>4</sub>, CH<sub>2</sub>CR<sub>4</sub>, N; R3 = COR<sub>7</sub>, H, each (un)substituted substituted alkyl, heterocycloalkyl, heteroaryl, or aryl, cyano, sulfonyl; R4 = H, (un)substituted alkyl; R5 = H, HO, each (un)substituted amino, cycloalkyl, heterocycloalkyl, heteroaryl, or lower alkyl; R6 = H, CONH<sub>2</sub>, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxycarbonyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl; R7 = HO, each (un)substituted lower alkyl, aryl, amino, aralkoxy, or alkoxy; provided that if W is N, then R5 is not hydroxy or (un)substituted amino, and R6 is not optionally substituted alkoxy, optionally substituted aralkoxy, optionally substituted heteroaralkoxy, or optionally substituted amino] are prepared (1R)-1-(methoxycarbonylamino)-1-[4-[4-[(2S)-2-[[[4-((1R)-2,2,2-trifluoroisopropyl)oxy)-3-chlorophenyl]carbonyl]amino]-4-hydroxybutyl]phenyl]-1-ethylimidazol-2-yl]ethane. These compds. including N-benzoyl-amino alcs., N-benzoyl-amino acid amide, N-benzoylsemicbazide, and N-benzoyl-diamine derivs. are inhibitors of one or more mitotic kinesins and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders, fungal disorders, and inflammation by modulating the activity of one or more mitotic kinesins. Thus, cyclocondensation of (2S)-2-(tert-butoxycarbonylamino)-5-bromo-4-oxopentanoic acid Me ester with thiobenzamide in the presence of diisopropylethylamine in methanol under refluxing for 24 h gave (2S)-2-(tert-butoxycarbonylamino)-3-(2-phenylthiazol-4-yl)propanoic acid which was treated with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> at room temperature for 10 min to give (2S)-2-amino-3-(2-phenylthiazol-4-yl)propanoic acid (II). II was condensed with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester in the presence of diisopropylethylamine in DMF at room temperature to give (2S)-N-methyl-2-[(3-chloro-4-isopropoxybenzoyl)amino]-3-(2-phenylthiazol-4-yl)propanamide (III). Many of the compds. I showed GI<sub>50</sub> (50% growth inhibition concentration) of ≤10 μM against human ovarian tumor cells Skov-3.

IT 869566-64-3P, (2S)-N-Methyl-2-[ (3-chloro-4-isopropoxybenzoyl)amino]-3-[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2-yl)phenyl]propanamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

IT 869566-64-3P, (2S)-N-Methyl-2-[{(3-chloro-4-isopropoxybenzoyl)amino}-3-{[4-(4-isopropyl-1,6-dihydro-6-oxopyrimidin-2-yl)phenyl]propanamide}

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP

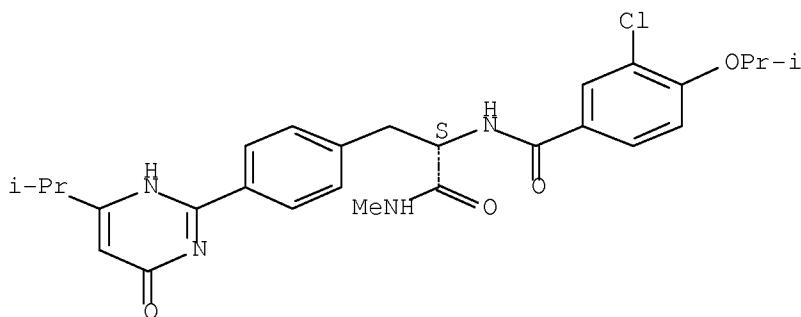
(Preparation); USES (Uses)

(preparation of N-benzoyl amino alcs., N-benzoyl-amino acid, and  
N-benzoylsemicarbazide derivs. as inhibitors of mitotic kinesins)

RN 869566-64-3 HCAPLUS

CN Benzene propanamide,  $\alpha$ -[[3-chloro-4-(1-methylethoxy)benzoyl]amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, ( $\alpha$ S)-  
(CA INDEX NAME)

Absolute stereochemistry.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1150636 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:471865

TITLE: Preparation of amino acid-related compounds for  
treating cellular proliferative diseasesINVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie;  
Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Kuo Chen  
Huang, Jennifer; Wang, Jianchao; Morgans, David J.;  
Morgan, Bradley P.; Bergnes, Gustave; Dhanak,  
Dashyant; Knight, Steven D.; Adams, Nicholas D.;  
Parrish, Cynthia A.; Duffy, Kevin; Fitch, Duke;  
Tedesco, Rosanna

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 297 pp., Cont.-in-part of U.S.  
Ser. No. 124,608.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060247289	A1	20061102	US 2005-271147	20051109 <--
US 7504413	B2	20090317		
US 7618981	B2	20091117	US 2005-121709	20050503 <--
US 20060094708	A1	20060504		
US 20070197481	A1	20070823	US 2005-124608	20050506 <--
WO 2007056469	A2	20070518	WO 2006-US43514	20061108 <--
WO 2007056469	A3	20071115		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,  
 KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,  
 MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20070149516 A1 20070628 US 2006-598250 20061108 <--

US 7582668 B2 20090901

EP 1951719 A2 20080806 EP 2006-837171 20061108 <--

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 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, RS

US 20080255182 A1 20081016 US 2008-7143 20080107 <--

US 20090306127 A1 20091210 US 2009-350114 20090107 <--

US 20090312365 A1 20091217 US 2009-350094 20090107 <--

US 20090286841 A1 20091119 US 2009-396345 20090302 <--

US 20100069453 A1 20100318 US 2009-541015 20090813 <--

PRIORITY APPLN. INFO.:

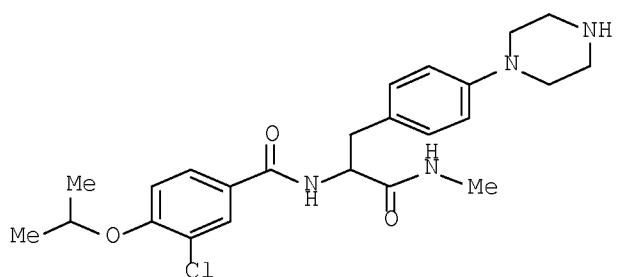
US 2004-569510P	P	20040506	<--
US 2005-121709	A2	20050503	<--
US 2005-124608	A2	20050506	<--
US 2005-271147	A	20051109	<--
US 2006-598250	A1	20061108	<--
WO 2006-US43514	W	20061108	<--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 145:471865

ED Entered STN: 02 Nov 2006

GI

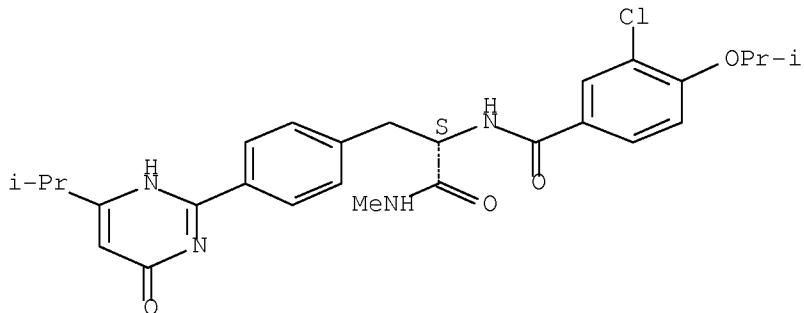


AB The invention relates to compds. R1-X-NR2-WR3-CHR5R6 [R1 is (un)substituted aryl, heterocyclyl or heteroaryl; X is CO or SO2; R2 is H or (un)substituted alkyl; W is CR4, CH2CR4 or N (R4 is a group defined for R2); R3 is H, acyl, cyano, (un)substituted alkyl, heterocyclyl, sulfonyl or aryl; R5 is H, OH, (un)substituted amino, heterocyclyl or alkyl; R6 is H, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxy carbonyl, aminocarbonyl, aryl, heteroaryl, heterocyclyl or aralkyl (with provisos)] and their pharmaceutically-acceptable salts, prodrugs, etc., which are useful for treating cellular proliferative diseases and disorders by modulating the activity of one or more mitotic kinesins. Thus, compound I was prepared by

acylation of 4-bromophenylalanine with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester (preparation given), followed by methylamidation and reaction with piperazine. Several compds. of the invention demonstrated GI50 values less than 10  $\mu\text{M}$ , and several have values less than 1  $\mu\text{M}$  in cell proliferation inhibition assays.

- IT 869566-64-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of amino acid-related compds. for treating cellular proliferative diseases)
- IT 869566-64-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of amino acid-related compds. for treating cellular proliferative diseases)
- RN 869566-64-3 HCPLUS
- CN Benzene propanamide,  $\alpha$ -[ [3-chloro-4-(1-methylethoxy)benzoyl]amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)  
 REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 4 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:510613 HCPLUS Full-text  
 DOCUMENT NUMBER: 145:8035  
 TITLE: 4-Piperidinecarboxamides as modulators of vanilloid receptor VR1, their preparation, pharmaceutical and veterinary compositions, and use in therapy  
 INVENTOR(S): Calvo, Raul R.; Wing, Cheung S.; Player, Mark R.  
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.  
 SOURCE: PCT Int. Appl., 197 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006058338	A2	20060601	WO 2005-US43192	20051129 <--
WO 2006058338	A3	20070405		
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AR 53985	A1	20070530	AR 2005-104962	20051128 <--
US 20060116368	A1	20060601	US 2005-288624	20051129 <--
PRIORITY APPLN. INFO.:			US 2004-631436P	P 20041129 <--
			US 2005-712496P	P 20050830 <--
			US 2005-732035P	P 20051101 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:8035; MARPAT 145:8035

ED Entered STN: 01 Jun 2006

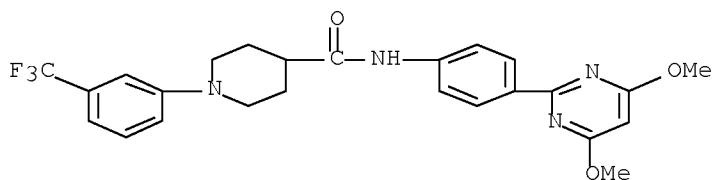
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The invention relates to 4-piperidinecarboxamides I, which are vanilloid receptor 1 (VR1) modulators. In compds. I, Ar is selected from benzo[b]thienyl, naphthyl, biphenyl, isoquinolinyl, thienyl, pyridazinyl, and benzothiazolyl; Z is O or S; n is 1 or 2; each R1 is independently selected from H, C1-6 alkyl, -CO2R3, and -CH2CO2R3, where R3 is H or C1-3 alkyl; and R2 is H or C1-6 alkyl, optionally substituted with -OR3; including stereoisomers, tautomers, solvates and salts thereof. The invention also relates to the preparation of I, pharmaceutical or veterinary compns. comprising a compound I admixed with a pharmaceutically/veterinarily acceptable carrier, excipient, or diluent, as well as to the use of the compns. for the treatment or prevention of conditions responding to the modulation of VR1. Substitution of 3-bromo-1,2-dimethylbenzene with Et nipecotate and ester hydrolysis gave carboxylic acid II, which was amidated with 6-amino-2H-1,4-benzoxazin-3(4H)-one to give piperidinecarboxamide III. The compds. of the invention are modulators of VR1, e.g., compound III expresses a Ki value of 27 nM for binding to VR1 and an IC50 value of 0.06 µM for inhibition of VR1 function.
- IT 888038-60-6P, 1-(3-Trifluoromethylphenyl)piperidine-4-carboxamide N-[4-(4,6-dimethoxypyrimidin-2-yl)phenyl]  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (drug candidate; preparation of piperidinecarboxamides as modulators of vanilloid receptor VR1)
- IT 888038-60-6P, 1-(3-Trifluoromethylphenyl)piperidine-4-carboxamide N-[4-(4,6-dimethoxypyrimidin-2-yl)phenyl]  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (drug candidate; preparation of piperidinecarboxamides as modulators of vanilloid receptor VR1)

RN 888038-60-6 HCAPLUS

CN 4-Piperidinecarboxamide, N-[4-(4,6-dimethoxy-2-pyrimidinyl)phenyl]-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (5 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:383317 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 144:432841  
 TITLE: Preparation of diaryl substituted triazines and pyrimidines for nonsense suppression  
 INVENTOR(S): Almstead, Neil; Karp, Gary M.; Wilde, Richard; Welch, Ellen; Ren, Hongyu  
 PATENT ASSIGNEE(S): PTC Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 159 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006044505	A2	20060427	WO 2005-US36764	20051013 <--
WO 2006044505	A3	20060706		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005295730	A1	20060427	AU 2005-295730	20051013 <--
AU 2005295778	A1	20060427	AU 2005-295778	20051013 <--
CA 2583159	A1	20060427	CA 2005-2583159	20051013 <--
CA 2583976	A1	20060427	CA 2005-2583976	20051013 <--
EP 1799212	A2	20070627	EP 2005-807462	20051013 <--
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EP 1815206	A1	20070808	EP 2005-815159	20051013 <--

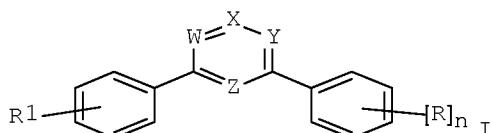
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CN 101076703	A 20071121	CN 2005-80042743	20051013 <--
CN 101076337	A 20071121	CN 2005-80042808	20051013 <--
JP 2008515985	T 20080515	JP 2007-536837	20051013 <--
JP 2008515992	T 20080515	JP 2007-536867	20051013 <--
BR 2005016110	A 20080826	BR 2005-16110	20051013 <--
ZA 2007002933	A 20090826	ZA 2007-2933	20051013 <--
ZA 2007003671	A 20091028	ZA 2007-3671	20051013 <--
SG 156640	A1 20091126	SG 2009-6828	20051013 <--
SG 156641	A1 20091126	SG 2009-6829	20051013 <--
MX 2007004479	A 20070618	MX 2007-4479	20070412 <--
MX 2007004487	A 20070618	MX 2007-4487	20070412 <--
KR 2007067165	A 20070627	KR 2007-709154	20070423 <--
KR 2007067201	A 20070627	KR 2007-710767	20070511 <--
IN 2007DN03575	A 20070831	IN 2007-DN3575	20070514 <--
IN 2007DN03577	A 20070831	IN 2007-DN3577	20070514 <--
US 20080119473	A1 20080522	US 2008-577189	20080206 <--
US 20090253699	A1 20091008	US 2009-577191	20090312 <--
PRIORITY APPLN. INFO.:		US 2004-617633P	P 20041013 <--
		US 2004-617634P	P 20041013 <--
		US 2004-617653P	P 20041013 <--
		US 2004-617655P	P 20041013 <--
		US 2004-617670P	P 20041013 <--
		US 2004-624170P	P 20041103 <--
		WO 2005-US36673	W 20051013 <--
		WO 2005-US36764	W 20051013 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:432841; MARPAT 144:432841

ED Entered STN: 27 Apr 2006

GI



AB The present invention relates to methods, compds., and compns. for treating or preventing diseases associated with nonsense mutations in an mRNA by administering the compds. I [W, X, Y and Z = N, CRa (wherein Ra = H, alkyl; at least one of W, X, Y and Z = N); n = 0-3; R1 = cyano, carbamoyl which is optionally substituted with 1-2 alkyl groups, etc.; R = OH, halo, alkyl, etc.] or compns. comprising I. More particularly, the present invention relates to methods, compds., and compns. for suppressing premature translation termination associated with a nonsense mutation in an mRNA. Over eighty compds. I were prepared E.g., a multi-step synthesis of I [X = CH; W, Y, Z = N; R1 = 3-CO2H; R = 4-Me; n = 1], starting from 4-methylbenzamide and DMF dimethylacetal, was given. Compds. I were tested for nonsense suppression activity from a cell-based luciferase reporter assay (data given).

IT 884656-43-3P 884656-48-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of diaryl triazines and pyrimidines for suppressing premature translation termination associated with nonsense mutation in an mRNA and useful in treating and preventing diseases-associated with nonsense mutations in an mRNA)

IT 884656-43-3P 884656-48-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

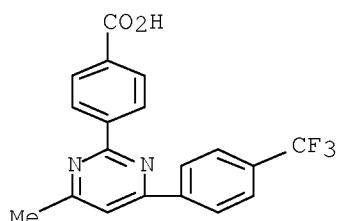
THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of diaryl triazines and pyrimidines for suppressing premature translation termination associated with nonsense mutation in an mRNA and useful in treating and preventing diseases-associated with nonsense mutations in an mRNA)

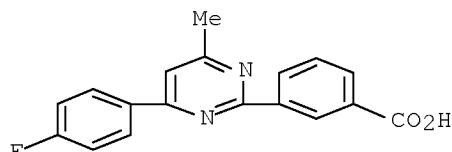
RN 884656-43-3 HCPLUS

CN Benzoic acid, 4-[4-methyl-6-[4-(trifluoromethyl)phenyl]-2-pyrimidinyl]-(CA INDEX NAME)



RN 884656-48-8 HCPLUS

CN Benzoic acid, 3-[4-(4-fluorophenyl)-6-methyl-2-pyrimidinyl]-(CA INDEX NAME)



L55 ANSWER 6 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:301346 HCPLUS Full-text

DOCUMENT NUMBER: 144:350708

TITLE: Novel pyrimidine compounds, process for their preparation, pharmaceutical compositions, and their use as antiinflammatory, cytotoxic, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases

INVENTOR(S): Kalleda, Srinivas; Padakanti, Srinivas; Kumar Swamy, Nalivelala; Yeleswarapu, Koteswar Rao; Alexander, Christopher W.; Khanna, Ish Kumar; Iqbal, Javed; Pillarisetti, Sivaram; Pal, Manojit; Barange, Deepak

PATENT ASSIGNEE(S): Reddy US Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 336 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2

PATENT INFORMATION:

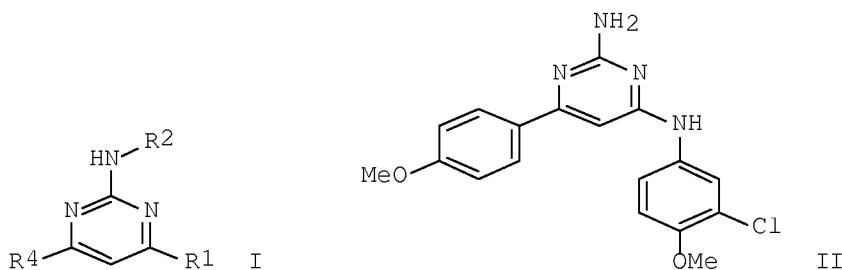
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034473	A2	20060330	WO 2005-US34243	20050923 <--
WO 2006034473	A3	20061214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005286592	A1	20060330	AU 2005-286592	20050923 <--
CA 2581454	A1	20060330	CA 2005-2581454	20050923 <--
US 20060084644	A1	20060420	US 2005-234257	20050923 <--
US 7622486	B2	20091124		
US 20060084645	A1	20060420	US 2005-234695	20050923 <--
EP 1796673	A2	20070620	EP 2005-799686	20050923 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008538544	T	20081030	JP 2007-533673	20050923 <--
IN 2007CN01626	A	20070831	IN 2007-CN1626	20070420 <--
ZA 2007003277	A	20080625	ZA 2007-3277	20070420 <--
PRIORITY APPLN. INFO.:			US 2004-612374P	P 20040923 <--
			WO 2005-US34243	W 20050923 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:350708

ED Entered STN: 31 Mar 2006

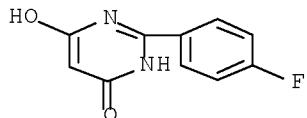
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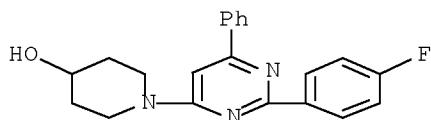
AB The invention provides heterocyclic compds., particularly substituted pyrimidines of formula I, methods and compns. for making and using these heterocyclic compds., and methods for treating a variety of diseases and disease states, including atherosclerosis, arthritis, restenosis, diabetic

nephropathy, or dyslipidemia, or disease states mediated by the low expression of Perlecan. Compds. of formula I wherein R1, R2 and R4 are independently (un)substituted (hetero)aryl or (un)substituted heterocyclyl; and their pharmaceutically acceptable salts, prodrugs, diastereoisomeric mixts., enantiomers, tautomers, and racemic mixts. thereof are claimed in this invention. Example compound II was prepared by acylation of 4-methoxyacetophenone with di-Et carbonate; the resulting Et 4-methoxybenzoylacetate underwent cyclization with guanidine carbonate to give 2-amino-6-(4-methoxyphenyl)pyrimidin-4-ol, which was converted to 4-chloro-6-(methoxyphenyl)pyrimidin-2-ylamine, which underwent amination with 3-chloro-4-methoxyaniline to give compound II. The invention compds. were evaluated for their antiinflammatory, proliferative, cardiovascular, and immunosuppressive activity (no data).

- IT 97513-51-4P, 2-(4-Fluorophenyl)pyrimidine-4,6-diol  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)
- IT 881193-97-1P 881193-98-2P 881194-00-9P  
 881194-16-7P 881194-41-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)
- IT 97513-51-4P, 2-(4-Fluorophenyl)pyrimidine-4,6-diol  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)
- RN 97513-51-4 HCPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-6-hydroxy- (CA INDEX NAME)

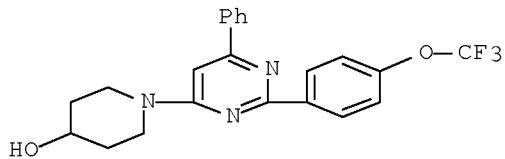


- IT 881193-97-1P 881193-98-2P 881194-00-9P  
 881194-16-7P 881194-41-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of pyrimidine compds. and their use as antiinflammatory, proliferative, rheumatic, immunosuppressive and cardiovascular agents for treatment of diseases)
- RN 881193-97-1 HCPLUS  
 CN 4-Piperidinol, 1-[2-(4-fluorophenyl)-6-phenyl-4-pyrimidinyl]- (CA INDEX NAME)



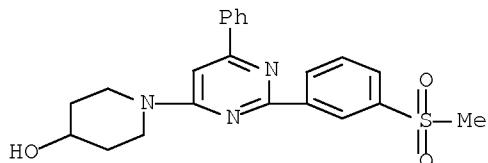
RN 881193-98-2 HCAPLUS

CN 4-Piperidinol, 1-[6-phenyl-2-[4-(trifluoromethoxy)phenyl]-4-pyrimidinyl]-  
(CA INDEX NAME)



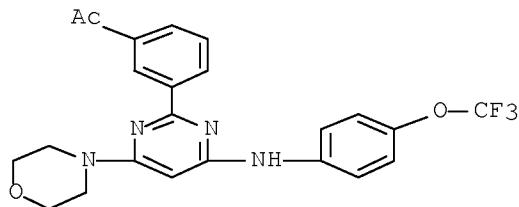
RN 881194-00-9 HCAPLUS

CN 4-Piperidinol, 1-[2-[3-(methylsulfonyl)phenyl]-6-phenyl-4-pyrimidinyl]-  
(CA INDEX NAME)



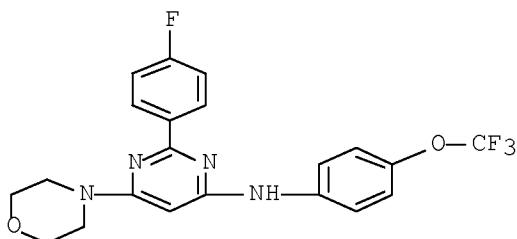
RN 881194-16-7 HCAPLUS

CN Ethanone, 1-[3-[4-(4-morpholinyl)-6-[(4-(trifluoromethoxy)phenyl)amino]-2-pyrimidinyl]phenyl]- (CA INDEX NAME)



RN 881194-41-8 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-fluorophenyl)-6-(4-morpholinyl)-N-[4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 7 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2006:103651 HCPLUS Full-text  
DOCUMENT NUMBER: 144:192274  
TITLE: Preparation of pyridothienopyrimidines and related compounds as phosphodiesterase 4 and tumor necrosis factor (TNF $\alpha$ ) release inhibitors  
INVENTOR(S): Reichelt, Claudia; Ludwig, Alexander; Schulze, Alexander; Daghish, Mohammed; Leistner, Siegfried; Kroedel, Andreas; Heinicke, Jochen  
PATENT ASSIGNEE(S): Curacyte Discovery GmbH, Germany  
SOURCE: PCT Int. Appl., 175 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010567	A1	20060202	WO 2005-EP8030	20050722 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1619196	A1	20060125	EP 2004-17542	20040723 <--
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EP 1623987	A1	20060208	EP 2004-18272	20040802 <--
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EP 1773840	A1	20070418	EP 2005-770450	20050722 <--
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AT 455777	T 20100215	AT 2005-770450	20050722 <--
US 20080160028	A1 20080703	US 2007-625691	20070122 <--
PRIORITY APPLN. INFO.:		EP 2004-17542	A 20040723 <--
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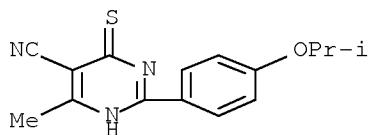
OTHER SOURCE(S): MARPAT 144:192274

ED Entered STN: 03 Feb 2006

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB Title compds. I and II [Y = S, O, N; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, alkyl, alkenyl, etc.; R4 = alkyl, cycloalkyl, alkenyl, etc.; R5 = OR6, NR7R8, etc.; R6 = Me, Et, t-Bu, etc.; NR7R8 = morpholino, pyrrolidino, piperidino, etc.] and their pharmaceutically acceptable salts were prepared. For example, condensation of piperazine and chloropyrimidine III afforded claimed thienopyrimidine IV in 18%. In phosphodiesterase 4 inhibition assays, compds. I exhibited IC50 values <2 nM.
- IT 874811-64-0P, 6-Mercapto-4-methyl-2-(4-isopropoxypyphenyl)pyrimidine-5-carbonitrile  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridothienopyrimidines and related compds. as phosphodiesterase 4 and tumor necrosis factor (TNF $\alpha$ ) release inhibitors)
- IT 874811-64-0P, 6-Mercapto-4-methyl-2-(4-isopropoxypyphenyl)pyrimidine-5-carbonitrile  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridothienopyrimidines and related compds. as phosphodiesterase 4 and tumor necrosis factor (TNF $\alpha$ ) release inhibitors)
- RN 874811-64-0 HCPLUS
- CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-[4-(1-methylethoxy)phenyl]-6-thioxo- (CA INDEX NAME)



- OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
- REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 8 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:103287 HCPLUS Full-text

DOCUMENT NUMBER: 144:171008

TITLE: Preparation of pyridothienopyrimidones and related

compounds as tumor necrosis factor  $\alpha$   
(TNF- $\alpha$ ) release inhibitors

INVENTOR(S): Reichelt, Claudia; Ludwig, Alexander; Schulze, Alexander; Daghish, Mohammed; Leistner, Siegfried  
PATENT ASSIGNEE(S): Curacyte Discovery GmbH, Germany  
SOURCE: PCT Int. Appl., 95 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010568	A2	20060202	WO 2005-EP8031	20050722 <--
WO 2006010568	A3	20060914		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1619197	A1	20060125	EP 2004-17543	20040723 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
DE 102005013622	A1	20060928	DE 2005-102005013622	20050324 <--
PRIORITY APPLN. INFO.:			EP 2004-17543	A 20040723 <--
			DE 2005-102005013622A	20050324 <--

OTHER SOURCE(S): CASREACT 144:171008; MARPAT 144:171008

ED Entered STN: 03 Feb 2006

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I and II [X = CR<sub>2</sub>, N; Y = S, O; R<sub>1</sub>, R<sub>3</sub> = H, alkyl, alkenyl, etc.; R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>4</sub> = alkyl, cycloalkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, phosgene mediated cyclization of aminoamide III afforded thienopyrimidione IV in 96% yield. In tumor necrosis factor inhibition assays, 10-examples of compds. exhibited IC<sub>50</sub> values <10 nM.

IT 81397-24-2P 81397-25-3P 874811-53-7P  
874811-54-8P 874811-56-0P 874811-59-3P  
874811-62-8P 874811-64-0P 874811-67-3P  
874811-68-4P 874811-69-5P 874811-70-8P  
874811-71-9P 874811-79-7P 874811-81-1P  
874811-82-2P 874811-83-3P 874811-84-4P  
874811-88-8P 874811-89-9P 874811-90-2P  
874811-91-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

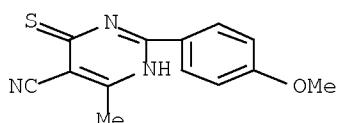
(preparation of pyridothienopyrimidiones and related compds. as tumor

necrosis factor  $\alpha$  (TNF- $\alpha$ ) release inhibitors)

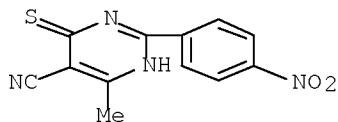
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      874811-62-6P    874811-64-0P    874811-67-3P  
      874811-68-4P    874811-69-5P    874811-70-0P  
      874811-71-9P    874811-79-7P    874811-81-1P  
      874811-82-2P    874811-83-3P    874811-84-4P  
      874811-88-8P    874811-89-9P    874811-90-2P  
      874811-91-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyridothienopyrimidiones and related compds. as tumor necrosis factor  $\alpha$  (TNF- $\alpha$ ) release inhibitors)

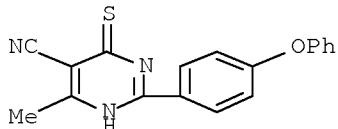
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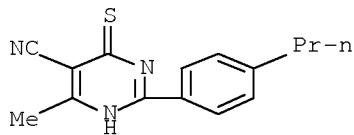
RN    81397-25-3    HCPLUS  
 CN    5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(4-nitrophenyl)-6-thioxo- (CA INDEX NAME)



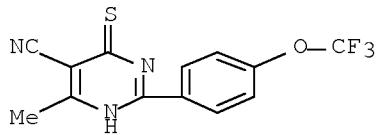
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 CN    5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(4-phenoxyphenyl)-6-thioxo- (CA INDEX NAME)



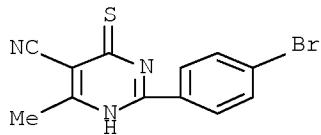
RN    874811-54-8    HCPLUS  
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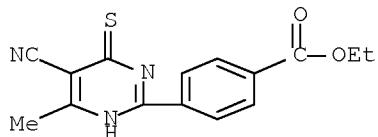
RN 874811-56-0 HCAPLUS  
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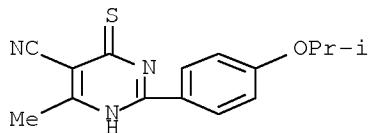
RN 874811-59-3 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 2-(4-bromophenyl)-1,6-dihydro-4-methyl-6-thioxo- (CA INDEX NAME)



RN 874811-62-8 HCAPLUS  
 CN Benzoic acid, 4-(5-cyano-1,6-dihydro-4-methyl-6-thioxo-2-pyrimidinyl)-, ethyl ester (CA INDEX NAME)

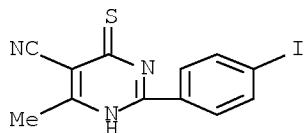


RN 874811-64-0 HCAPLUS  
 CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-[4-(1-methylethoxy)phenyl]-6-thioxo- (CA INDEX NAME)



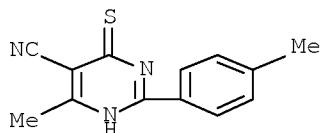
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(CA INDEX NAME)



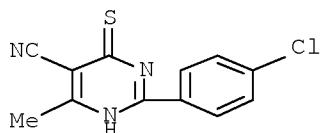
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(CA INDEX NAME)



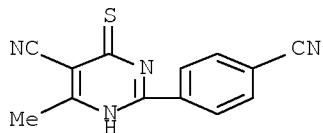
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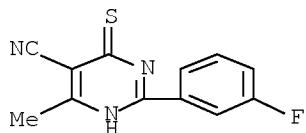


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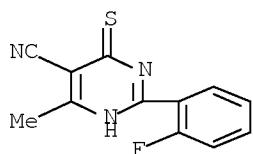
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(CA INDEX NAME)



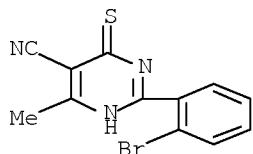
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(CA INDEX NAME)

RN 874811-79-7 HCAPLUS

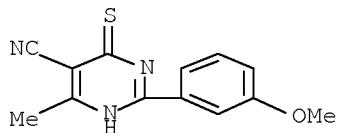
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(CA INDEX NAME)

RN 874811-81-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(2-bromophenyl)-1,6-dihydro-4-methyl-6-thioxo-  
(CA INDEX NAME)

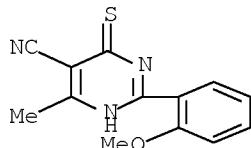
RN 874811-82-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-2-(3-methoxyphenyl)-4-methyl-6-  
thioxo- (CA INDEX NAME)



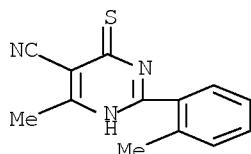
RN 874811-83-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-2-(2-methoxyphenyl)-4-methyl-6-thioxo- (CA INDEX NAME)



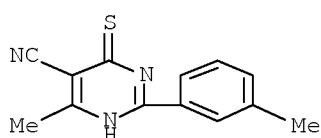
RN 874811-84-4 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(2-methylphenyl)-6-thioxo- (CA INDEX NAME)



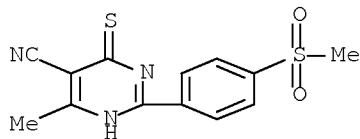
RN 874811-88-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-(3-methylphenyl)-6-thioxo- (CA INDEX NAME)



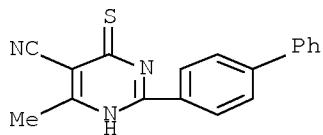
RN 874811-89-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 1,6-dihydro-4-methyl-2-[4-(methylsulfonyl)phenyl]-6-thioxo- (CA INDEX NAME)



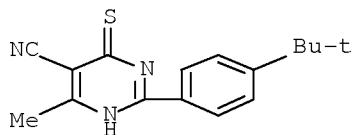
RN 874811-90-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[1,1'-biphenyl]-4-yl-1,6-dihydro-4-methyl-6-thioxo- (CA INDEX NAME)



RN 874811-91-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[4-(1,1-dimethylethyl)phenyl]-1,6-dihydro-4-methyl-6-thioxo- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:1262399 HCAPLUS Full-text

DOCUMENT NUMBER: 144:22712

TITLE: Triaryl compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Epple, Robert; Azimioara, Mihai

PATENT ASSIGNEE(S): Irm LLC, Bermuda

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005113506	A1	20051201	WO 2005-US16747	20050513 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005245418	A1	20051201	AU 2005-245418	20050513 <--
CA 2564365	A1	20051201	CA 2005-2564365	20050513 <--
EP 1756062	A1	20070228	EP 2005-751010	20050513 <--
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CN 1980894	A	20070613	CN 2005-80019645	20050513 <--
BR 2005010024	A	20070925	BR 2005-10024	20050513 <--
JP 2007537289	T	20071220	JP 2007-513391	20050513 <--
MX 2006013195	A	20070214	MX 2006-13195	20061113 <--
IN 2006CN04198	A	20070615	IN 2006-CN4198	20061114 <--
US 20070259890	A1	20071108	US 2006-596598	20061114 <--
US 7745445	B2	20100629		
PRIORITY APPLN. INFO.:			US 2004-571004P	P 20040514 <--
			WO 2005-US16747	W 20050513 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:22712; MARPAT 144:22712

ED Entered STN: 02 Dec 2005

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to aryl compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR $\delta$ . In compds. I, m is 0-3; X, Y, and Z are independently selected from CH and N; L is (un)substituted  $(CH_2)_nO(CH_2)_n$  or  $(CH_2)_nS(O)p(CH_2)_n$ , where each n is independently selected from 0-4 and p is 0-2; R1 and R2 are independently selected from (un)substituted C3-12 cycloalkyl-A-, (un)substituted C3-8 heterocyclyl-A-, (un)substituted C6-10 aryl-A-, and (un)substituted C5-13 heteroaryl-A-, where A is a bond, C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; R3 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; and R4 is selected from  $(CH_2)_nO(CH_2)_nCO_2R_5$  and  $(CH_2)_nCO_2R_5$ , where n is as defined previously and R5 is H or C1-6 alkyl; including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Substitution of Me bromoacetate with 4-hydroxy-3-methylacetophenone followed by Baeyer-Villiger oxidation and methanolysis gave phenoxyacetate II, which underwent substitution of 3,5-dibromobenzyl bromide to give dibromobenzyl ether III. Treatment of III with an excess of 4-trifluoromethylphenylboronic acid and ester hydrolysis resulted

in the formation of terphenyl IV. Most preferred compds. of the invention express an EC50 value for PPAR $\delta$  of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR $\delta$  over PPAR $\gamma$ .

IT 870289-63-7P, [4-[2,6-Bis(4-methoxyphenyl)pyrimidin-4-ylmethoxy]-2-(methylphenoxy]acetic acid 870289-66-0P  
870289-67-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triaryl compds. as PPAR modulators and their

use for treatment and prevention of diseases associated with PPAR $\delta$  activity)

IT 870289-63-7P, [4-[2,6-Bis(4-methoxyphenyl)pyrimidin-4-ylmethoxy]-2-(methylphenoxy]acetic acid 870289-66-0P  
870289-67-1P

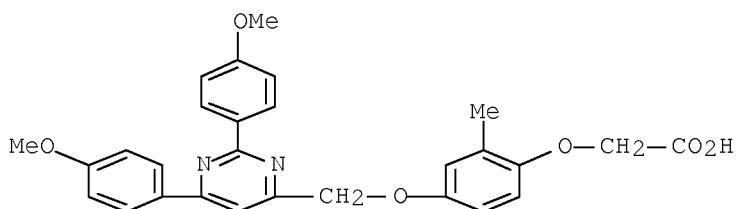
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triaryl compds. as PPAR modulators and their

use for treatment and prevention of diseases associated with PPAR $\delta$  activity)

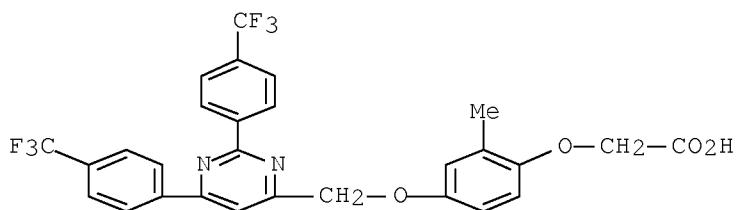
RN 870289-63-7 HCPLUS

CN Acetic acid, 2-[4-[2,6-bis(4-methoxyphenyl)-4-pyrimidinyl]methoxy]-2-methylphenoxy]- (CA INDEX NAME)



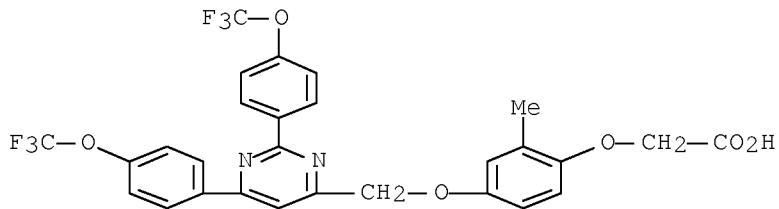
RN 870289-66-0 HCPLUS

CN Acetic acid, 2-[4-[2,6-bis[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]methoxy]-2-methylphenoxy]- (CA INDEX NAME)



RN 870289-67-1 HCPLUS

CN Acetic acid, 2-[4-[2,6-bis[4-(trifluoromethoxy)phenyl]-4-pyrimidinyl]methoxy]-2-methylphenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (2 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:1241187 HCAPLUS Full-text  
 DOCUMENT NUMBER: 144:6804  
 TITLE: Preparation of 4,5-disubstituted-2-aryl pyrimidines as C5a receptor ligands  
 INVENTOR(S): Maynard, George D.; Ghosh, Manuka; Yuan, Jun; Currie, Kevin S.; Mitchell, Scott; Guo, Qin; Zhao, He  
 PATENT ASSIGNEE(S): Neurogen Corporation, USA  
 SOURCE: PCT Int. Appl., 216 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005110416	A2	20051124	WO 2005-US15897	20050506 <--
WO 2005110416	A3	20060413		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005244104	A1	20051124	AU 2005-244104	20050506 <--
CA 2563607	A1	20051124	CA 2005-2563607	20050506 <--
US 20050277654	A1	20051215	US 2005-123755	20050506 <--
US 7482350	B2	20090127		
EP 1745033	A2	20070124	EP 2005-746687	20050506 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1976918	A	20070606	CN 2005-80021315	20050506 <--
JP 2007536263	T	20071213	JP 2007-511645	20050506 <--
IN 2006DN07409	A	20070824	IN 2006-DN7409	20061207 <--

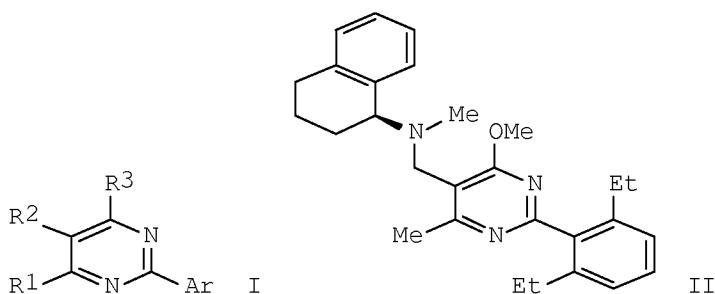
US 20100022516 A1 20100128 US 2009-320539 20090126 <--  
PRIORITY APPLN. INFO.: US 2004-569222P P 20040508 <--  
US 2005-649973P P 20050204 <--  
US 2005-123755 A3 20050506 <--  
WO 2005-US15897 W 20050506 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:6804; MARPAT 144:6804

ED Entered STN: 24 Nov 2005

GT



AB Title compds. I [Ar = mono-, di-, or tri-substituted Ph, (un)substituted naphthyl or heteroaryl; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = OH, CHO, (un)substituted alkyl, etc.; R3 = (un)substituted aryl, cycloalkyl, arylalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as C5a receptor ligands. Thus, e.g., II was prepared by substitution of 2,4-dichloro-5-chloromethyl-6-methylpyrimidine (preparation given) with (1S)-methyl-(1,2,3,4-tetrahydronaphthalen-1-yl)amine followed by substitution of the 4-chloro group with methanol and coupling with 2,6-diethylphenylboronic acid. Preferred compds. of the invention bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse activity at C5a receptors. I exhibited IC<sub>50</sub> values of 2 μM or less in calcium immobilization assays. The present invention also relates to pharmaceutical compns. comprising such compds., and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled 4,5-disubstituted-2-arylpurimidines, which are useful as probes for the localization of C5a receptors.

IT 869887-85-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

IT 869887-85-4P

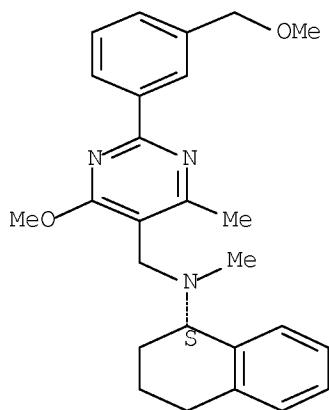
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

RN 869887-85-4 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-methoxy-2-[3-(methoxymethyl)phenyl]-N,6-dimethyl-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]-(CA INDEX NAME)

## Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
 (9 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 11 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:1220432 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 143:478210  
 TITLE: Preparation of amino acid-related compounds for  
 treating cellular proliferative diseases  
 INVENTOR(S): Qian, Xiangping; McDonald, Andrew I.; Zhou, Han-Jie;  
 Ashcraft, Luke W.; Yao, Bing; Jiang, Hong; Huang,  
 Jennifer Kuo Chen; Wang, Jianchao; Morgans, David J.,  
 Jr.; Morgan, Bradley P.; Bergnes, Gustave; Dhanak,  
 Dashyant; Knight, Steven D.; Adams, Nicholas D.;  
 Parrish, Cynthia A.  
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham  
 Corporation  
 SOURCE: PCT Int. Appl., 320 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005107762	A2	20051117	WO 2005-US15666	20050506 <--
WO 2005107762	A3	20060817		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 7618981	B2	20091117	US 2005-121709	20050503 <--

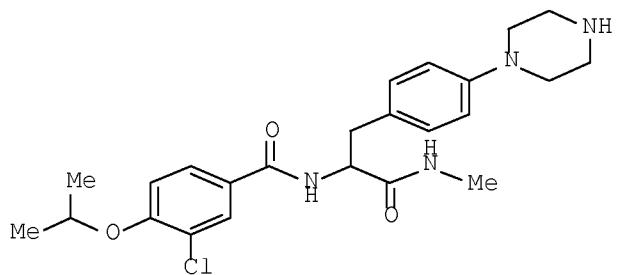
US 20060094708	A1	20060504		
AU 2005240178	A1	20051117	AU 2005-240178	20050506 <--
CA 2565695	A1	20051117	CA 2005-2565695	20050506 <--
EP 1742907	A2	20070117	EP 2005-762665	20050506 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 101023057	A	20070822	CN 2005-80021899	20050506 <--
BR 2005010663	A	20071204	BR 2005-10663	20050506 <--
JP 2007537163	T	20071220	JP 2007-511593	20050506 <--
IN 2006KN03220	A	20070608	IN 2006-KN3220	20061103 <--
MX 2006012796	A	20070509	MX 2006-12796	20061106 <--
NO 2006005504	A	20070130	NO 2006-5504	20061129 <--
KR 2007057708	A	20070607	KR 2006-725290	20061130 <--
PRIORITY APPLN. INFO.:			US 2004-569510P	P 20040506 <--
			US 2005-121709	A 20050503 <--
			WO 2005-US15666	W 20050506 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:478210; MARPAT 143:478210

ED Entered STN: 18 Nov 2005

GI



AB The invention relates to compds. R1-X-NR2-WR3-CHR5R6 [R1 is (un)substituted aryl, heterocyclyl or heteroaryl; X is CO or SO<sub>2</sub>; R2 is H or (un)substituted alkyl; W is CR<sub>4</sub>, CH<sub>2</sub>CR<sub>4</sub> or N (R<sub>4</sub> is a group defined for R2); R3 is H, acyl, cyano, (un)substituted alkyl, heterocyclyl, sulfonyl or aryl; R5 is H, OH, (un)substituted amino, heterocyclyl or alkyl; R6 is H, (un)substituted alkyl, alkoxy, aryloxy, heteroaryloxy, alkoxy carbonyl, aminocarbonyl, aryl, heteroaryl, heterocyclyl or aralkyl (with provisos)] and their pharmaceutically-acceptable salts, prodrugs, etc., which are useful for treating cellular proliferative diseases and disorders by modulating the activity of one or more mitotic kinesins. Ninety-eight synthetic and four biol. examples are given. Thus, compound I was prepared by acylation of 4-bromophenylalanine with 3-chloro-4-isopropoxybenzoic acid pentafluorophenyl ester (preparation given), followed by methylamidation and reaction with piperazine.

IT 869566-64-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid-related compds. for treating cellular proliferative diseases)

IT 869566-64-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

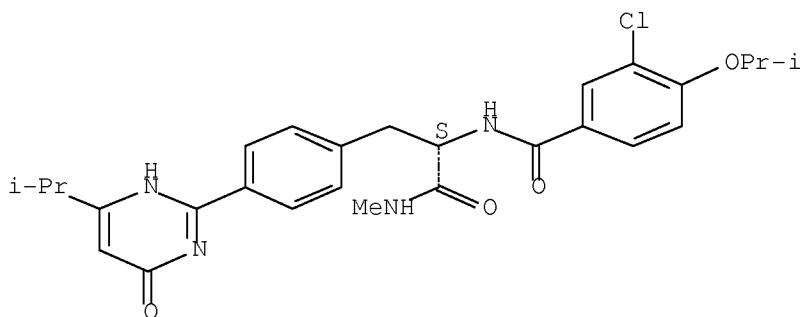
THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of amino acid-related compds. for treating cellular  
 proliferative diseases)

RN 869566-64-3 HCPLUS

CN Benzenepropanamide,  $\alpha$ -[ [3-chloro-4-(1-methylethoxy)benzoyl]amino]-4-[1,6-dihydro-4-(1-methylethyl)-6-oxo-2-pyrimidinyl]-N-methyl-, ( $\alpha$ S)-  
 (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (4 CITINGS)

L55 ANSWER 12 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:451367 HCPLUS Full-text

DOCUMENT NUMBER: 142:476293

TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases

INVENTOR(S): Martin, Richard; Mohan, Raju; Ordentlich, Peter

PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 117 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109 <--
WO 2005047268	A3	20050721		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070293464	A1	20071220	US 2007-595734	20070522 <--
PRIORITY APPLN. INFO.:			US 2003-519030P	P 20031110 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:476293

ED Entered STN: 27 May 2005

- AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.
- IT 57-88-5, Cholesterol, biological studies  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (biosynthesis inhibitors and absorption inhibitors; pyrimidine derivs.  
 for treatment of NGFI-B-related diseases)
- IT 9028-35-7  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitors, statins; pyrimidine derivs. for treatment of  
 NGFI-B-related diseases)
- IT 9012-25-3, Catechol O-methyl transferase 9015-82-1,  
 Angiotensin converting enzyme 9027-44-5, HMG-CoA synthase  
 9027-63-8, Acyl-coenzyme A cholesterol acyltransferase  
 9029-62-3, Squalene epoxidase 9042-64-2, L-Aromatic  
 amino aciddecarboxylase 9055-65-6, Prostaglandin synthase  
 9077-14-9, SQualene synthetase 141907-41-7  
 329900-75-6, Cyclooxygenase 2 329967-85-3,  
 Cyclooxygenase 1  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitors; pyrimidine derivs. for treatment of NGFI-B-related  
 diseases)
- IT 50-78-2, Aspirin 50-81-7, Vitamin C, biological  
 studies 53-03-2, Prednisone 53-06-5, Cortisone  
 58-56-0, Pyridoxine hydrochloride 59-67-6, Nicotinic  
 acid, biological studies 59-92-7, biological studies  
 65-23-6, Pyridoxine 68-19-9, Vitamin B12  
 83-46-5, β-Sitosterol 98-92-0, Niacinamide  
 103-90-2, Acetaminophen 552-94-3, Salicylsalicylic  
 acid 637-07-0, Clofibrate 943-45-3D, Fibric acid,  
 derivs. 1247-42-3, Methylprednisone 1406-18-4,  
 Vitamin E 7235-40-7, β-Carotene 8059-24-3,  
 Vitamin B6 9002-64-6, Parathyroid hormone 9004-54-0D  
 , Dextran, crosslinked, dialkylaminoalkyl derivs., biological studies  
 11041-12-6, Cholestyramine 14417-98-0, Melinamide  
 15687-27-1, Ibuprofen 23187-87-3, Choline  
 magnesumsalicylate 23288-49-5, Probucol 25812-30-0  
 , Gemfibrozil 41859-67-0, Bezafibrate 49562-28-9,  
 Fenofibrate 50925-79-6, Colestipol 65789-90-4  
 75330-75-8, Lovastatin 79902-63-9, Simvastatin  
 81093-37-0, Pravastatin 89048-95-3  
 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin  
 299406-55-6 300359-06-2 300359-07-3  
 300359-08-4 300719-05-5 300837-31-4  
 303147-11-7 303147-12-8 303147-40-2  
 303147-41-3 303147-45-7 306980-56-3  
 306980-58-5 307332-77-0 307332-78-1  
 312499-77-7 312626-14-5 312626-15-6  
 315194-30-0 320418-43-7 320418-48-2  
 320418-49-3 320421-36-1 329077-80-7  
 330221-00-6 330819-79-9 330981-36-7  
 330981-37-8 330981-38-9 330981-39-0  
 330981-41-4 330981-42-5 330981-45-8  
 330981-47-0 330981-49-2 330981-52-7  
 330981-53-8 330981-54-9 330981-55-0  
 330981-59-4 330981-60-7 330981-61-8

330981-63-0	330981-64-1	330981-65-2
330981-70-9	330993-01-6	330993-02-7
331648-43-2	331648-44-3	331848-81-8
331971-30-3	332374-83-1	333415-58-0
337488-96-7	338395-36-1	338960-71-7
338960-72-8	338960-73-9	338960-74-0
338960-75-1	338960-76-2	338960-93-3
338960-99-9	338967-63-8	339279-05-9
339279-06-0	339279-07-1	339279-08-2
339279-21-9	339279-27-5	371199-20-1
371199-57-4	380472-68-8	380571-66-4
381683-04-1	383146-83-6	415699-44-4
419548-22-4	420104-18-3	477710-02-4
477886-15-0	477886-16-1	477886-19-4
478031-54-8	478031-59-3	478031-64-0
487015-37-2	499975-26-7	691869-12-2
692738-30-0	692738-31-1	692738-32-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrimidine derivs. for treatment of NGFI-B-related diseases)

IT 57-88-5, Cholesterol, biological studies

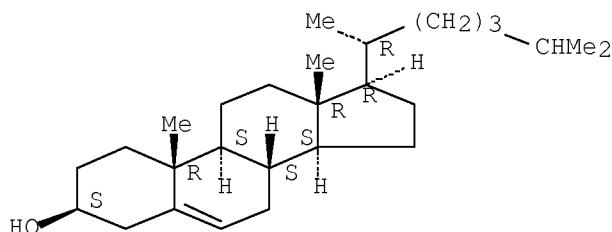
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(biosynthesis inhibitors and absorption inhibitors; pyrimidine derivs. for treatment of NGFI-B-related diseases)

RN 57-88-5 HCPLUS

CN Cholest-5-en-3-ol (3 $\beta$ ) - (CA INDEX NAME)

Absolute stereochemistry.



IT 9028-35-7

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors, statins; pyrimidine derivs. for treatment of  
NGFI-B-related diseases)

RN 9028-35-7 HCPLUS

CN Reductase, hydroxymethylglutaryl coenzyme A (reduced nicotinamide adenine dinucleotide phosphate) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 9012-25-3, Catechol O-methyl transferase 9015-82-1,  
Angiotensin converting enzyme 9027-44-5, HMG-CoA synthase  
9027-63-8, Acyl-coenzyme A cholesterol acyltransferase

9029-62-3, Squalene epoxidase 9042-64-2, L-Aromatic  
amino aciddecarboxylase 9055-65-6, Prostaglandin synthase  
9077-14-9, Squalene synthetase 141907-41-7  
329900-75-6, Cyclooxygenase 2 329967-65-3,

Cyclooxygenase 1

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(inhibitors; pyrimidine derivs. for treatment of NGFI-B-related diseases)

RN 9012-25-3 HCPLUS  
 CN Methyltransferase, catechol (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9015-82-1 HCPLUS  
 CN Carboxypeptidase, dipeptidyl, A (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9027-44-5 HCPLUS  
 CN Synthase, hydroxymethylglutaryl coenzyme A (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9027-63-8 HCPLUS  
 CN Acyltransferase, cholesterol (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9029-62-3 HCPLUS  
 CN Oxygenase, squalene mono- (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9042-64-2 HCPLUS  
 CN Decarboxylase, aromatic amino acid (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9055-65-6 HCPLUS  
 CN Synthase, prostaglandin (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 9077-14-9 HCPLUS  
 CN Synthase, squalene (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 141907-41-7 HCPLUS  
 CN Proteinase, matrix metallo- (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 329900-75-6 HCPLUS  
 CN Synthetase, prostaglandin endoperoxide, 2 (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 329967-85-3 HCPLUS  
 CN Synthetase, prostaglandin endoperoxide, 1 (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

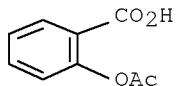
IT 50-78-2, Aspirin 50-81-7, Vitamin C, biological studies 53-03-2, Prednisone 53-06-5, Cortisone 58-56-0, Pyridoxine hydrochloride 59-67-6, Nicotinic acid, biological studies 59-92-7, biological studies 65-23-6, Pyridoxine 68-19-9, Vitamin B12 83-46-5,  $\beta$ -Sitosterol 98-92-0, Niacinamide 103-90-2, Acetaminophen 552-94-3, Salicylsalicylic acid 637-07-0, Clofibrate 943-45-3D, Fibric acid, derivs. 1247-42-3, Methylprednisolone 1406-18-4, Vitamin E 7235-40-7,  $\beta$ -Carotene 8059-24-3, Vitamin B6 9002-64-6, Parathyroid hormone 9004-54-0D, Dextran, crosslinked, dialkylaminoalkyl derivs., biological studies 11041-12-6, Cholestyramine 14417-88-6, Melinamide 15687-27-1, Ibuprofen 23187-87-3, Choline

magnesiumsalicylate 23288-49-5, Probucol 25812-30-0  
, Gemfibrozil 41859-67-0, Bezafibrate 49562-28-9,  
Fenofibrate 50925-79-6, Colestipol 65789-90-4  
75330-75-5, Lovastatin 79902-63-9, Simvastatin  
81093-37-0, Pravastatin 89048-95-3  
93957-54-1, Fluvastatin 134523-00-5, Atorvastatin  
299406-55-6 300359-06-2 300359-07-3  
300359-08-4 300719-05-5 300837-31-4  
303147-11-7 303147-12-8 303147-40-2  
303147-41-3 303147-45-7 306980-56-3  
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312499-77-7 312626-14-5 312626-15-6  
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338960-99-9 338967-63-8 339279-05-9  
339279-06-0 339279-07-1 339279-08-2  
339279-21-9 339279-27-5 371199-20-1  
371199-57-4 380472-88-8 380571-66-4  
381683-04-1 383146-83-6 415699-44-4  
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487015-37-2 499975-26-7 691869-12-2  
692738-30-0 692738-31-1 692738-32-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pyrimidine derivs. for treatment of NGFI-B-related diseases)

RN 50-78-2 HCPLUS

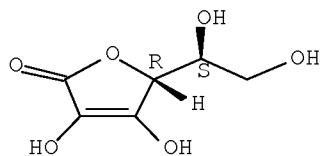
CN Benzoic acid, 2-(acetyloxy)- (CA INDEX NAME)



RN 50-81-7 HCPLUS

CN L-Ascorbic acid (CA INDEX NAME)

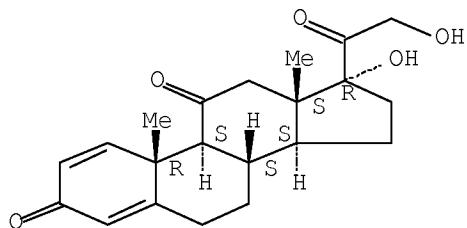
Absolute stereochemistry.



RN 53-03-2 HCPLUS

CN Pregna-1,4-diene-3,11,20-trione, 17,21-dihydroxy- (CA INDEX NAME)

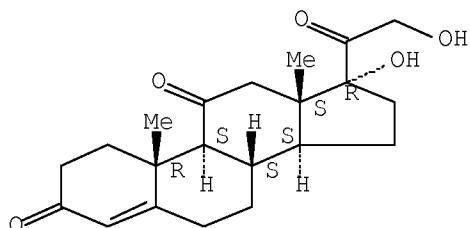
Absolute stereochemistry.



RN 53-06-5 HCPLUS

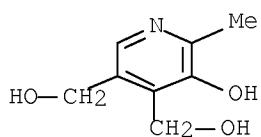
CN Pregn-4-ene-3,11,20-trione, 17,21-dihydroxy- (CA INDEX NAME)

Absolute stereochemistry.



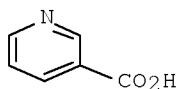
RN 58-56-0 HCPLUS

CN 3,4-Pyridinedimethanol, 5-hydroxy-6-methyl-, hydrochloride (1:1) (CA INDEX NAME)



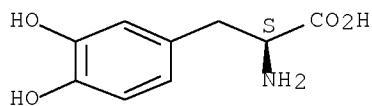
● HCl

RN 59-67-6 HCAPLUS  
 CN 3-Pyridinecarboxylic acid (CA INDEX NAME)

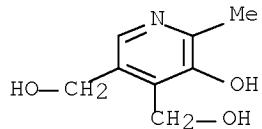


RN 59-92-7 HCAPLUS  
 CN L-Tyrosine, 3-hydroxy- (CA INDEX NAME)

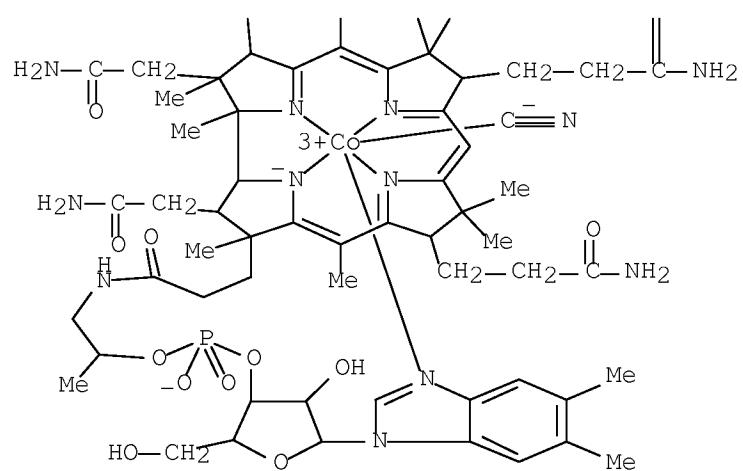
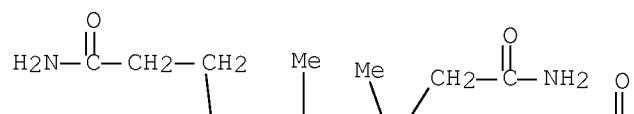
Absolute stereochemistry.



RN 65-23-6 HCAPLUS  
 CN 3,4-Pyridinedimethanol, 5-hydroxy-6-methyl- (CA INDEX NAME)

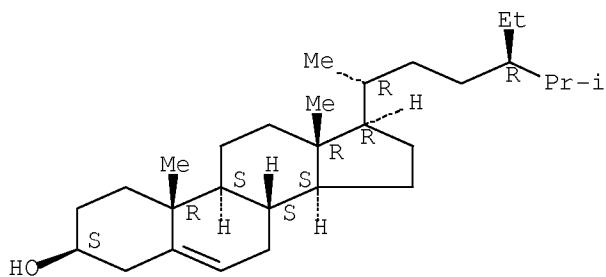


RN 68-19-9 HCAPLUS  
 CN Vitamin B12 (CA INDEX NAME)

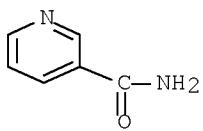


RN 83-46-5 HCPLUS  
 CN Stigmast-5-en-3-ol, (3 $\beta$ )- (CA INDEX NAME)

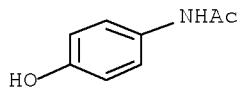
Absolute stereochemistry.



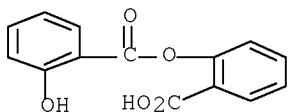
RN 98-92-0 HCAPLUS  
 CN 3-Pyridinecarboxamide (CA INDEX NAME)



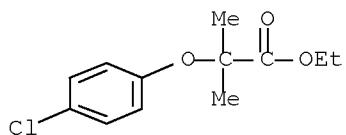
RN 103-90-2 HCAPLUS  
 CN Acetamide, N-(4-hydroxyphenyl)- (CA INDEX NAME)



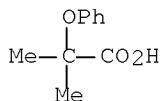
RN 552-94-3 HCAPLUS  
 CN Benzoic acid, 2-hydroxy-, 2-carboxyphenyl ester (CA INDEX NAME)



RN 637-07-0 HCAPLUS  
 CN Propanoic acid, 2-(4-chlorophenoxy)-2-methyl-, ethyl ester (CA INDEX NAME)

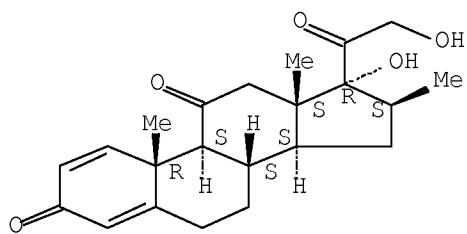


RN 943-45-3 HCPLUS  
CN Propanoic acid, 2-methyl-2-phenoxy- (CA INDEX NAME)



RN 1247-42-3 HCPLUS  
CN Pregna-1,4-diene-3,11,20-trione, 17,21-dihydroxy-16-methyl-, (16 $\beta$ )-  
(CA INDEX NAME)

## Absolute stereochemistry.

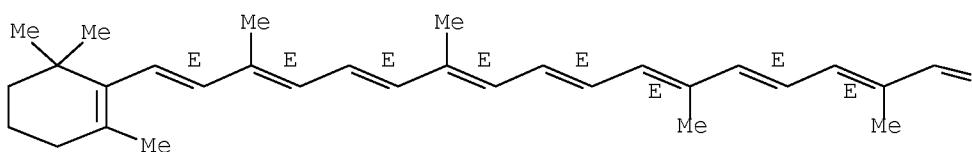


RN 1406-18-4 HCPLUS  
CN Vitamin E (CA INDEX NAME)

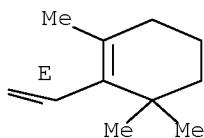
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
RN 7235-40-7 HCPLUS  
CN  $\beta$ , $\beta$ -Carotene (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



RN 8059-24-3 HCAPLUS  
 CN Vitamin B6 (CA INDEX NAME)

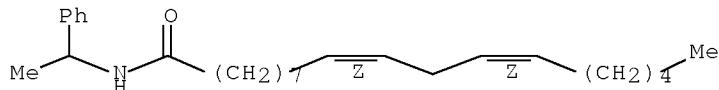
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
 RN 9002-64-6 HCAPLUS  
 CN Parathormone (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
 RN 9004-54-0 HCAPLUS  
 CN Dextran (CA INDEX NAME)

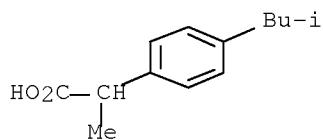
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
 RN 11041-12-6 HCAPLUS  
 CN Cholestyramine (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
 RN 14417-88-0 HCAPLUS  
 CN 9,12-Octadecadienamide, N-(1-phenylethyl)-, (9Z,12Z)- (CA INDEX NAME)

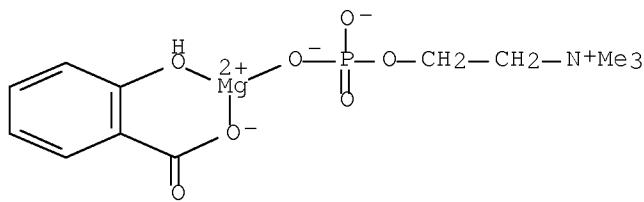
Double bond geometry as shown.



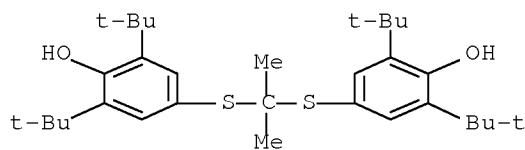
RN 15687-27-1 HCAPLUS  
 CN Benzeneacetic acid, α-methyl-4-(2-methylpropyl)- (CA INDEX NAME)



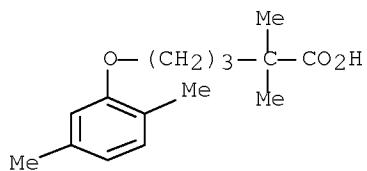
RN 23187-87-3 HCAPLUS  
 CN Magnesium, [2-(hydroxy-κO)benzoato-κO][N,N,N-trimethyl-2-[phosphono-κO]oxy]ethanaminiumato(2-)]- (CA INDEX NAME)



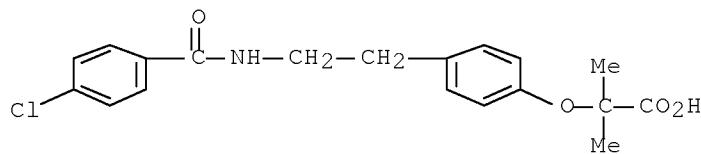
RN 23288-49-5 HCAPLUS  
 CN Phenol, 4,4'-(1-methylethylidene)bis(thio)bis[2,6-bis(1,1-dimethylethyl)-  
 (CA INDEX NAME)]



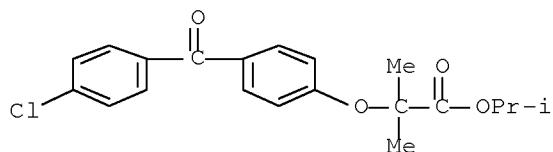
RN 25812-30-0 HCAPLUS  
 CN Pentanoic acid, 5-(2,5-dimethylphenoxy)-2,2-dimethyl- (CA INDEX NAME)



RN 41859-67-0 HCAPLUS  
 CN Propanoic acid, 2-[4-[2-[(4-chlorobenzoyl)amino]ethyl]phenoxy]-2-methyl-  
 (CA INDEX NAME)



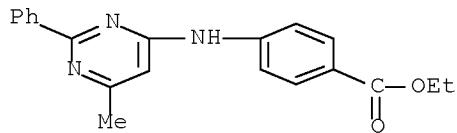
RN 49562-28-9 HCAPLUS  
 CN Propanoic acid, 2-[4-(4-chlorobenzoyl)phenoxy]-2-methyl-, 1-methylethyl  
 ester (CA INDEX NAME)



RN 50925-79-6 HCAPLUS  
 CN Colestipol (CA INDEX NAME)

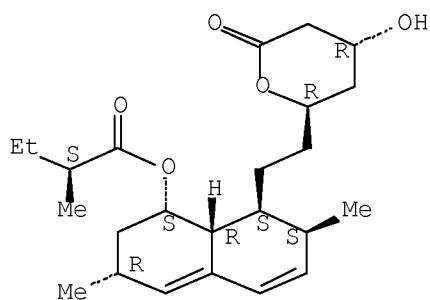
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RN 65789-90-4 HCAPLUS  
 CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]-, ethyl ester  
 (CA INDEX NAME)



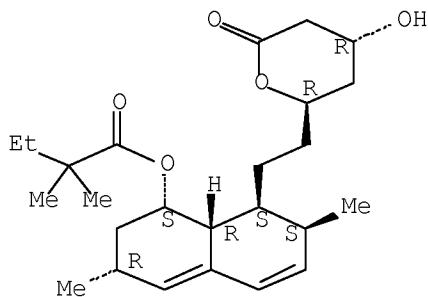
RN 75330-75-5 HCAPLUS  
 CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 79902-63-9 HCAPLUS  
 CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester (CA INDEX NAME)

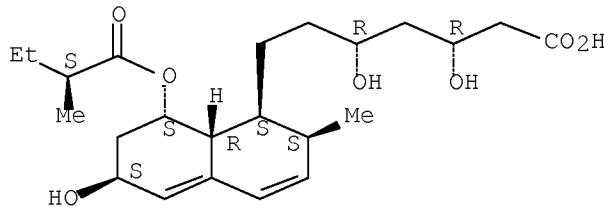
Absolute stereochemistry.



RN 81093-37-0 HCPLUS

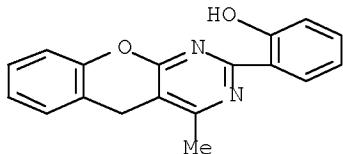
CN 1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro- $\beta$ , $\delta$ ,6-trihydroxy-2-methyl-8-[2S)-2-methyl-1-oxobutoxy]-,  
( $\beta$ R, $\delta$ R,1S,2S,6S,8S,8aR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 89048-95-3 HCPLUS

CN Phenol, 2-(4-methyl-5H-[1]benzopyrano[2,3-d]pyrimidin-2-yl)- (CA INDEX NAME)

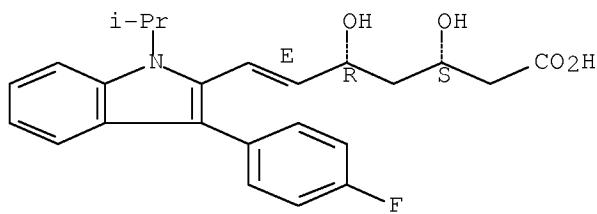


RN 93957-54-1 HCPLUS

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (CA INDEX NAME)

Relative stereochemistry.

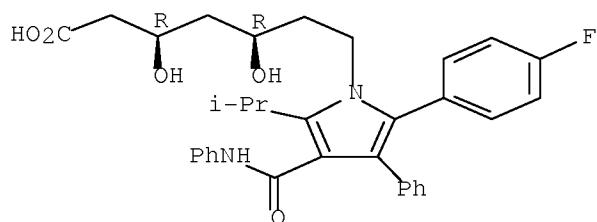
Double bond geometry as shown.



RN 134523-00-5 HCPLUS

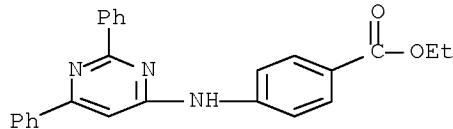
CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)- $\beta,\delta$ -dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, ( $\beta$ R, $\delta$ R)-  
(CA INDEX NAME)

Absolute stereochemistry.



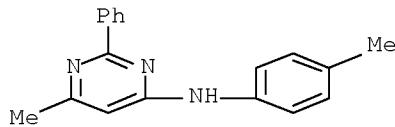
RN 299406-55-6 HCPLUS

CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)



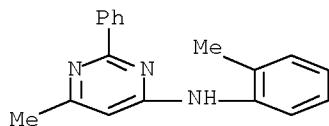
RN 300359-06-2 HCPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)

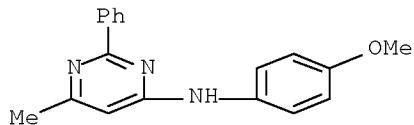


RN 300359-07-3 HCPLUS

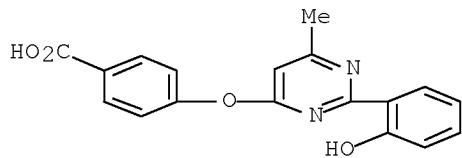
CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)



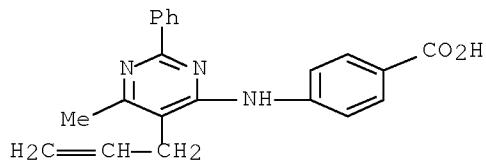
RN 300359-08-4 HCAPLUS  
 CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



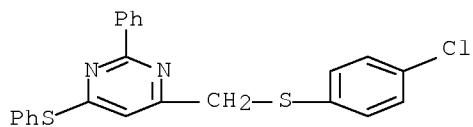
RN 300719-05-5 HCAPLUS  
 CN Benzoic acid, 4-[2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl]oxy- (CA INDEX NAME)



RN 300837-31-4 HCAPLUS  
 CN Benzoic acid, 4-[6-methyl-2-phenyl-5-(2-propen-1-yl)-4-pyrimidinyl]amino- (CA INDEX NAME)

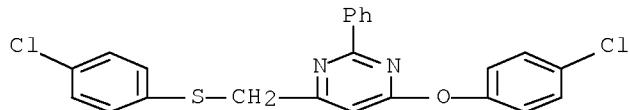


RN 303147-11-7 HCAPLUS  
 CN Pyrimidine, 4-[(4-chlorophenyl)thio]methyl-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



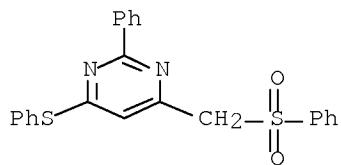
RN 303147-12-8 HCAPLUS

CN Pyrimidine, 4-(4-chlorophenoxy)-6-[(4-chlorophenyl)thio]methyl]-2-phenyl-  
(CA INDEX NAME)



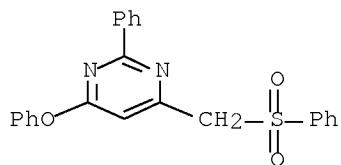
RN 303147-40-2 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[(phenylsulfonyl)methyl]-6-(phenylthio)-  
(CA INDEX NAME)



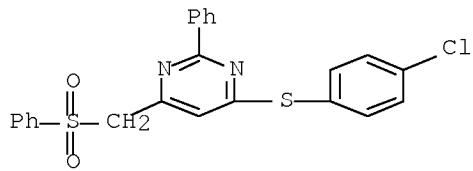
RN 303147-41-3 HCAPLUS

CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfonyl)methyl]-  
(CA INDEX NAME)



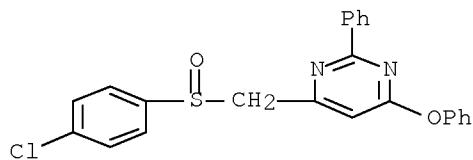
RN 303147-45-7 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-2-phenyl-6-[(phenylsulfonyl)methyl]-  
(CA INDEX NAME)



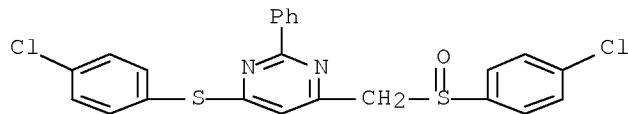
RN 306980-56-3 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)sulfinyl]methyl-6-phenoxy-2-phenyl- (CA INDEX NAME)



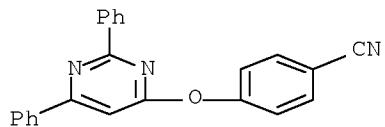
RN 306980-58-5 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)sulfinyl]methyl-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



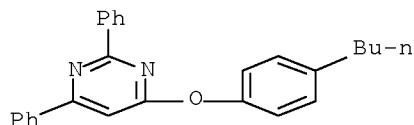
RN 307332-77-0 HCAPLUS

CN Benzonitrile, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)

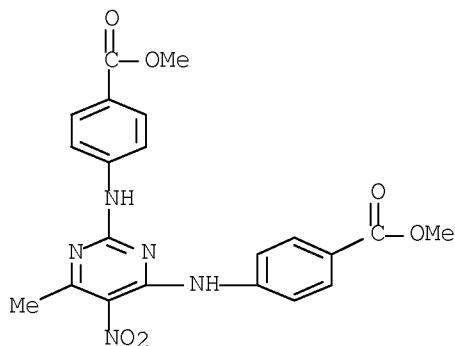


RN 307332-78-1 HCAPLUS

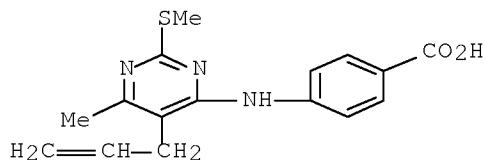
CN Pyrimidine, 4-(4-butylphenoxy)-2,6-diphenyl- (CA INDEX NAME)



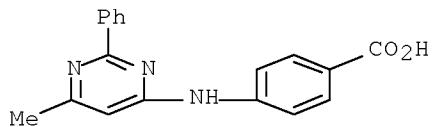
RN 312499-77-7 HCAPLUS  
 CN Benzoic acid, 4,4'-(6-methyl-5-nitro-2,4-pyrimidinediyi)diimino]bis-,  
 dimethyl ester (9CI) (CA INDEX NAME)



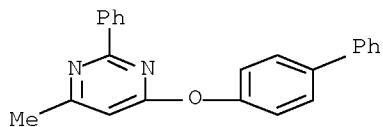
RN 312626-14-5 HCAPLUS  
 CN Benzoic acid, 4-[(6-methyl-2-(methylthio)-5-(2-propen-1-yl)-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 312626-15-6 HCAPLUS  
 CN Benzoic acid, 4-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)

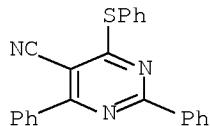


RN 315194-30-0 HCAPLUS  
 CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-phenyl- (CA INDEX NAME)



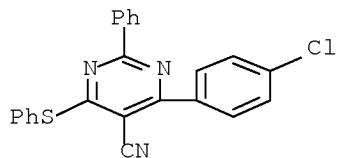
RN 320418-43-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)



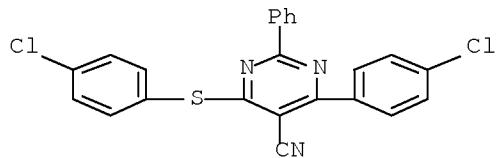
RN 320418-48-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



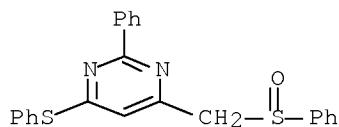
RN 320418-49-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[ (4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



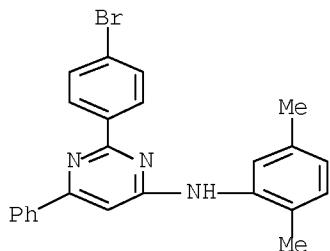
RN 320421-36-1 HCAPLUS

CN Pyrimidine, 2-phenyl-4-[ (phenylsulfinyl)methyl]-6-(phenylthio)- (CA INDEX NAME)



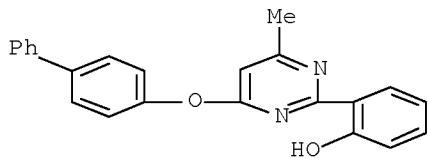
RN 329077-80-7 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(2,5-dimethylphenyl)-6-phenyl- (CA INDEX NAME)



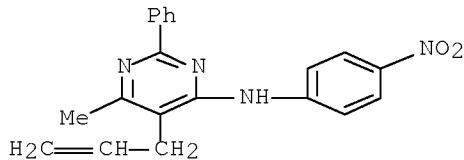
RN 330221-00-6 HCAPLUS

CN Phenol, 2-[4-([1,1'-biphenyl]-4-yloxy)-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



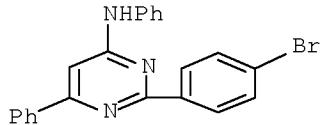
RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)

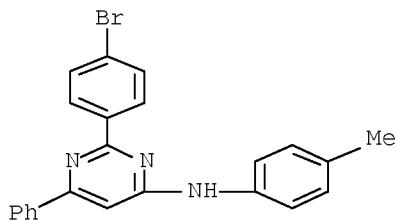


RN 330981-36-7 HCAPLUS

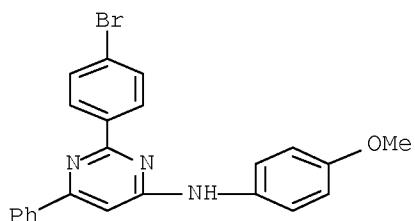
CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N,6-diphenyl- (CA INDEX NAME)



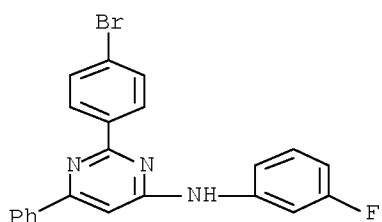
RN 330981-37-8 HCAPLUS  
 CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methylphenyl)-6-phenyl- (CA INDEX NAME)



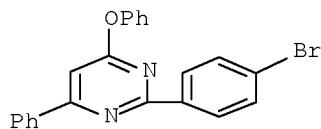
RN 330981-38-9 HCAPLUS  
 CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(4-methoxyphenyl)-6-phenyl- (CA INDEX NAME)



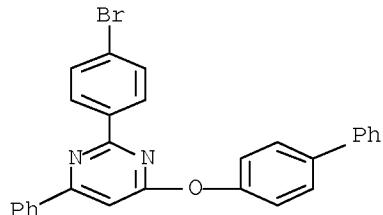
RN 330981-39-0 HCAPLUS  
 CN 4-Pyrimidinamine, 2-(4-bromophenyl)-N-(3-fluorophenyl)-6-phenyl- (CA INDEX NAME)



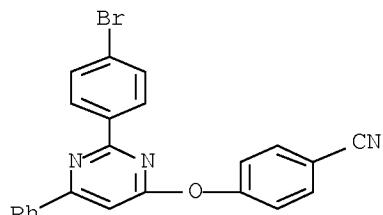
RN 330981-41-4 HCAPLUS  
 CN Pyrimidine, 2-(4-bromophenyl)-4-phenoxy-6-phenyl- (CA INDEX NAME)



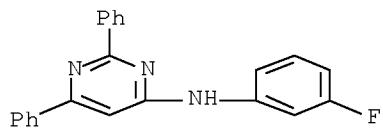
RN 330981-42-5 HCAPLUS  
 CN Pyrimidine, 4-((1,1'-biphenyl)-4-yloxy)-2-(4-bromophenyl)-6-phenyl- (CA INDEX NAME)



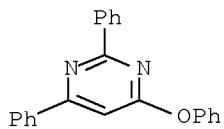
RN 330981-45-8 HCAPLUS  
 CN Benzonitrile, 4-[(2-(4-bromophenyl)-6-phenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)



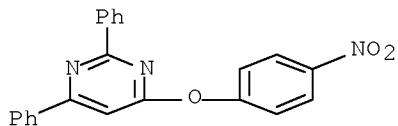
RN 330981-47-0 HCAPLUS  
 CN 4-Pyrimidinamine, N-(3-fluorophenyl)-2,6-diphenyl- (CA INDEX NAME)



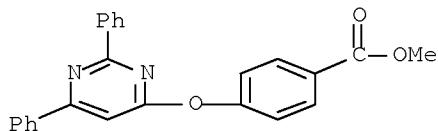
RN 330981-49-2 HCAPLUS  
 CN Pyrimidine, 4-phenoxy-2,6-diphenyl- (CA INDEX NAME)



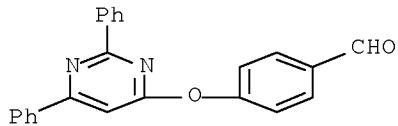
RN 330981-52-7 HCAPLUS  
 CN Pyrimidine, 4-(4-nitrophenoxy)-2,6-diphenyl- (CA INDEX NAME)



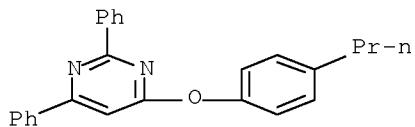
RN 330981-53-8 HCAPLUS  
 CN Benzoic acid, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]-, methyl ester (CA INDEX NAME)



RN 330981-54-9 HCAPLUS  
 CN Benzaldehyde, 4-[(2,6-diphenyl-4-pyrimidinyl)oxy]- (CA INDEX NAME)

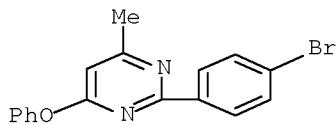


RN 330981-55-0 HCAPLUS  
 CN Pyrimidine, 2,4-diphenyl-6-(4-propylphenoxy)- (CA INDEX NAME)



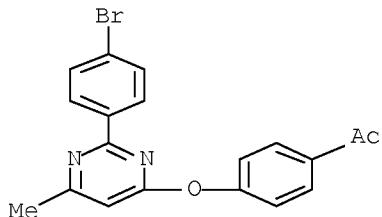
RN 330981-59-4 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-phenoxy- (CA INDEX NAME)



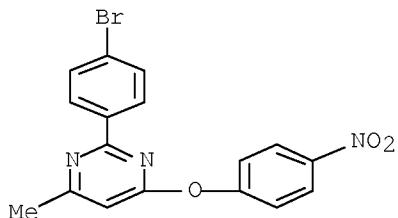
RN 330981-60-7 HCAPLUS

CN Ethanone, 1-[4-[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]oxy]phenyl- (CA INDEX NAME)



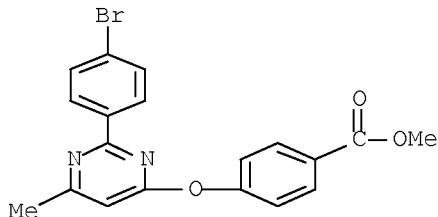
RN 330981-61-8 HCAPLUS

CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-nitrophenoxy)- (CA INDEX NAME)

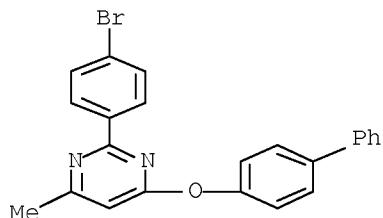


RN 330981-63-0 HCAPLUS

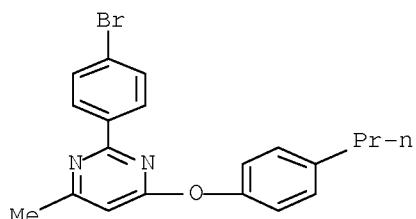
CN Benzoic acid, 4-[2-(4-bromophenyl)-6-methyl-4-pyrimidinyl]oxy]-, methyl ester (CA INDEX NAME)



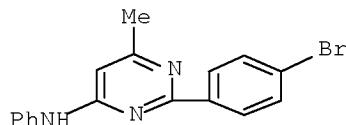
RN 330981-64-1 HCAPLUS  
 CN Pyrimidine, 4-([1,1'-biphenyl]-4-yloxy)-2-(4-bromophenyl)-6-methyl- (CA INDEX NAME)



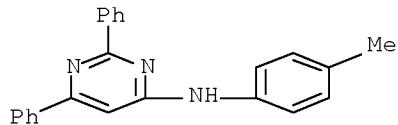
RN 330981-65-2 HCAPLUS  
 CN Pyrimidine, 2-(4-bromophenyl)-4-methyl-6-(4-propylphenoxy)- (CA INDEX NAME)



RN 330981-70-9 HCAPLUS  
 CN 4-Pyrimidinamine, 2-(4-bromophenyl)-6-methyl-N-phenyl- (CA INDEX NAME)

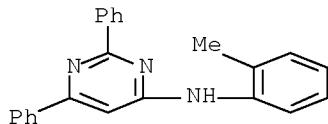


RN 330993-01-6 HCAPLUS  
 CN 4-Pyrimidinamine, N-(4-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)



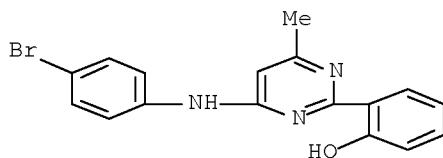
RN 330993-02-7 HCAPLUS

CN 4-Pyrimidinamine, N-(2-methylphenyl)-2,6-diphenyl- (CA INDEX NAME)



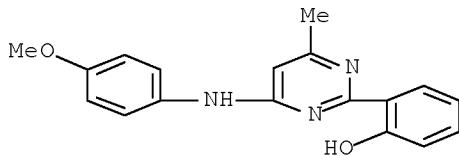
RN 331648-43-2 HCAPLUS

CN Phenol, 2-[4-[(4-bromophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



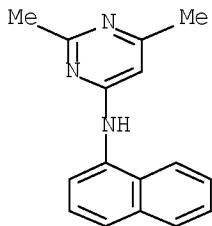
RN 331648-44-3 HCAPLUS

CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



RN 331848-81-8 HCAPLUS

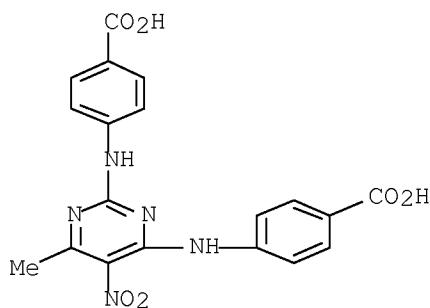
CN 4-Pyrimidinamine, 2,6-dimethyl-N-1-naphthalenyl- (CA INDEX NAME)



RN 331971-30-3 HCAPLUS

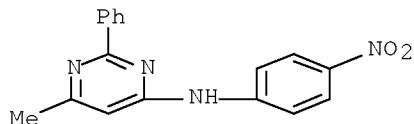
CN Benzoic acid, 4,4'-(6-methyl-5-nitro-2,4-pyrimidinediyi)diimino]bis-

(9CI) (CA INDEX NAME)



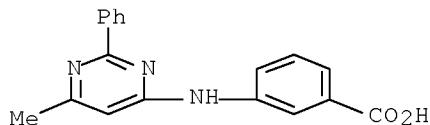
RN 332374-83-1 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl- (CA INDEX NAME)



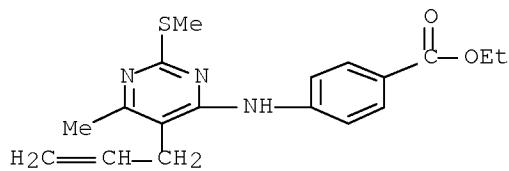
RN 333415-58-0 HCAPLUS

CN Benzoic acid, 3-[(6-methyl-2-phenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



RN 337488-96-7 HCAPLUS

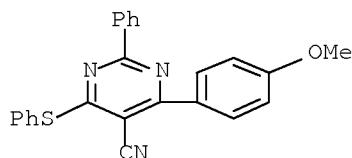
CN Benzoic acid, 4-[(6-methyl-2-(methylthio)-5-(2-propen-1-yl)-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)



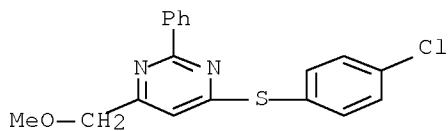
RN 338395-36-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-phenyl-6-(phenylthio)-

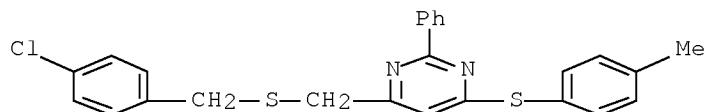
(CA INDEX NAME)



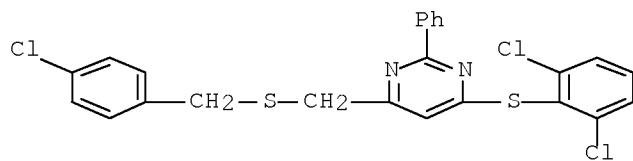
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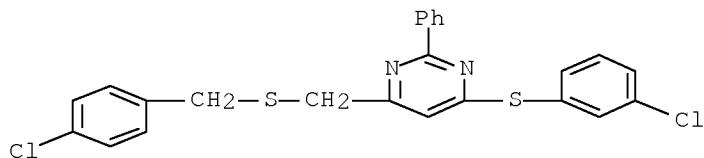
RN 338960-72-8 HCAPLUS  
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RN 338960-73-9 HCAPLUS  
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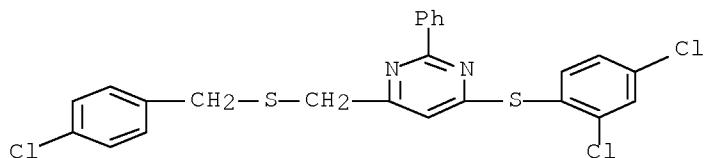


RN 338960-74-0 HCAPLUS  
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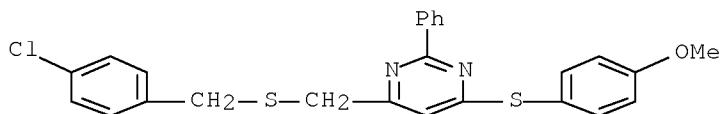
RN 338960-75-1 HCAPLUS

CN Pyrimidine, 4-[[[(4-chlorophenyl)methyl]thio]methyl]-6-[(2,4-dichlorophenyl)thio]-2-phenyl- (CA INDEX NAME)



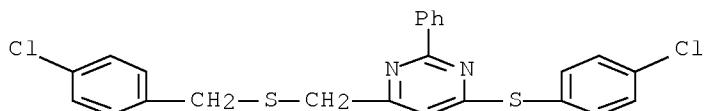
RN 338960-76-2 HCAPLUS

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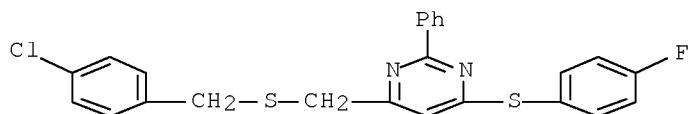
RN 338960-93-3 HCAPLUS

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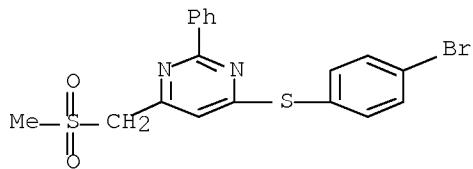
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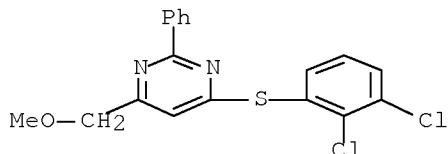
RN 338967-63-8 HCAPLUS

CN Pyrimidine, 4-[(4-bromophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl-  
(CA INDEX NAME)



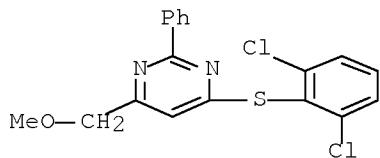
RN 339279-05-9 HCAPLUS

CN Pyrimidine, 4-[(2,3-dichlorophenyl)thio]-6-(methoxymethyl)-2-phenyl-  
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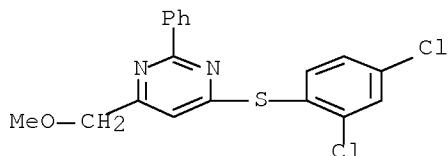
RN 339279-06-0 HCAPLUS

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(CA INDEX NAME)

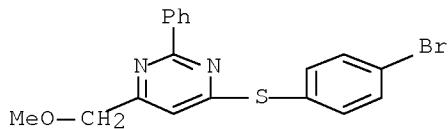


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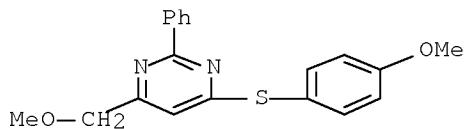
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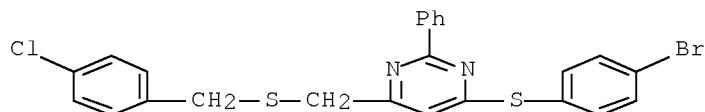
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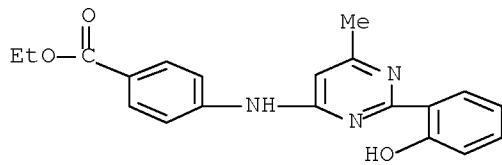
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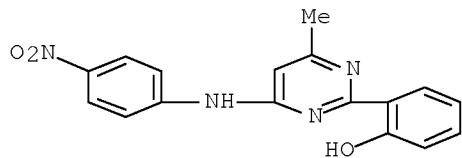
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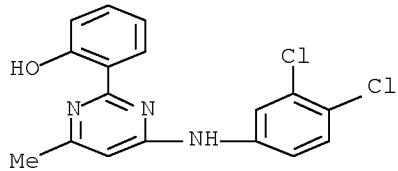
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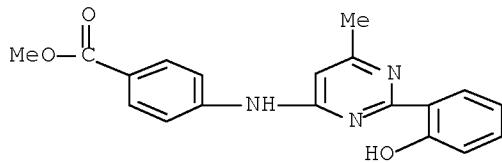
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 CN Phenol, 2-[4-methyl-6-[(4-nitrophenyl)amino]-2-pyrimidinyl]- (CA INDEX NAME)



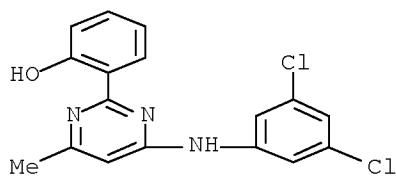
RN 380472-88-8 HCAPLUS  
 CN Phenol, 2-[4-[(3,4-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



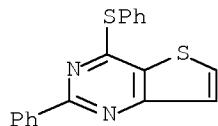
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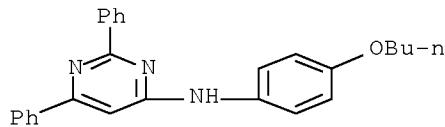
RN 381683-04-1 HCAPLUS  
 CN Phenol, 2-[4-[(3,5-dichlorophenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX NAME)



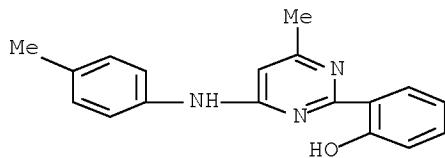
RN 383146-83-6 HCAPLUS  
 CN Thieno[3,2-d]pyrimidine, 2-phenyl-4-(phenylthio)- (CA INDEX NAME)



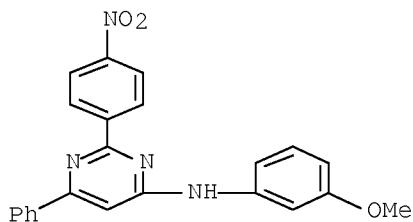
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 CN 4-Pyrimidinamine, N-(4-butoxyphenyl)-2,6-diphenyl- (CA INDEX NAME)



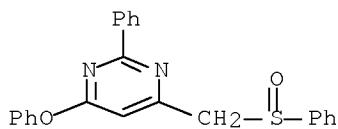
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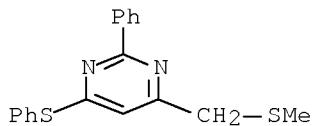
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 CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-2-(4-nitrophenyl)-6-phenyl- (CA INDEX NAME)



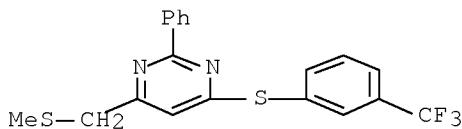
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 CN Pyrimidine, 4-phenoxy-2-phenyl-6-[(phenylsulfinyl)methyl]- (CA INDEX NAME)



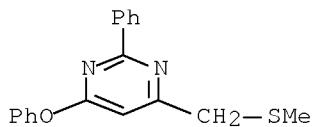
RN 477886-15-0 HCAPLUS  
 CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



RN 477886-16-1 HCAPLUS  
 CN Pyrimidine, 4-[(methylthio)methyl]-2-phenyl-6-[[3-(trifluoromethyl)phenyl]thio]- (CA INDEX NAME)

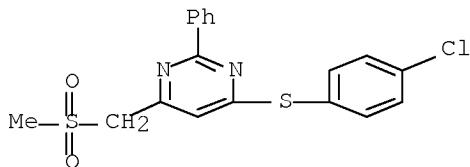


RN 477886-19-4 HCAPLUS  
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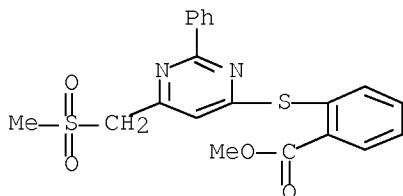
RN 478031-54-8 HCAPLUS

CN Pyrimidine, 4-[(4-chlorophenyl)thio]-6-[(methylsulfonyl)methyl]-2-phenyl-  
(CA INDEX NAME)



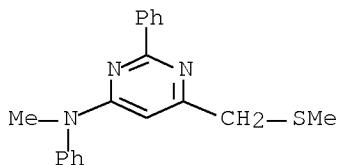
RN 478031-59-3 HCAPLUS

CN Benzoic acid, 2-[(6-[(methylsulfonyl)methyl]-2-phenyl-4-pyrimidinyl)thio]-  
, methyl ester (CA INDEX NAME)



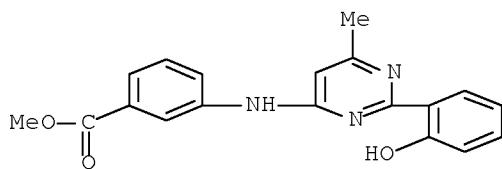
RN 478031-64-0 HCAPLUS

CN 4-Pyrimidinamine, N-methyl-6-[(methylthio)methyl]-N,2-diphenyl- (CA INDEX  
NAME)



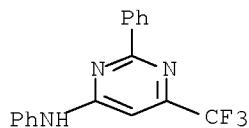
RN 487015-37-2 HCAPLUS

CN Benzoic acid, 3-[(2-(2-hydroxyphenyl)-6-methyl-4-pyrimidinyl)amino]-,  
methyl ester (CA INDEX NAME)



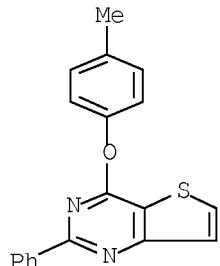
RN 499975-26-7 HCAPLUS

CN 4-Pyrimidinamine, N,2-diphenyl-6-(trifluoromethyl)- (CA INDEX NAME)



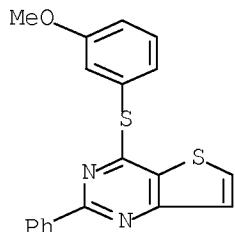
RN 691869-12-2 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-(4-methylphenoxy)-2-phenyl- (CA INDEX NAME)



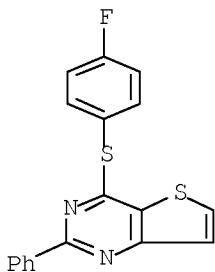
RN 692738-30-0 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-[(3-methoxyphenyl)thio]-2-phenyl- (CA INDEX NAME)



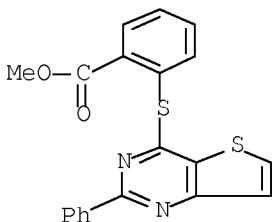
RN 692738-31-1 HCAPLUS

CN Thieno[3,2-d]pyrimidine, 4-[(4-fluorophenyl)thio]-2-phenyl- (CA INDEX NAME)



RN 692738-32-2 HCAPLUS

CN Benzoic acid, 2-[(2-phenylthieno[3,2-d]pyrimidin-4-yl)thio]-, methyl ester (CA INDEX NAME)

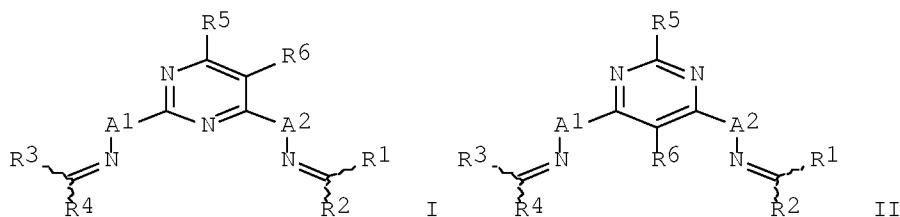


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2005:402793 HCAPLUS Full-text  
DOCUMENT NUMBER: 142:447232  
TITLE: Preparation of pyrimidine derivatives as mixed lymphocyte reaction (MLR) inhibitors  
INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Toru  
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 216 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005120046	A	20050512	JP 2003-358632	20031020 <--
PRIORITY APPLN. INFO.:			JP 2003-358632	20031020 <--
OTHER SOURCE(S):	MARPAT	142:447232		
ED Entered STN:	12 May 2005			

GI



**AB** Pyrimidines derivs. such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted aryl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, aralkyl, anilino], pharmaceutically acceptable salts, esters, or other derivs. thereof. are prepared. These pyrimidine derivs. exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation, organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjogren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H<sub>2</sub>O, followed by filtering the precipitated crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4-acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-2-pyrimidinyl]hydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-4-pyrimidinyl]hydrazone in vitro inhibited the uptake of [<sup>3</sup>H]thymidine in human peripheral lymphocyte with IC<sub>50</sub> of 6.9 and 1.0 nM, resp.

IT 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

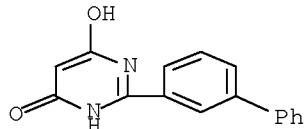
IT 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

RN 620984-93-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-hydroxy- (CA INDEX NAME)

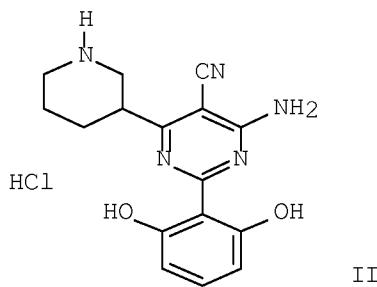
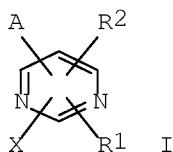


L55 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:395283 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:463736  
 TITLE: Preparation of pyrimidine derivatives as IKK-2 inhibitors  
 INVENTOR(S): Clare, Michael; Hagen, Timothy J.; Houdek, Stephen C.; Lennon, Patrick J.; Weier, Richard M.; Xu, Xiangdong  
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA  
 SOURCE: PCT Int. Appl., 214 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

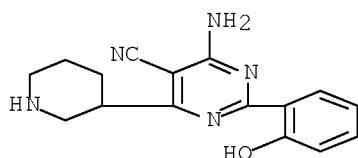
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040133	A1	20050506	WO 2004-IB3314	20041011 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2542514	A1	20050506	CA 2004-2542514	20041011 <--
EP 1678146	A1	20060712	EP 2004-769607	20041011 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
BR 2004015678	A	20061219	BR 2004-15678	20041011 <--
JP 2007509126	T	20070412	JP 2006-536194	20041011 <--
MX 2006004498	A	20060620	MX 2006-4498	20060421 <--
PRIORITY APPLN. INFO.:			US 2003-513770P	P 20031023 <--
			WO 2004-IB3314	W 20041011 <--

OTHER SOURCE(S): CASREACT 142:463736; MARPAT 142:463736

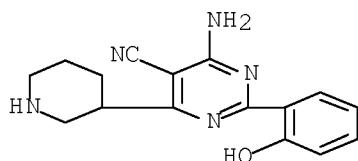
ED Entered STN: 09 May 2005



- AB Title compds. I [A = cycloalkyl, aryl, heterocycloalkyl, etc.; X = substituted aryl with substituents selected from CN, NO<sub>2</sub>, OH, etc.; R1 = CN, CO<sub>2</sub>R<sub>3</sub>, CH<sub>2</sub>OR<sub>3</sub>, etc.; R2 = NR<sub>4</sub>R<sub>5</sub>; R3 = OH, alkoxy, alkyl, etc.; R4 and R5 independently = aryl, heteroaryl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of IKK-2. Thus, e.g., II was prepared in a multi-step synthesis from 2,6-dibenzoyloxybenzonitrile. The activity of I was evaluated in IKK-2 inhibition assays and it revealed IC<sub>50</sub> values for selected compds. of the invention in the range of 0.438 up to 24.4 μM. I as inhibitor of IKK-2 should prove useful in the treatment of inflammation, cancer or an inflammation-associated disorder.
- IT 851510-41-3  
 RL: PRPH (Prophetic)  
 (Preparation of pyrimidine derivatives as IKK-2 inhibitors)
- IT 851382-39-3P 851382-51-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of pyrimidine derivs. as IKK-2 inhibitors)
- IT 851510-41-3  
 RL: PRPH (Prophetic)  
 (Preparation of pyrimidine derivatives as IKK-2 inhibitors)
- RN 851510-41-3 HCPLUS
- CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-piperidinyl)-  
 (CA INDEX NAME)

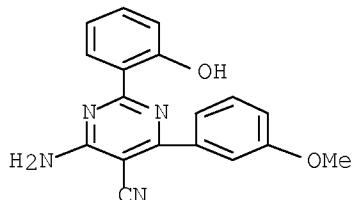


IT 851382-39-3P 851382-51-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of pyrimidine derivs. as IKK-2 inhibitors)  
 RN 851382-39-3 HCPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-piperidinyl)-,  
 hydrochloride (1:1) (CA INDEX NAME)



● HC1

RN 851382-51-9 HCPLUS  
 CN 5-Pyrimidinecarbonitrile, 4-amino-2-(2-hydroxyphenyl)-6-(3-methoxyphenyl)-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (8 CITINGS)  
 REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 15 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:394829 HCPLUS Full-text  
 DOCUMENT NUMBER: 142:463605  
 TITLE: Preparation aryloxyacetic acids and related compounds  
 as PPARδ and PPARα agonists  
 INVENTOR(S): Ackermann, Jean; Aebi, Johannes; Binggeli, Alfred;

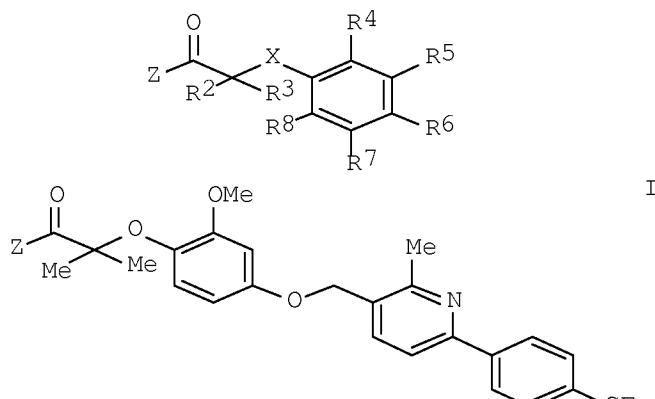
Grether, Uwe; Hirth, Georges; Kuhn, Bernd; Maerki,  
 Hans-Peter; Meyer, Markus; Mohr, Peter; Wright,  
 Matthew Blake

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 89 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050096337	A1	20050505	US 2004-978155	20041029 <--
US 7115611	B2	20061003		
AU 2004291262	A1	20050602	AU 2004-291262	20041028 <--
CA 2543249	A1	20050602	CA 2004-2543249	20041028 <--
WO 2005049573	A1	20050602	WO 2004-EP12217	20041028 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1682508	A1	20060726	EP 2004-790987	20041028 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1875002	A	20061206	CN 2004-80032273	20041028 <--
BR 2004016283	A	20070123	BR 2004-16283	20041028 <--
JP 2007509999	T	20070419	JP 2006-538711	20041028 <--
NZ 546444	A	20090925	NZ 2004-546444	20041028 <--
RU 2374230	C2	20091127	RU 2006-119510	20041028 <--
AR 46924	A1	20060104	AR 2004-104043	20041103 <--
TW 259179	B	20060801	TW 2004-93133654	20041104 <--
MX 2006004641	A	20060627	MX 2006-4641	20060426 <--
ZA 2006003531	A	20070725	ZA 2006-3531	20060503 <--
KR 2006086373	A	20060731	KR 2006-708742	20060504 <--
KR 847976	B1	20080722		
NO 2006002135	A	20060524	NO 2006-2135	20060512 <--
KR 2008042188	A	20080514	KR 2008-710674	20080502 <--
PRIORITY APPLN. INFO.:			EP 2003-104081	A 20031105 <--
			EP 2004-100759	A 20040226 <--
			WO 2004-EP12217	W 20041028 <--
			KR 2006-708742	A3 20060504 <--

OTHER SOURCE(S): MARPAT 142:463605

ED    Entered STN: 09 May 2005  
 GI



AB Title compds. I [X = O, S, CH<sub>2</sub>; R<sub>1</sub> = H, alkyl; R<sub>2</sub> = H, alkyl with provisos; R<sub>3</sub> = H, alkyl; R<sub>4</sub>, R<sub>8</sub> = H, alkyl, cycloalkyl, etc.; R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, saponification of Et ester II (Z = OEt), afforded acid II (Z = OH) as a light yellow solid. In PPAR $\alpha$  receptor binding assays, 3-examples of compds. I exhibited IC<sub>50</sub> values ranging from 0.013-0.289  $\mu$ mmol/l. Compds. I are claimed to be useful for the treatment of diseases modulated by PPAR $\delta$  and PPAR $\alpha$  agonist.

IT 851507-02-3P 851507-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and PPAR $\alpha$  agonists)

IT 851508-40-2P 851508-43-5P 851508-44-6P  
851508-45-7P 851508-46-8P 851508-47-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and PPAR $\alpha$  agonists)

IT 851507-02-3P 851507-03-4P

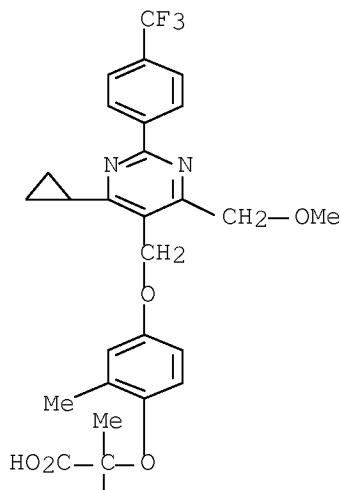
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and PPAR $\alpha$  agonists)

RN 851507-02-3 HCPLUS

CN Propanoic acid, 2-[4-[(4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl)methoxy]-2-methylphenoxy]-2-methyl- (CA INDEX NAME)

PAGE 1-A

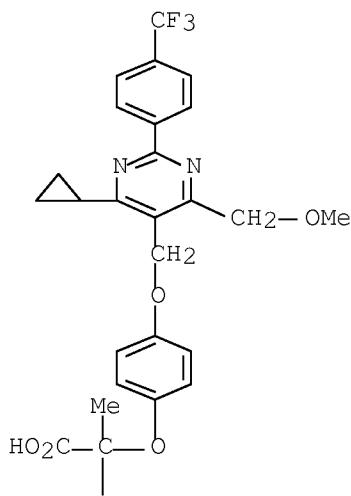


PAGE 2-A

Me

RN 851507-03-4 HCPLUS  
 CN Propanoic acid, 2-[4-[(4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]pyrimidinyl)methoxy]phenoxy]-2-methyl- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

Me

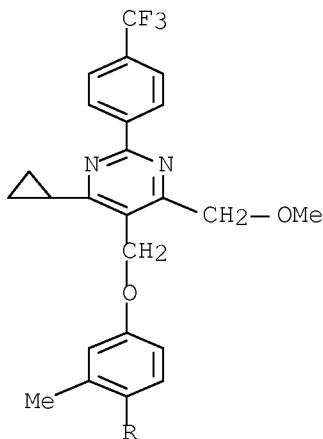
IT 851508-40-2P 851508-43-5P 851508-44-6P  
 851508-45-7P 851508-46-8P 851508-47-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation aryloxyacetic acids and related compds. as PPAR $\delta$  and PPAR $\alpha$  agonists)

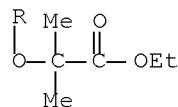
RN 851508-40-2 HCPLUS

CN Propanoic acid, 2-[4-[(4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]pyrimidinyl)methoxy]-2-methylphenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)

PAGE 1-A

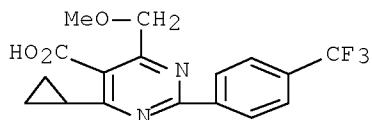


PAGE 2-A



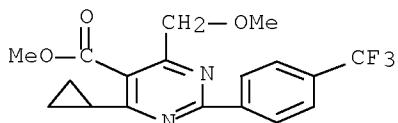
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CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



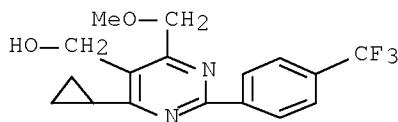
RN 851508-44-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-, methyl ester (CA INDEX NAME)



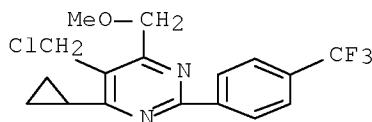
RN 851508-45-7 HCAPLUS

CN 5-Pyrimidinemethanol, 4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



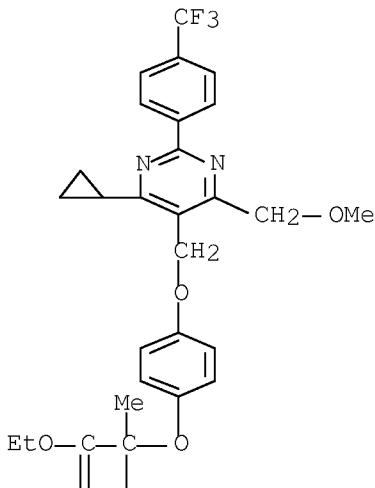
RN 851508-46-8 HCAPLUS

CN Pyrimidine, 5-(chloromethyl)-4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 851508-47-9 HCAPLUS

CN Propanoic acid, 2-[4-[[4-cyclopropyl-6-(methoxymethyl)-2-[4-(trifluoromethyl)phenyl]-5-pyrimidinyl]methoxy]phenoxy]-2-methyl-, ethyl ester (CA INDEX NAME)



Me

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)  
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 16 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:1127383 HCPLUS Full-text  
DOCUMENT NUMBER: 142:74617  
TITLE: Imidazotriazinone derivatives as PDE 7  
(phosphodiesterase 7) inhibitors, their preparation,  
and pharmaceutical compositions containing them  
INVENTOR(S): Inoue, Hidekazu; Murafuji, Hidenobu; Hayashi, Yasuharu  
PATENT ASSIGNEE(S): Daiichi Suntory Pharma Co.,ltd., Japan; Daiichi  
Suntory Biomedical Research Co.,ltd.  
SOURCE: PCT Int. Appl., 34 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111053	A1	20041223	WO 2004-JP8642	20040611 <--
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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

JP 2006219374	A	20060824	JP 2003-170095	20030613 <--
EP 1636234	A1	20060322	EP 2004-736703	20040611 <--
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JP 2008501617	T	20080124	JP 2006-516843	20040611 <--
US 20060128707	A1	20060615	US 2005-560503	20051213 <--
US 7713972	B2	20100511		
			JP 2003-170095	A 20030613 <--
			WO 2004-JP8642	W 20040611 <--

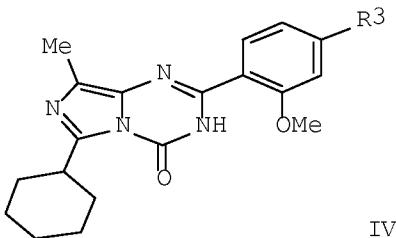
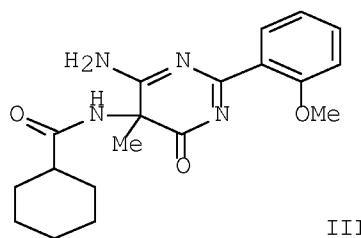
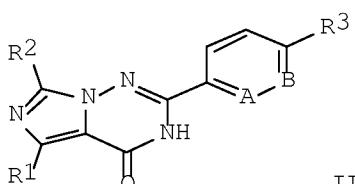
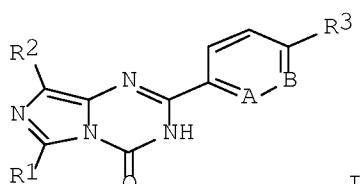
## PRIORITY APPLN. INFO.:

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:74617

ED Entered STN: 24 Dec 2004

GI



AB The invention provides compds. which inhibit PDE 7 selectively, and therefore enhance cellular cAMP levels. Consequently, the compds. are useful for treating various kinds of diseases, such as allergic diseases, inflammatory diseases, or immunol. diseases. The compds. are imidazotriazinones I and II [wherein: A is N or CR4; B is N or CH; R1 is (un)substituted cycloalkyl or tert-Bu; R2 is H or C1-C6 alkyl; R3 is H, NO<sub>2</sub>, cyano, halo, heteroaryl, (un)substituted C1-C6 alkyl, (un)substituted C2-C6 alkenyl, (un)saturated (un)substituted heterocycloalkyl, NR<sub>5</sub>R<sub>6</sub>, COR<sub>7</sub>, SO<sub>2</sub>R<sub>7</sub>, OR<sub>8</sub>, NR<sub>8</sub>COR<sub>7</sub>, NR<sub>8</sub>SO<sub>2</sub>R<sub>7</sub>; R<sub>4</sub> is H or C1-C3 alkoxy group which is (un)substituted by one or more F atom(s); R<sub>5</sub> and R<sub>6</sub> are (independently) H, (un)substituted C1-C6 alkyl, (un)substituted acyl, or (un)substituted heterocycloalkyl; R<sub>7</sub> is H, (un)substituted C1-C6 alkyl group, (un)substituted heterocycloalkyl, OH, OR<sub>8</sub>, or NR<sub>5</sub>R<sub>6</sub>; R<sub>8</sub> is H, (un)substituted C1-C6 alkyl, or (un)substituted heterocycloalkyl; or pharmaceutically acceptable salts or solvates]. The compds. include particularly I and II [wherein: R<sub>1</sub> is cyclohexyl; R<sub>2</sub> is Me; R<sub>3</sub>

is H, NO<sub>2</sub>, cyano, halo, heteroaryl, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)saturated heterocycloalkyl, NR<sub>5</sub>R<sub>6</sub>, COR<sub>7</sub>, SO<sub>2</sub>R<sub>7</sub>, OR<sub>8</sub>, NR<sub>8</sub>COR<sub>7</sub>, NR<sub>8</sub>SO<sub>2</sub>R<sub>7</sub>; A is CR<sub>4</sub>; and B is CH]. The prepared compds. include 4 invention compds. and 8 intermediates. For instance, amidation of Et aminocyanoacetate with cyclohexanecarbonyl chloride gave 71% Et cyano[(cyclohexylcarbonyl)amino]acetate, which was methylated using NaOEt and MeI to give 88% Et 2-cyano-2-[(cyclohexylcarbonyl)amino]propanoate. The latter compound was cyclocondensed with 2-methoxybenzamidine HCl to give 21% pyrimidinone intermediate III, which was cyclized by treatment with Me<sub>3</sub>SiCl and then HMDS to give invention compound IV [R<sub>3</sub> = H]. The exptl. inhibition of human PDE 7 (IC<sub>50</sub>) was 0.34 μM for IV [R<sub>3</sub> = H] and 0.055 μM for IV [R<sub>3</sub> = 4-methylpiperazin-1-yl]. The invention compds. inhibited PDE 7 with a selectivity of more than 10 times compared to PDE 4.

IT 812667-48-4P, N-[6-Amino-2-(2-methoxyphenyl)-5-methyl-4-oxo-4,5-dihydro-5-pyrimidinyl]cyclohexanecarboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of imidazotriazinone derivs. as selective PDE 7 (phosphodiesterase 7) inhibitors)

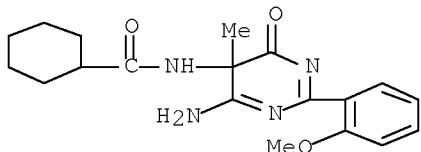
IT 812667-48-4P, N-[6-Amino-2-(2-methoxyphenyl)-5-methyl-4-oxo-4,5-dihydro-5-pyrimidinyl]cyclohexanecarboxamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of imidazotriazinone derivs. as selective PDE 7 (phosphodiesterase 7) inhibitors)

RN 812667-48-4 HCPLUS

CN Cyclohexanecarboxamide, N-[6-amino-4,5-dihydro-2-(2-methoxyphenyl)-5-methyl-4-oxo-5-pyrimidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 17 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:1015876 HCPLUS [Full-text](#)

DOCUMENT NUMBER: 142:23273

TITLE: Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations

INVENTOR(S): Borcherding, David R.; Gross, Alexandre; Shum, Patrick Wai-Kwok; Willard, Nicole; Freed, Brian S.

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 235 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

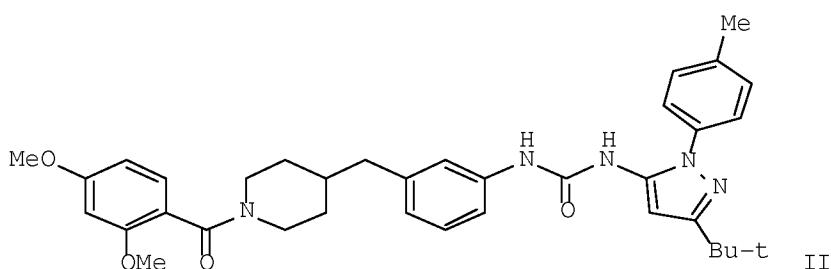
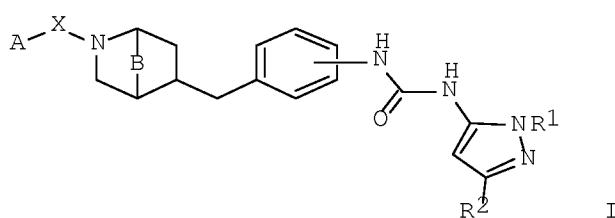
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004100946	A1	20041125	WO 2004-US13875	20040505 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004238241	A1	20041125	AU 2004-238241	20040505 <--
CA 2524043	A1	20041125	CA 2004-2524043	20040505 <--
CA 2524043	C	20091229		
EP 1622610	A1	20060208	EP 2004-751319	20040505 <--
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BR 2004009991	A	20060509	BR 2004-9991	20040505 <--
AT 348610	T	20070115	AT 2004-751319	20040505 <--
JP 2007502324	T	20070208	JP 2006-532565	20040505 <--
PT 1622610	E	20070228	PT 2004-751319	20040505 <--
ES 2277271	T3	20070701	ES 2004-751319	20040505 <--
US 20060063796	A1	20060323	US 2005-264063	20051101 <--
US 7541368	B2	20090602		
PRIORITY APPLN. INFO.:			US 2003-468285P	P 20030506 <--
			WO 2004-US13875	W 20040505 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:23273

ED Entered STN: 25 Nov 2004

GI



AB Title compds. I [Wherein R1 = (cyclo)alkyl, (un)substituted aryl or pyridyl; R2 = (un)substituted (cyclo)alkyl; X = C(O), C(O)CH<sub>2</sub>, S(O)<sub>2</sub>, or NHC(O); A = (un)substituted alk(en/yn)yl; B = (CH<sub>2</sub>)<sub>n</sub>; n = 0 or 2; et al., or pharmaceutically acceptable salts, solvates or ester prodrugs thereof; or ester prodrugs of such salts or solvates], useful as inhibitors of p38 kinase and/or tumor necrosis factor (TNF), were prepared Thus, condensation of 4-methylenepiperidine hydrochloride with 2,4-dimethoxybenzoyl chloride followed by addition reaction with 9-BBN and subsequent Pd-catalyzed coupling with m-bromoaniline gave an aniline derivative This compound underwent addition reaction with 5-isocyanato-3-tert-butyl-1-(4-methylphenyl)pyrazole to afford urea II. Compds. I were tested in several biol. assays. E.g., I showed 50% inhibition at the concns. of 0.3-10000 nM in the p38 cascade assay, at the concns. of 10-50000 nM in the murine p38 assay, and at the concns. of 10-50000 nM in the LPS-induced TNF $\alpha$  assay. Pharmaceutical compns. comprising I are useful in the treatment of disease states capable of being modulated by the inhibition of p38 kinase and/or tumor necrosis factor (TNF), such as asthma and joint inflammation .

IT 1082364-41-7

RL: PRPH (Prophetic)

(Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations)

IT 163611-40-3P, Tumor necrosis factor  $\alpha$  inhibitor

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase and/or tumor necrosis factor (TNF))

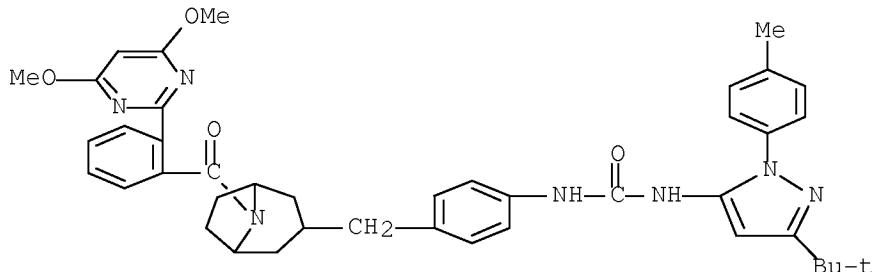
IT 1082364-41-7

RL: PRPH (Prophetic)

(Preparation of pyrazolyl phenyl urea derivatives as inhibitors of p38 kinase and/or tumor necrosis factor (TNF) inhibitors for the treatment of inflammations)

RN 1082364-41-7 HCAPLUS

CN INDEX NAME NOT YET ASSIGNED



IT 163611-40-3P, Tumor necrosis factor  $\alpha$  inhibitor

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl Ph urea derivs. as inhibitors of p38 kinase and/or

tumor necrosis factor (TNF))  
RN 163611-40-3 HCAPLUS  
CN Tumor necrosis factor α inhibitor (human) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 18 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2004:372874 HCAPLUS Full-text  
DOCUMENT NUMBER: 140:375487  
TITLE: Preparation of pyrimidine amino acid derivatives as  
interleukin-8 (IL-8) receptor antagonists  
INVENTOR(S): Erickson, Shawn David; Baldwin, John J.; Dolle, Roland  
Ellwood; Inglese, James; Ohlmeyer, Michael H. J.; Ho,  
Koc-kan; Bohnstedt, Adolph C.; Kultgen, Steven G.;  
Conti, Paolo Giovanni Martino; Leysen, Dirk; Van der  
Louw, Jaap  
PATENT ASSIGNEE(S): Pharmacopeia Drug Discovery, Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 88 pp., Cont.-in-part of U.S.  
Ser. No. 167,232, abandoned.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

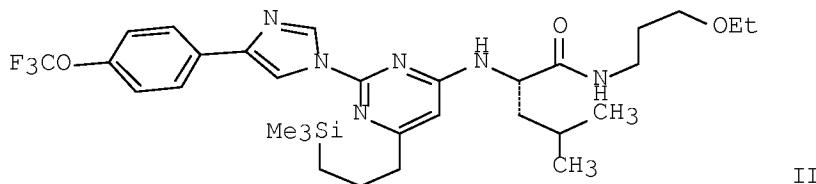
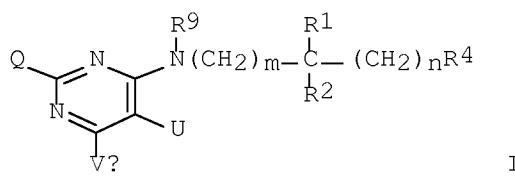
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040087601	A1	20040506	US 2003-340398	20030110 <--
US 7037916	B2	20060502		
WO 2004062609	A2	20040729	WO 2004-US584	20040109 <--
WO 2004062609	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
PRIORITY APPLN. INFO.:			US 1999-144160P	P 19990715 <--
			US 2000-616496	B1 20000714 <--
			US 2002-167232	B2 20020611 <--
			US 2003-340398	A 20030110 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:375487

ED Entered STN: 07 May 2004

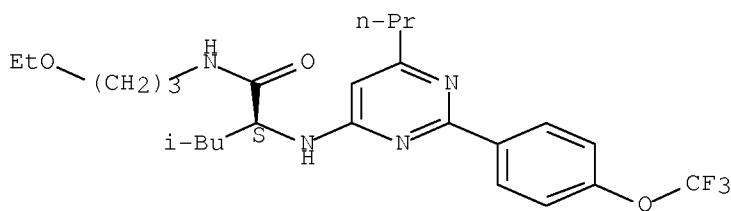
GI



AB Pyrimidine compds. I [Q is hydroxyalkyl, (un)substituted aryl or heterocyclyl, R12O2C(CH<sub>2</sub>)<sub>0-6</sub>, R11R12NCO, R11CONR12, R11C(:NH)NR12, R12CO, R11O2CNR12, R11NHCONR12 or HetB-Y-HetA-, where R11 is H, (un)substituted alkyl, cycloalkyl or aryl, R12 is H or alkyl, HetA and HetB are aryl or heterocyclyl and Y is CH<sub>2</sub>, a bond or O; U is H, halo, hydrocarbyl or substituted alkyl; Va is R<sub>3</sub>, OR<sub>3</sub> or SR<sub>3</sub>, where R<sub>3</sub> is substituted alkyl, arylalkyl, heteroaryloxyalkyl, etc.; R<sub>1</sub> is alkyl, cycloalkyl, aryl, heterocyclyl, arylalkyl or heterocyclalkyl; R<sub>2</sub> is H or alkyl; R<sub>4</sub> is a carbamoyl, carboxy, acylamino or amino group, aryloxy, heterocyclyloxy, etc.; R<sub>9</sub> is H, alkyl or aryl; m, n are 0 or 1] were prepared for treatment of diseases and conditions related to inappropriate interleukin-8 receptor activity. Thus, compound II was prepared via substitution reactions of 3-(trimethylsilyl)propyl bromide, 2,4-dichloropyrimidine, L-leucine 3-ethoxypropylamide hydrochloride, and 4-[4-(trifluoromethoxy)phenyl]-1H-imidazole.

- IT 684221-05-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8)  
 receptor antagonists)
- IT 684220-41-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8)  
 receptor antagonists)
- IT 684221-05-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8)  
 receptor antagonists)
- RN 684221-05-4 HCPLUS
- CN Pentanamide, N-(3-ethoxypropyl)-4-methyl-2-[(6-propyl-2-[4-(trifluoromethoxy)phenyl]-4-pyrimidinyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

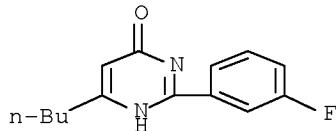


IT 684220-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyrimidine amino acid derivs. as interleukin-8 (IL-8) receptor antagonists)

RN 684220-41-5 HCAPLUS

CN 4(3H)-Pyrimidinone, 6-butyl-2-(3-fluorophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 19 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:310972 HCAPLUS Full-text

DOCUMENT NUMBER: 140:321379

TITLE: Preparation of aminoquinazoline protein kinase B inhibitors as anticancer agents

INVENTOR(S): Barnickel, Gerhard; Egenweiler, Hans-Michael; Eiermann, Volker; Gericke, Rolf; Rautenberg, Wilfried; Sirrenberg, Christian; Scharm, Burkhard

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004030672	A1	20040415	WO 2003-EP9392	20030825 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

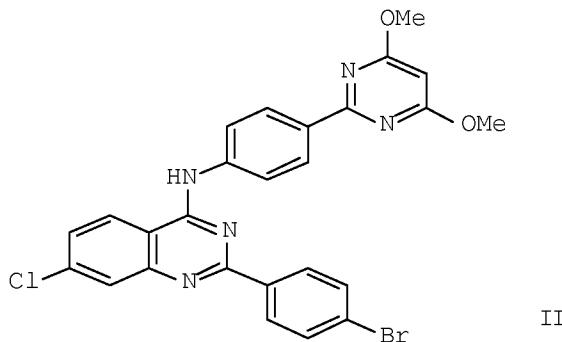
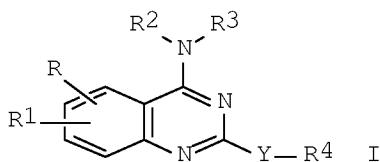
AU 2003255482 A1 20040423 AU 2003-255482 20030825 <--

PRIORITY APPLN. INFO.: EP 2002-22151 A 20021002 <--  
WO 2003-EP9392 W 20030825 <--

OTHER SOURCE(S): MARPAT 140:321379

ED Entered STN: 16 Apr 2004

GI



AB Title compds. I [wherein R and R1 = independently H, alkyl, OH, alkoxy, halo, N(R5)2, NO2, CN, CHO, alkanoyl, CON(R5)2, CO2R5, allyl, CH=CHCO2R5, CH=CHCON(R5)2, alkylsulfonyl, or (un)substituted Ph; R2 and R3 = independently H, (cyclo)alkyl, (un)substituted heterocyclyl(alkyl), alkoxy(alkyl), amino(alkyl), aryl(alkyl), etc.; or NR2R3 = (un)substituted heterocyclyl; R4 = aryl or substituted thiophenyl; R5 = H or alkyl; Y = a direct bond, (CH2)n, or NR5(CH2)m; m = 0-6; n = 1-6; and pharmaceutically tolerable salts and solvates thereof] were prepared as protein kinase B (PKB or Akt or RAC) inhibitors. For example, amidation of 2-amino-4-chlorobenzonitrile with 4-bromobenzoyl chloride in the presence of pyridine in THF afforded 4-bromo-N-(5-chloro-2-cyanophenyl)benzamide. Reduction using NaOH and perhydrite tablets in MeOH, followed by cyclization with NaOH in dioxane gave 2-(4-bromophenyl)-7-chloro-3H-quinazolin-4-one. Reaction with thionyl chloride in DMF provided 2-(5-bromophenyl)-4,7-dichloroquinazoline, which was coupled with 4-(4,6-dimethoxypyrimidin-2-yl)aniline in THF to give II. The latter inhibited PKB with IC50 of 0.0000066 M. Thus, I and their pharmaceutical compns. are useful for the treatment of hyperproliferative disorders, such as cancer, psoriasis, arthritis, inflammation, endometriosis, scarring, or benign prostatic hyperplasia (no data).

IT 405932-39-0P, [2-(4-Bromophenyl)-7-chloroquinazolin-4-yl][3-(4,6-dimethoxypyrimidin-2-yl)phenyl]amine 405932-41-4P,  
[2-(4-Bromophenyl)-7-chloroquinazolin-4-yl][4-(4,6-dimethoxypyrimidin-2-yl)phenyl]amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(PKB inhibitor; preparation of aminoquinazoline PKB inhibitors as  
 anticancer  
 agents)

IT 387350-84-7, [3-(4,6-Dimethoxypyrimidin-2-yl)phenyl]amine  
 387350-86-9, [4-(4,6-Dimethoxypyrimidin-2-yl)phenyl]amine  
 RL: RCT (Reactant); RACT (Reactant or reagent)

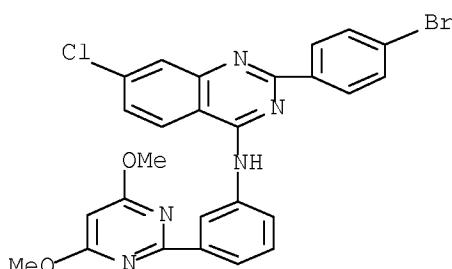
(preparation of aminoquinazoline PKB inhibitors as anticancer agents)  
 IT 405932-39-0P, [2-(4-Bromophenyl)-7-chloroquinazolin-4-yl][3-(4,6-  
 dimethoxypyrimidin-2-yl)phenyl]amine 405932-41-4P,  
 [2-(4-Bromophenyl)-7-chloroquinazolin-4-yl][4-(4,6-dimethoxypyrimidin-2-  
 yl)phenyl]amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(PKB inhibitor; preparation of aminoquinazoline PKB inhibitors as  
 anticancer  
 agents)

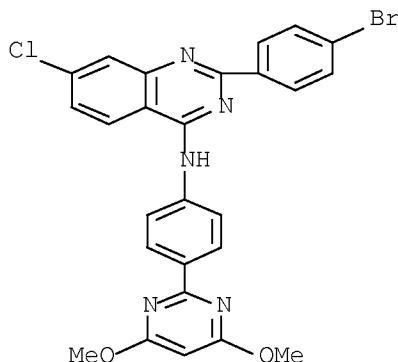
RN 405932-39-0 HCPLUS

CN 4-Quinazolinamine, 2-(4-bromophenyl)-7-chloro-N-[3-(4,6-dimethoxy-2-  
 pyrimidinyl)phenyl]- (CA INDEX NAME)

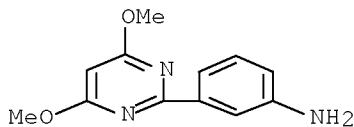


RN 405932-41-4 HCPLUS

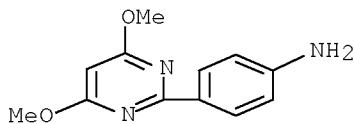
CN 4-Quinazolinamine, 2-(4-bromophenyl)-7-chloro-N-[4-(4,6-dimethoxy-2-  
 pyrimidinyl)phenyl]- (CA INDEX NAME)



IT 387350-84-7, [3-(4,6-Dimethoxypyrimidin-2-yl)phenyl]amine  
 387350-86-9, [4-(4,6-Dimethoxypyrimidin-2-yl)phenyl]amine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of aminoquinazoline PKB inhibitors as anticancer agents)  
 RN 387350-84-7 HCAPLUS  
 CN Benzenamine, 3-(4,6-dimethoxy-2-pyrimidinyl)- (CA INDEX NAME)



RN 387350-86-9 HCAPLUS  
 CN Benzenamine, 4-(4,6-dimethoxy-2-pyrimidinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
 (6 CITINGS)  
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 20 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2004:120855 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 140:163888  
 TITLE: Preparation of  
 (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and  
 analogs as GSK-3 inhibitors  
 INVENTOR(S): Forster, Cornelia J.; Park, Larry C.; Wannamaker,  
 Marion W.; Yao, Yung-Mae  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

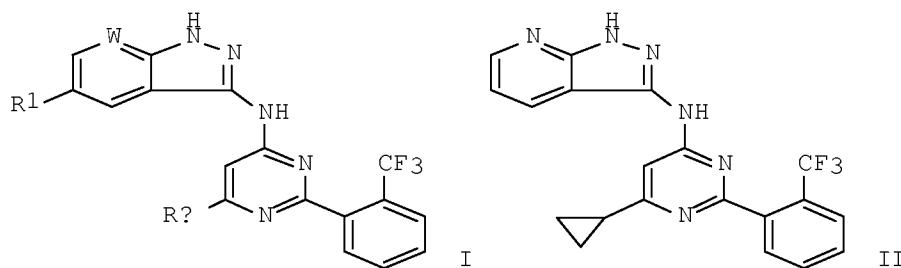
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013140	A1	20040212	WO 2003-US23950	20030731 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 140:163888

ED Entered STN: 13 Feb 2004

GT



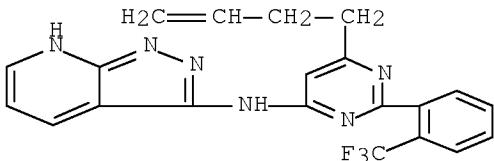
- AB Title compds. I [wherein W = N or CH; R1 = H or F; Ry = aliphatic group optionally substituted with N(R2)2 or heterocycl; R2 = independently H or (un)substituted aliphatic group; with the proviso that when R1 = H and W = CH, then Ry ≠ Me; and pharmaceutically acceptable salts thereof] were prepared as protein kinase inhibitors, especially as glycogen synthase kinase-3 (GSK-3) inhibitors. For example, 4-chloro-6-cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidine was coupled with 1H-pyrazolo[3,4-b]pyridin-3-amine by heating at 130° for 12 h in N-methylpyrrolidinone provided II (57%). Compds. of the invention inhibited GKS-3β with Ki < 100 nM and exhibited ≥ 30% protection against ischemic injury exptl. induced by anoxia-reoxygenation in cultured hippocampal neuronal cells. Thus, I and their pharmaceutically acceptable compns. are useful for the treatment of various protein kinase-mediated disorders, such as stroke, Alzheimer's disease, and neurodegenerative disorders (no data).
- IT 656813-97-7P, [6-(But-3-enyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (GKS-3 inhibitor; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)
- IT 656813-84-2P, (5-Fluoro-1H-indazol-3-yl)[6-methyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl]amine 656813-87-5P,  
 [6-tert-Butyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656813-92-2P,  
 [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-indazol-3-yl)amine 656813-93-3P,  
 [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656813-94-4P,  
 [6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine hydrochloride 656813-98-8P,  
 [6-[3-(Morpholin-4-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656813-99-9P,  
 [6-[3-(Piperidin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-00-5P,  
 [6-(3-Diethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-01-6P,  
 [6-[3-(4-Methylpiperazin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-02-7P,  
 [6-[3-(Piperazin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-03-8P,  
 [6-(3-Dimethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-04-9P,  
 N,N-Dimethyl-N'-[3-[6-[(1H-pyrazolo[3,4-b]pyridin-3-yl)amino]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl]propyl]ethane-1,2-diamine  
 656814-05-0P, [6-(3-Methylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine  
 656814-06-1P, 2-[[3-[6-[(1H-Pyrazolo[3,4-b]pyridin-3-yl)amino]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl]propyl]amino]ethanol  
 656814-07-2P, [6-[3-[(2-(Morpholin-4-yl)ethyl)amino]propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine  
 656814-08-3P, [6-[3-[Methyl[2-(morpholin-4-yl)ethyl]amino]propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-09-4P 656814-10-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (GKS-3 inhibitor; preparation of (pyrimidinyl)(pyrazolo[3,4-

b]pyridinyl)amines and analogs as GSK-3 inhibitors)  
IT 404828-01-9P, 6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-85-3P, 6-tert-Butyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-88-6P,  
6-Cyclopropyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-95-5P, 6-(But-3-enyl)-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

IT 656813-97-7P, [6-(But-3-enyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(GSK-3 inhibitor; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

RN 656813-97-7 HCAPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
N-[6-(3-buten-1-yl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

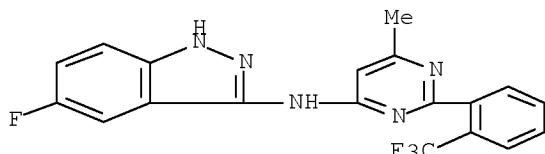


IT 656813-84-2P, (5-Fluoro-1H-indazol-3-yl)[6-methyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl]amine 656813-87-5P,  
[6-tert-Butyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656813-92-2P,  
[6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-indazol-3-yl)amine 656813-93-3P,  
[6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656813-94-4P,  
[6-Cyclopropyl-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine hydrochloride 656813-98-8P,  
[6-[3-(Morpholin-4-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656813-99-9P,  
[6-[3-(Piperidin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-00-5P,  
[6-(3-Diethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-01-6P,  
[6-[3-(4-Methylpiperazin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-02-7P,  
[6-[3-(Piperazin-1-yl)propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-03-8P,  
[6-(3-Dimethylaminopropyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-yl](1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-04-9P,  
N,N-Dimethyl-N'-(3-[6-[(1H-pyrazolo[3,4-b]pyridin-3-yl)amino]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl]propyl)ethane-1,2-diamine 656814-05-0P, [6-(3-Methylaminopropyl)-2-(2-

trifluoromethylphenyl)pyrimidin-4-yl] (1H-pyrazolo[3,4-b]pyridin-3-yl)amine  
 656814-06-1P, 2-[3-[6-[(1H-Pyrazolo[3,4-b]pyridin-3-yl)amino]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl]propyl]amino]ethanol  
 656814-07-2P, [6-[3-[(2-(Morpholin-4-yl)ethyl)amino]propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl] (1H-pyrazolo[3,4-b]pyridin-3-yl)amine  
 656814-08-3P, [6-[3-[Methyl[2-(morpholin-4-yl)ethyl]amino]propyl]-2-(2-trifluoromethylphenyl)pyrimidin-4-yl] (1H-pyrazolo[3,4-b]pyridin-3-yl)amine 656814-09-4P 656814-10-7P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)  
 (GKS-3 inhibitor; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

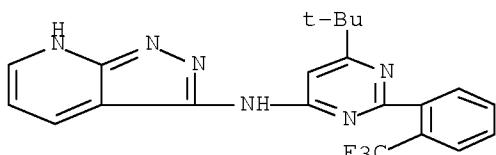
RN 656813-84-2 HCPLUS

CN 1H-Indazol-3-amine, 5-fluoro-N-[6-methyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



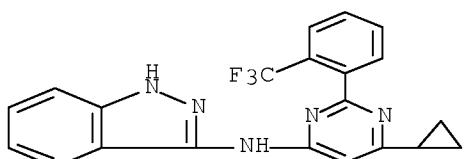
RN 656813-87-5 HCPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-(1,1-dimethylethyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



RN 656813-92-2 HCPLUS

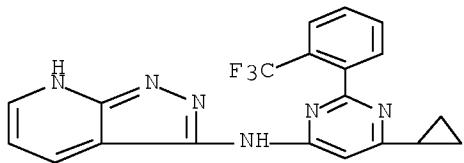
CN 1H-Indazol-3-amine, N-[6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



RN 656813-93-3 HCPLUS

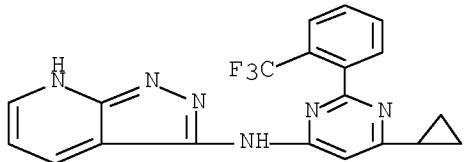
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,

N-[6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



RN 656813-94-4 HCPLUS

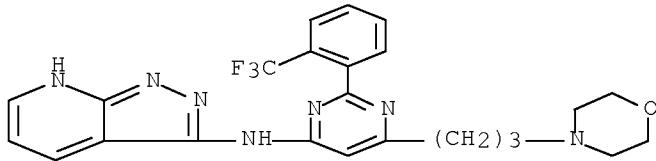
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
N-[6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

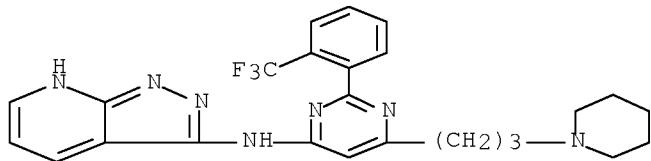
RN 656813-98-8 HCPLUS

CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
N-[6-[3-(4-morpholinyl)propyl]-2-[2-(trifluoromethyl)phenyl]-4-  
pyrimidinyl]- (CA INDEX NAME)

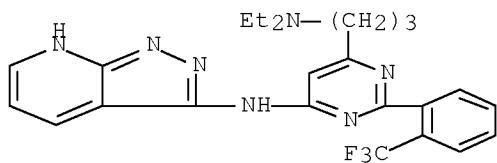


RN 656813-99-9 HCPLUS

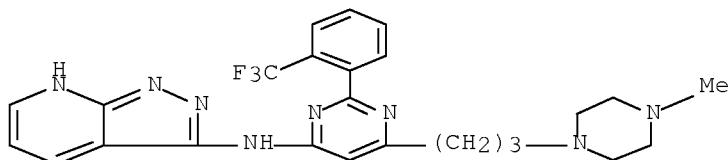
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
N-[6-[3-(1-piperidinyl)propyl]-2-[2-(trifluoromethyl)phenyl]-4-  
pyrimidinyl]- (CA INDEX NAME)



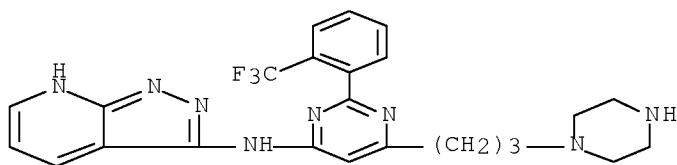
RN 656814-00-5 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-(diethylamino)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]-  
 (CA INDEX NAME)



RN 656814-01-6 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-(4-methyl-1-piperazinyl)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

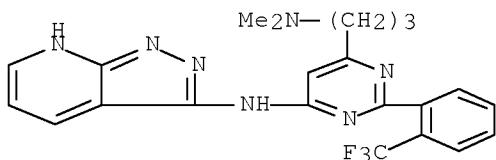


RN 656814-02-7 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-(1-piperazinyl)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

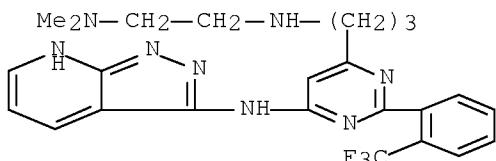


RN 656814-03-8 HCAPLUS

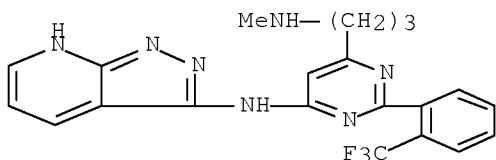
CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-(dimethylamino)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



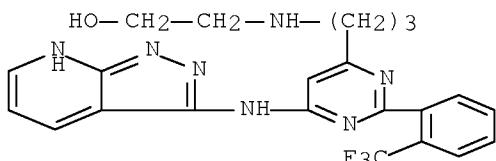
RN 656814-04-9 HCAPLUS  
 CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[3-[6-(1H-pyrazolo[3,4-b]pyridin-3-ylamino)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]propyl]- (CA INDEX NAME)



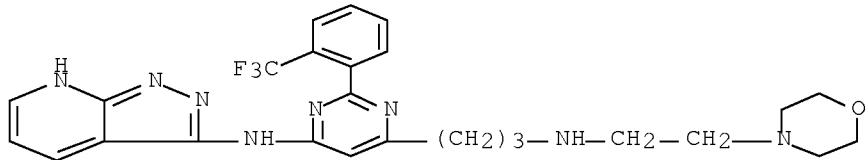
RN 656814-05-0 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-(methylamino)propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



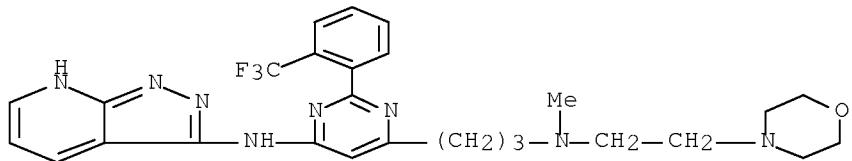
RN 656814-06-1 HCAPLUS  
 CN Ethanol, 2-[ [3-[6-(1H-pyrazolo[3,4-b]pyridin-3-ylamino)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]propyl]amino]- (CA INDEX NAME)



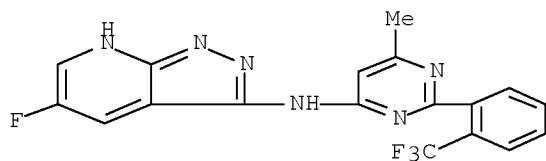
RN 656814-07-2 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-[2-(4-morpholinyl)ethyl]amino]propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl- (CA INDEX NAME)



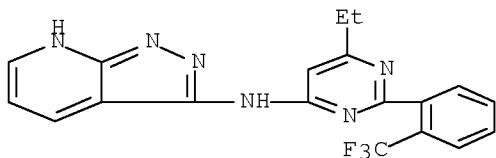
RN 656814-08-3 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-[3-[methyl[2-(4-morpholinyl)ethyl]amino]propyl]-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl- (CA INDEX NAME)



RN 656814-09-4 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 5-fluoro-N-[6-methyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl- (CA INDEX NAME)



RN 656814-10-7 HCAPLUS  
 CN 1H-Pyrazolo[3,4-b]pyridin-3-amine,  
 N-[6-ethyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl- (CA INDEX NAME)



IT 404828-01-9P, 6-Methyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-85-3P, 6-tert-Butyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one 656813-88-6P,

6-Cyclopropyl-2-(2-trifluoromethylphenyl)-3H-pyrimidin-4-one  
656813-95-5P, 6-(But-3-enyl)-2-(2-trifluoromethylphenyl)-3H-

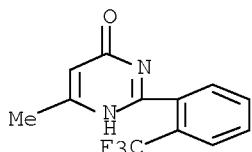
pyrimidin-4-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (pyrimidinyl)(pyrazolo[3,4-b]pyridinyl)amines and analogs as GSK-3 inhibitors)

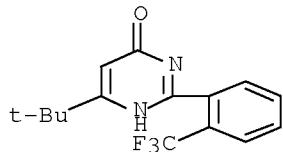
RN 404828-01-9 HCPLUS

CN 4(3H)-Pyrimidinone, 6-methyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



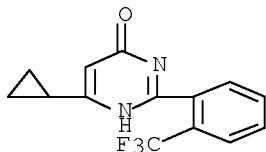
RN 656813-85-3 HCPLUS

CN 4(3H)-Pyrimidinone, 6-(1,1-dimethylethyl)-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)

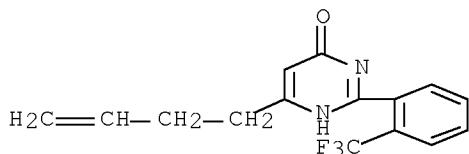


RN 656813-88-6 HCPLUS

CN 4(3H)-Pyrimidinone, 6-cyclopropyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 656813-95-5 HCPLUS  
 CN 4(3H)-Pyrimidinone, 6-(3-buten-1-yl)-2-[2-(trifluoromethyl)phenyl]- (CA  
 INDEX NAME)



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
 RECORD (11 CITINGS)  
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

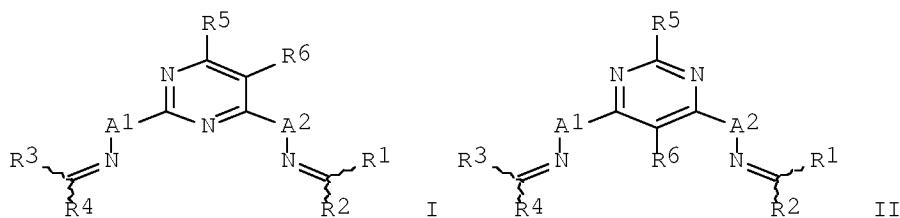
L55 ANSWER 21 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:875259 HCPLUS Full-text  
 DOCUMENT NUMBER: 139:364950  
 TITLE: Preparation of pyrimidine derivatives as mixed lymphocyte reaction (MLR) inhibitors  
 INVENTOR(S): Tsuruoka, Hiroyuki; Kanno, Yuichi; Tatsuta, Tohru  
 PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan  
 SOURCE: PCT Int. Appl., 420 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091223	A1	20031106	WO 2003-JP5216	20030423 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004002391	A	20040108	JP 2003-113563	20030418 <--
AU 2003231459	A1	20031110	AU 2003-231459	20030423 <--
PRIORITY APPLN. INFO.:			JP 2002-120608	A 20020423 <--
			WO 2003-JP5216	W 20030423 <--

OTHER SOURCE(S): MARPAT 139:364950

ED Entered STN: 07 Nov 2003

GI



AB Pyrimidines derivs. such as dihydrazinopyrimidine having the general formula (I) and (II) [wherein R1, R3 = H, lower alkyl, halo-lower alkyl, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, (un)substituted aryl; R2, R4 = each (un)substituted aryl or heterocyclyl; or CR2R1 or CR4R3 together forms an (un)substituted saturated carbocyclic or heterocyclic ring; A1, A2 = NR7, O (wherein R7 = lower alkyl); R5 lower alkylthio, each (un)substituted cycloalkyl, aryl, or heterocyclyl, a group having the formula -D-R8 or CH2-E-R8 (wherein D = NH, O, S; E = O, S, a single bond; R8 = each optionally substituted cycloalkyl, aryl, or heterocyclyl, etc.); R6 = H, lower alkyl, lower alkoxy, lower alkoxy-lower alkyl, mono- or di(lower alkyl)amino-lower alkyl, aralkyl, anilino], pharmaceutically acceptable salts, esters, or other derivs. thereof. are prepared. These pyrimidine derivs. exhibit excellent MLR inhibiting action and are useful for inhibiting allograft rejection in bone marrow or organ transplant or for the treatment and/or prevention of inflammation, organ-specific or organ-nonspecific autoimmune diseases, or allergy, in particular chronic articular rheumatism, multiple sclerosis, inflammatory enteric disease, diabetes, glomerulonephritis, idiopathic biliary cirrhosis, active chronic hepatitis, pernicious anemia, Hashimoto thyroiditis, atrophic gastritis, myasthenia gravis, psoriasis, Sjogren's syndrome, systemic lupus erythematosus, rhinitis, asthma, or atopic dermatitis. They are also useful for inhibiting cancer cells, in particular cancerous lymphocyte. Thus, 480 mg N-(2,6-dichloropyrimidin-4-yl)phenylamine was stirred with 3 mL hydrazine monohydrate at 90° for 1 h, cooled to room temperature, treated with H2O, followed by filtering the precipitated crystals, washing them with water, Et acetate, and drying under reduced pressure to give crude N-(2,6-dihydrazinopyrimidin-4-yl)phenylamine. The latter compound was dissolved in 5 mL dioxane, treated with 1.7 mL 4-acetylpyridine, refluxed for 15 h, distilled to remove the solvent, and suspended in a mixture of ether and Et acetate, followed by pulverizing the precipitated solid, filtration, and washing with a mixture of ether and Et acetate to give 1-(4-pyridinyl)-1-ethanone N-[4-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-2-pyrimidinyl]hydrazone (III). In an MLR inhibition assay, III and 1-(4-pyridinyl)-1-ethanone N-[2-anilino-6-[2-[1-(4-pyridinyl)ethylidene]hydrazino]-4-pyrimidinyl]hydrazone in vitro inhibited the uptake of [<sup>3</sup>H]thymidine in human peripheral lymphocyte with IC<sub>50</sub> of 6.9 and 1.0 nM, resp.

IT 620984-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non)specific autoimmune diseases, or allergy)

IT 620984-93-2P

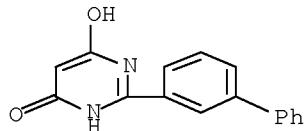
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as mixed lymphocyte reaction inhibitors

for treatment of cancer or allograft rejection and for treatment and/or prevention of inflammation, organ-(non) specific autoimmune diseases, or allergy)

RN 620984-93-2 HCAPLUS

CN 4(3H)-Pyrimidinone, 2-[1,1'-biphenyl]-3-yl-6-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 22 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:757432 HCAPLUS Full-text

DOCUMENT NUMBER: 139:272355

TITLE: 4-aminopyrimidines as antimicrobial agents

INVENTOR(S): Marquais-Bienewald, Sophie; Hoelzl, Werner; Haap, Wolfgang; Preuss, Andrea; Mehlin, Andreas

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077656	A1	20030925	WO 2003-EP2438	20030310 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003214110	A1	20030929	AU 2003-214110	20030310 <--
EP 1484971	A1	20041215	EP 2003-709767	20030310 <--
EP 1484971	B1	20070704		
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JP 2005520821	T	20050714	JP 2003-575716	20030310 <--
CN 1642422	A	20050720	CN 2003-805989	20030310 <--
AT 366045	T	20070715	AT 2003-709767	20030310 <--
ES 2290436	T3	20080216	ES 2003-709767	20030310 <--
US 20050143387	A1	20050630	US 2004-507800	20040913 <--
US 7731985	B2	20100608		
IN 2004CN02294	A	20070223	IN 2004-CN2294	20041011 <--

IN 227182

A1

20090213

PRIORITY APPLN. INFO.:

EP 2002-405201

A 20020315 &lt;--

WO 2003-EP2438

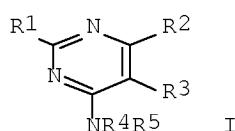
W 20030310 &lt;--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:272355

ED Entered STN: 26 Sep 2003

GI



AB 4-Aminopyrimidines I (Markush included) are prepared as antimicrobial agents.

IT 604789-95-9 604789-96-0 604789-98-2  
 604789-99-3 604790-00-3 604790-03-6  
 604790-08-1 604790-09-2 604790-12-7  
 604790-16-1 604790-21-8 604790-22-9  
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 604790-37-6 604790-38-7 604790-43-4  
 604790-44-5 604790-48-9 604790-49-0  
 604790-54-7 604790-57-0 604790-65-0  
 604790-74-1 604790-89-8

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)

(antimicrobial agent)

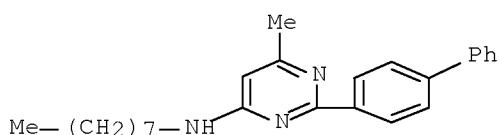
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 604790-37-6 604790-38-7 604790-43-4  
 604790-44-5 604790-48-9 604790-49-0  
 604790-54-7 604790-57-0 604790-65-0  
 604790-74-1 604790-89-8

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)

(antimicrobial agent)

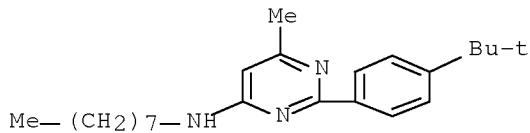
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CN 4-Pyrimidinamine, 2-[1,1'-biphenyl]-4-yl-6-methyl-N-octyl- (CA INDEX NAME)



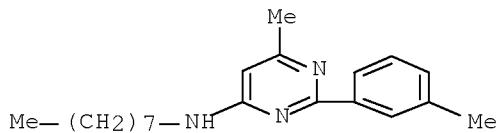
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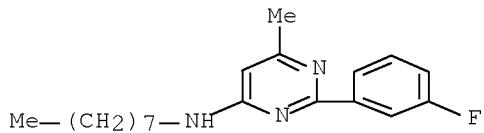
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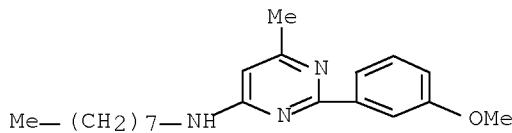
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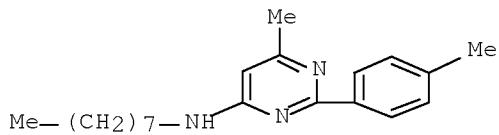
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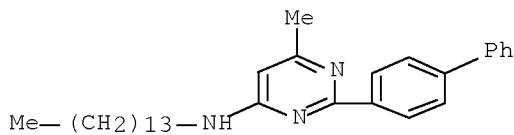


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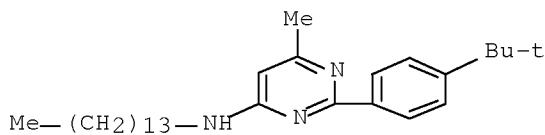
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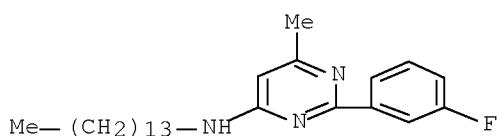
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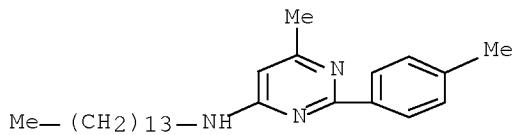
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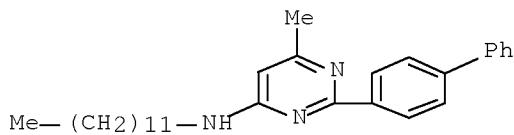


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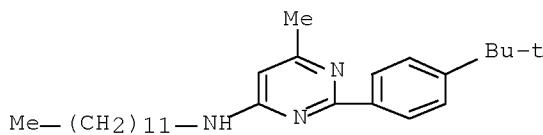
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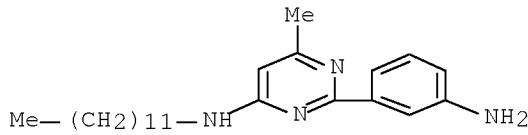
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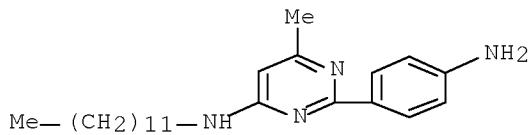
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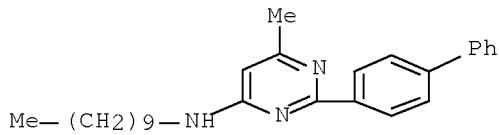


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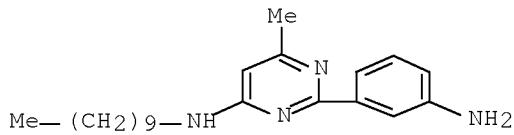
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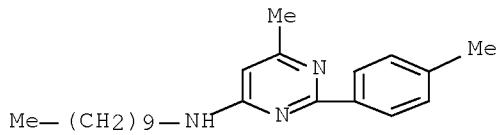
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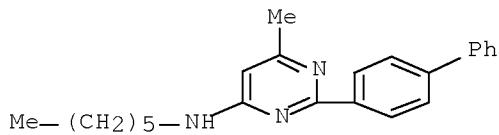
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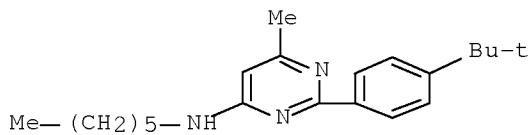
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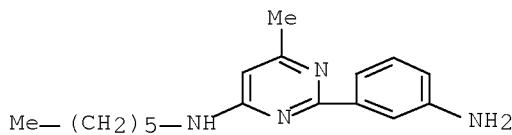
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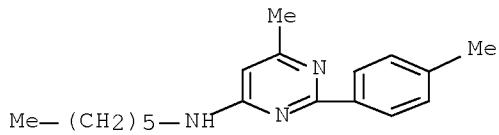
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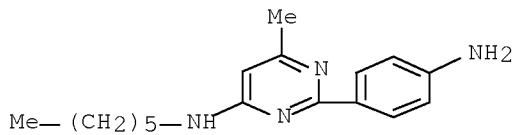
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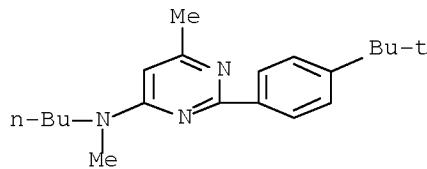


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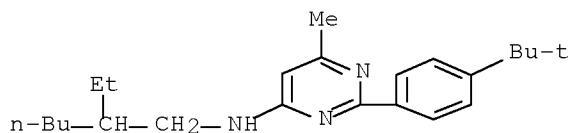
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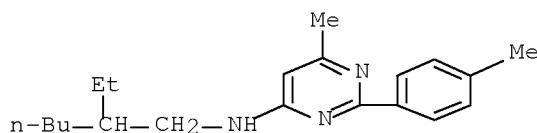
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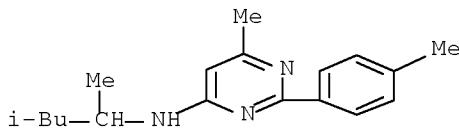
RN 604790-74-1 HCAPLUS

CN 4-Pyrimidinamine, N-(2-ethylhexyl)-6-methyl-2-(4-methylphenyl)-  
(CA INDEX NAME)



RN 604790-89-8 HCAPLUS

CN 4-Pyrimidinamine, N-(1,3-dimethylbutyl)-6-methyl-2-(4-methylphenyl)-  
(CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
 (3 CITINGS)  
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 23 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:656755 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:197497  
 TITLE: Preparation of novel pyridines and pyrimidines as DPP IV inhibitors  
 INVENTOR(S): Boehringer, Markus; Loeffler, Bernd Michael; Peters, Jens-Uwe; Steger, Matthias; Weiss, Peter  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
 SOURCE: PCT Int. Appl., 73 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1476435	A1	20041117	EP 2003-704536	20030205 <--
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## PRIORITY APPLN. INFO.:

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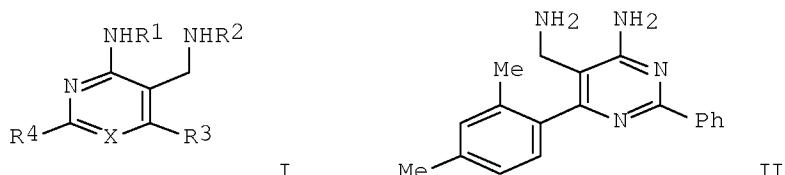
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## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

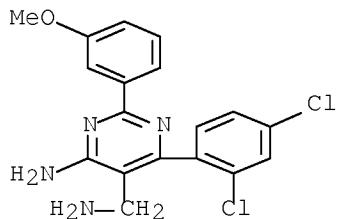
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ED Entered STN: 22 Aug 2003

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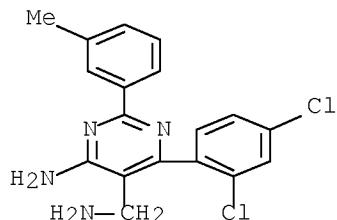


- AB The title compds. [I; X = N, CR5; R1, R2 = H, alkyl; R3 = (un)substituted heterocyclyl or aryl; R4 = alkyl, alkoxy, alkylthio, etc.; R5 = H, alkyl], useful for the treatment and/or prophylaxis of diseases which are associated with DPP IV, such as diabetes, particularly non-insulin dependent diabetes mellitus, and impaired glucose tolerance, were prepared and formulated. Thus, reacting benzamidine with 2-(2,4-dimethylbenzylidene)malononitrile in the presence of K<sub>2</sub>CO<sub>3</sub> in MeOH followed by treating the reaction residue with KMnO<sub>4</sub> in Me<sub>2</sub>CO, and reduction of the resulting nitrile with LiAlH<sub>4</sub> in THF afforded 7% II which showed IC<sub>50</sub> of 0.172 μM against DPP IV.
- IT 582306-07-8P 582306-10-3P 582306-14-7P  
 582306-15-8P 582306-26-1P 582306-27-2P  
 582306-30-7P 582306-31-8P 582306-33-0P  
 582306-35-2P 582306-36-3P 582306-41-0P  
 582306-50-1P 582306-51-2P 582306-72-7P  
 582306-80-7P
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)
- IT 582306-93-2P 582306-99-8P 582307-01-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)
- IT 582306-07-8P 582306-10-3P 582306-14-7P  
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 582306-30-7P 582306-31-8P 582306-33-0P  
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 582306-50-1P 582306-51-2P 582306-72-7P  
 582306-80-7P
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)
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- CN 5-Pyrimidinemethanamine, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methoxyphenyl)- (CA INDEX NAME)



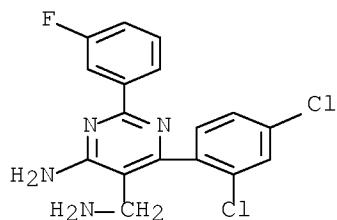
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(CA INDEX NAME)



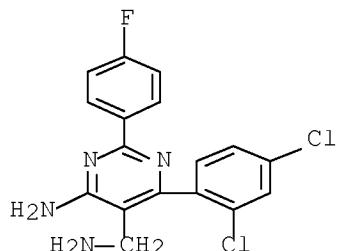
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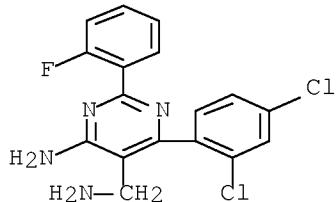
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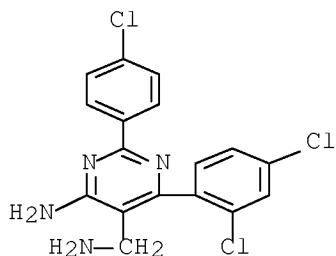
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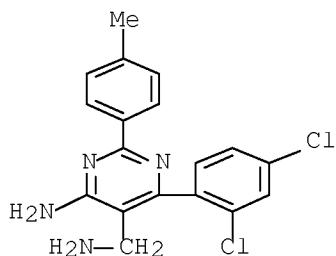
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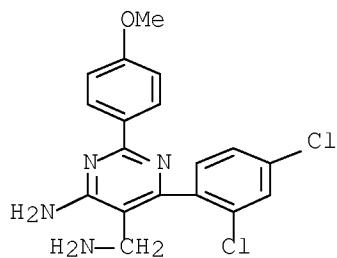
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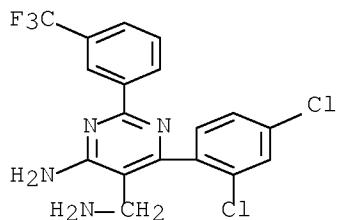


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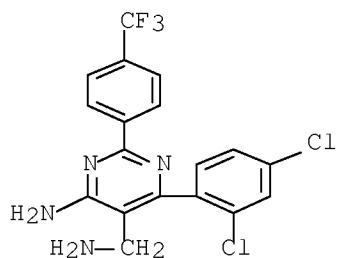
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(CA INDEX NAME)



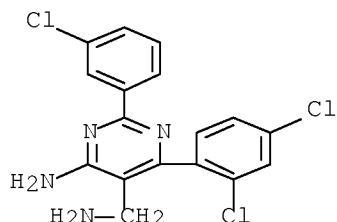
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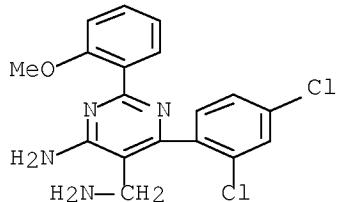


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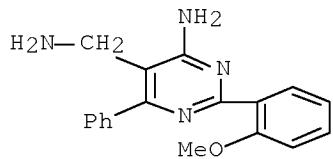
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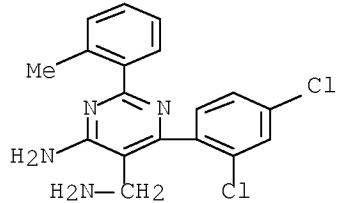
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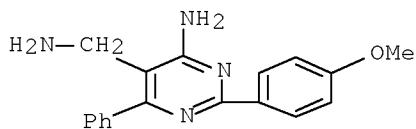
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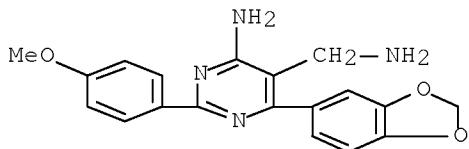
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CN 5-Pyrimidinemethanamine, 4-amino-2-(4-methoxyphenyl)-6-phenyl- (CA INDEX NAME)



RN 582306-80-7 HCPLUS

CN 5-Pyrimidinemethanamine, 4-amino-6-(1,3-benzodioxol-5-yl)-2-(4-methoxyphenyl)- (CA INDEX NAME)



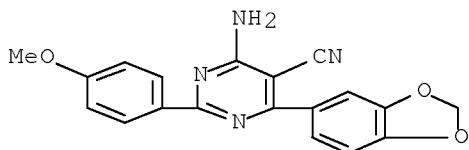
IT 582306-93-2P 582306-99-8P 582307-01-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel pyridine and pyrimidine derivs. as DPP IV inhibitors)

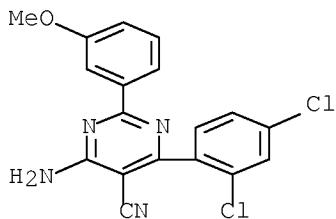
RN 582306-93-2 HCPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(1,3-benzodioxol-5-yl)-2-(4-methoxyphenyl)- (CA INDEX NAME)



RN 582306-99-8 HCPLUS

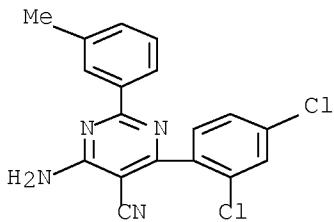
CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-methoxyphenyl)- (CA INDEX NAME)



RN 582307-01-5 HCPLUS

CN 5-Pyrimidinecarbonitrile, 4-amino-6-(2,4-dichlorophenyl)-2-(3-

methylphenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
 (13 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 24 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:511098 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:85366  
 TITLE: Preparation of N-(pyrimidin-4-yl)acetamides as A<sub>2b</sub>  
 adenosine receptor selective antagonists  
 INVENTOR(S): Castelhano, Arlindo; McKibben, Bryan; Steinig, Arno;  
 Collington, Eric William  
 PATENT ASSIGNEE(S): OSI Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 150 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053366	A2	20030703	WO 2002-US41273	20021220 <--
WO 2003053366	A3	20040129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2471059	A1	20030703	CA 2002-2471059	20021220 <--
AU 2002366811	A1	20030709	AU 2002-366811	20021220 <--
AU 2002366811	B2	20090115		
US 20030162764	A1	20030828	US 2002-326204	20021220 <--
US 6916804	B2	20050712		
BR 2002015202	A	20041013	BR 2002-15202	20021220 <--
EP 1465631	A2	20041013	EP 2002-805676	20021220 <--
EP 1465631	B1	20100224		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1620294	A	20050525	CN 2002-828270	20021220 <--

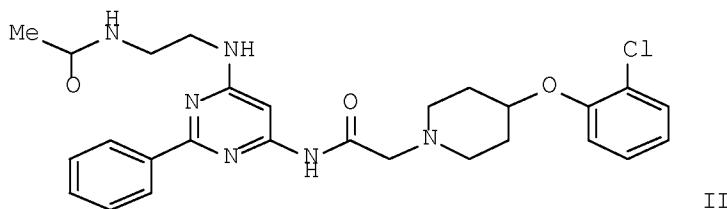
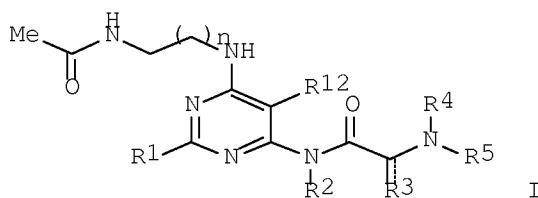
JP 2005517659	T 20050616	JP 2003-554126	20021220 <--
JP 4440642	B2 20100324		
AT 458483	T 20100315	AT 2002-805676	20021220 <--
MX 2004005862	A 20041101	MX 2004-5862	20040616 <--
IN 2004DN01871	A 20070406	IN 2004-DN1871	20040630 <--
US 20050119271	A1 20050602	US 2004-992239	20041118 <--
US 7501407	B2 20090310		
PRIORITY APPLN. INFO.:		US 2001-342595P	P 20011220 <--
		US 2002-326204	A1 20021220 <--
		WO 2002-US41273	W 20021220 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:85366

ED Entered STN: 04 Jul 2003

GI



AB Title compds. I [wherein R1 = (un)substituted Ph, heterocyclyl, or heteroaryl; R2 and R3 = independently H or (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or R2 and R3 are joined to form a heterocyclic ring; wherein the dashed line = a double bond which may be present or absent, and when present R3 = O; R4 and R5 = independently (un)substituted (cyclo)alkyl, alkanoyl, alkoxy(carbonyl), alkenyl, monocyclic or bicyclic aryl, heteroaryl, or heterocyclyl; or NR4R5 = (un)substituted monocyclic or bicyclic, heterocyclyl, or heteroaryl; R12 = H, alkyl, halo, or cyano; n = 0-4; or enantiomers, tautomers, or pharmaceutically acceptable salts thereof] were prepared as A2b adenosine receptor antagonists. For example, cycloaddn. of benzamidine•HCl and di-Et malonate using DBU in DMF gave 2-phenylpyrimidine-4,6-diol (73%). Chlorination (95%), amination (93%), substitution with N-(2-aminoethyl)acetamide (57%), and amidation with chloroacetyl chloride (91%) provided N-[6-(2-acetylaminooethylamino)-2-phenylpyrimidin-4-yl]-2-chloroacetamide. Coupling of the chloroacetamide with 4-(2-chlorophenoxy)piperidine in the presence of NaI and DIPEA in 3:1 acetonitrile:THF afforded II (86%). Compds. of the invention showed greater than tenfold selectivity for the human A2b adenosine receptor (Ki values <100 nM) over the A1, A2a, and A3 receptors in radioligand binding assays. Thus, I and pharmaceutical compns. comprising I are useful for the treatment of

diseases associated with the A2b adenosine receptor, such as asthma, diabetes, or proliferating tumors associated with mast cell degranulation (no data).

IT 552872-58-9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(quinolin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-59-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(isoquinolin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-60-3P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(quinoxalin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-61-4P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(isoquinolin-1-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-62-5P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-5-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-63-6P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2,5-dihydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-64-7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(pyrazin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-65-8P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(pyridin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
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 552872-68-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-indol-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-69-2P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-70-3P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-71-4P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1-methyl-6-oxo-1',4,5,6-tetrahydropyridazin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-72-5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)vinyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-73-6P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-hydroxy-4-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-74-7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-nitrophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-75-8P,  
 N-[2-[(6-[4-[3-(1H-Benzimidazol-2-yl)propanoyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-76-1P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-4-methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-77-2P,  
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 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-yl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-80-5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[3-(1H-indol-3-yl)propanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-81-6P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(pyridin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-82-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[4-(1H-indol-3-yl)butanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-83-8P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-methylpyrazin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-84-9P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-85-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-oxo-4,5,6,7-tetrahydro-1-benzofuran-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-

yl]amino]ethyl]acetamide 552872-86-3P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-(methylsulfanyl)pyridin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-87-4P, N-[2-[[6-[4-(1-tert-Butyl-3-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-88-5P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2Z)-2-(3-oxo-2-benzofuran-1(3H)-ylidene)ethanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-89-6P, N-[2-[[6-[4-(Benzothien-2-ylcarbonyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-90-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(trifluoromethoxy)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-91-0P,  
 N-[2-[[6-[4-[(5-Chloro-2-hydroxypyridin-3-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-92-1P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-4-oxo-4-(2,3,4,5,6-pentamethylphenyl)but-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-93-2P,  
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 N-[2-[4-[6-[[2-(Acetylamino)ethyl]amino]-2-(4-chlorophenyl)pyrimidin-4-yl]piperazin-1-yl]-2-oxoethyl]-4-chlorobenzamide 552872-95-4P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2,4-dihydroxypyrimidin-5-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-96-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1,2,3-thiadiazol-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-97-6P, N-[2-[[6-[4-[(5-Chloro-2-(methylsulfanyl)pyrimidin-4-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-98-7P,  
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 552872-99-8P, N-[2-[[6-[4-[(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide  
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 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-nitrophenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-01-5P,  
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 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-03-7P,  
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 552873-07-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-pyrrol-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-08-2P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-vinylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-09-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(cyclohexylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
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 552873-11-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-12-8P,  
 N-[2-[[6-[4-[(1,1'-Biphenyl-4-yl)acetyl]piperazin-1-yl]-2-(4-

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 552873-14-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-nitrophenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-15-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-16-2P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
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 552873-21-9P, N-[2-[(6-[4-(4-Butylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-22-0P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-23-1P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-phenoxypropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-24-2P,  
 N-[2-[(6-[4-[(1,3-Benzodioxol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-25-3P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-[(phenylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-26-4P,  
 N-[2-[(6-[4-(Bicyclo[2.2.1]hept-5-en-2-ylcarbonyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-27-5P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-[(hydroxy(phenyl)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-28-6P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-naphthoxy)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-29-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1-phenylcyclopentyl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-30-0P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-sulfanylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-31-1P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(tetrahydrofuran-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-32-2P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(cyclopentyl(phenyl)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-33-3P,  
 N-[2-[(6-[4-(4-tert-Butylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-34-4P  
 , N-[2-[(6-[4-(1-Adamantylcarbonyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-35-5P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-methoxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-36-6P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(4-cyclohexylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-37-7P,  
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 , N-[2-[(6-[4-(5-Chloro-2-hydroxybenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-42-4P  
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yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-43-5P,  
 N-[2-[[6-[4-[(Acetylamino)acetyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-44-6P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-hydroxy-3-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-45-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-hydroxy-4-methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-46-8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-phenylprop-2ynoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-47-9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-fluoro-1-naphthoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-48-0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(5-formyl-2-hydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-49-1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(cyclohex-1-en-1-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-50-4P, N-[2-[[6-[4-[(1,1'-Biphenyl-4-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-51-5P, N-[2-[[6-[4-[(4-Bromophenyl)acetyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-52-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-(methylsulfanyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-53-7P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(methylsulfonyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-54-8P,  
 N-[2-[[6-[4-(4-Benzoylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-55-9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(trifluoromethyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-56-0P,  
 N-[2-[[6-[4-(4-Acetylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-57-1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-cyanobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-58-2P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(trifluoromethyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-59-3P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-cyanobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-60-6P,  
 N-[2-[[6-[4-[(1H-Benzimidazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-61-7P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-(diphenylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-62-8P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-ethylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-63-9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-hydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-64-0P,  
 N-[2-[[6-[4-(3-Bromobenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-65-1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-oxopentanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-66-2P,  
 N-[2-[[2-(4-Chlorophenyl)-6-(4-[(4-chlorophenyl)sulfanyl]acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-67-3P,  
 N-[2-[[6-[4-[(4-Acetyl-3,5-dimethyl-1H-pyrrol-2-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-68-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-3-yl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-69-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-furyl(4-phenyl)piperazin-1-yl]acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-70-8P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-furyl(morpholin-4-yl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-71-9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-methyl-1H-benzimidazol-5-

yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-72-0P, N-[2-[[2-(4-Chlorophenyl)-6-(4-isobutyrylpiperazin-1-  
 yl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-73-1P,  
 N-[2-[[6-[4-[(1H-Benzimidazol-2-yl)sulfanyl]acetyl]piperazin-1-yl]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-74-2P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxyquinolin-4-  
 yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-75-3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-chlorothien-2-  
 yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-76-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-  
 cyanophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552873-77-5P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-nitrothien-3-yl)carbonyl]piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-78-6P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-  
 (trifluoromethyl)cyclohexyl)carbonyl]piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552873-79-7P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3ethoxythien-2-yl)carbonyl]piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-80-0P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(2-furyl)prop-2-enoyl]piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-81-1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(2,3,5,6-tetrafluoro-4-  
 methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-82-2P, N-[2-[[6-[4-(5-Bromo-2-furoyl)piperazin-1-yl]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-83-3P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-(4,4,4-trifluoro-3-hydroxy-3-  
 methylbutanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-84-4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(2,3,4-  
 trifluorophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552873-85-5P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(8-hydroxyquinolin-2-yl)carbonyl]piperazin-  
 1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-86-6P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(6-hydroxy-2-naphthoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-87-7P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(4-isopropylphenyl)prop-2-  
 enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-88-8P

, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(thien-2-yl)prop-2-enoyl]piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-89-9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3E)-4-phenylbut-3-enoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-90-2P,  
 N-[2-[[6-[4-[(1-Benzoylpiperidin-4-yl)carbonyl]piperazin-1-yl]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-91-3P  
 , N-[2-[[6-[4-[(Aminocarbothioyl)amino]benzoyl]piperazin-1-yl]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-92-4P  
 , Methyl 3-[[4-[6-[[2-(acetylamino)ethyl]amino]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]piperazin-1-yl]carbonyl]isonicotinate  
 552873-93-5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-oxo-3-  
 phenylpropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-94-6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[5-(1,2-dithiolan-3-  
 yl)pentanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-95-7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-methyl-5,6-  
 dihydro-1,4-oxathiin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552873-96-8P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1H-tetrazol-1-yl)acetyl]piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-97-9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3-(2-furyl)propanoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-98-0P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E,4E)-5-phenylpenta-2,4-  
 dienoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide

552873~99~1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-nitropropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~00~7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-(4-methylphenoxy)pyridin-3-yl]carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874~01~8P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-((2E)-3-(pyridin-2-yl)prop-2-enoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~02~9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-methyl-2-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~03~0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[3-(thien-2-yl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~04~1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-methyl-2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874~05~2P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4'-hydroxy[1,1'-biphenyl]-4-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~06~3P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(cyclohex-3-en-1-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~07~4P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[3-(4-hydroxyphenyl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874~08~5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[3-(3,4,5-trimethoxyphenyl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874~09~6P,  
 N-[2-[(6-(Acetylpiperazin-1-yl)-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552874~10~9P,  
 N-[2-[(2-(4-Chlorophenyl)-6-(4-propionylpiperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide 552874~11~0P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[2-hydroxy-5-(1H-pyrrol-1-yl)benzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874~12~1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-3-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(A2b antagonist; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

IT 83217~77~0P, 2-(4-Chlorophenyl)pyrimidine-4,6-diol  
 223659~76~5P, 2-(4-Chlorophenyl)-5-methylpyrimidine-4,6-diol  
 552872~56~7P, N-[2-[(2-(4-Chlorophenyl)-6-(piperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

IT 552872~58~9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(quinolin-2-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872~59~0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(isoquinolin-3-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872~60~3P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(quinoxalin-2-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872~61~4P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(isoquinolin-1-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872~62~5P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-5-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872~63~6P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2,5-dihydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872~64~7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(pyrazin-2-

yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-65-8P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(pyridin-3-  
 yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-66-9P, N-[2-[(2-(4-Chlorophenyl)-6-(4-  
 isonicotinoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-67-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-hydroxypyridin-3-  
 yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-68-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-indol-2-  
 yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-69-2P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-  
 yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-70-5P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-  
 nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-71-6P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1-methyl-6-oxo-  
 1',4,5,6-tetrahydropyridazin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552872-72-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-  
 yl)vinyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-73-8P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-hydroxy-4-  
 methoxyphenyl)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-74-9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-  
 nitrophenyl)prop-2-enoyl)piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552872-75-0P,  
 N-[2-[(6-[4-[3-(1H-Benzimidazol-2-yl)propanoyl)piperazin-1-yl]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-76-1P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-4-methylbenzoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-77-2P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-3-methoxybenzoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-78-3P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-3-methylbenzoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-79-4P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-indol-3-yl)acetyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-80-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[3-(1H-indol-3-yl)propanoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-81-8P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(pyridin-2-yl)carbonyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-82-9P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[4-(1H-indol-3-yl)butanoyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-83-0P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-methylpyrazin-2-yl)carbonyl)piperazin-1-  
 yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-84-1P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-  
 yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-85-2P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-oxo-4,5,6,7-  
 tetrahydro-1-benzofuran-3-yl)carbonyl)piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552872-86-3P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-(methylsulfanyl)pyridin-3-  
 yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-87-4P, N-[2-[(6-[4-[(1-tert-Butyl-3-methyl-1H-pyrazol-5-  
 yl)carbonyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-  
 yl]amino]ethyl]acetamide 552872-88-5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2Z)-2-(3-oxo-2-benzofuran-1(3H)-  
 ylidene)ethanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-89-6P, N-[2-[(6-[4-[(Benzothien-2-ylcarbonyl)piperazin-1-yl]-  
 2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide  
 552872-90-9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-  
 (trifluoromethoxy)benzoyl)piperazin-1-yl]pyrimidin-4-  
 yl]amino]ethyl]acetamide 552872-91-0P,  
 N-[2-[(6-[4-[(5-Chloro-2-hydroxypyridin-3-yl)carbonyl)piperazin-1-yl]-2-(4-  
 chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-92-1P

, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-4-oxo-4-(2,3,4,5,6-pentamethylphenyl)but-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-93-2P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[4-(trifluoroacetyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-94-3P,  
 N-[2-[4-[6-[(2-(Acetylamino)ethyl]amino]-2-(4-chlorophenyl)pyrimidin-4-yl]piperazin-1-yl]-2-oxoethyl]-4-chlorobenzamide 552872-95-4P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2,4-dihydroxypyrimidin-5-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-96-5P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1,2,3-thiadiazol-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-97-6P, N-[2-[6-[4-[(5-Chloro-2-(methylsulfanyl)pyrimidin-4-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552872-98-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1-(2-furylmethyl)-5-oxopyrrolidin-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552872-99-8P, N-[2-[(6-[4-[(3-tert-Butyl-1-methyl-1H-pyrazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-00-4P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-nitrophenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-01-5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2,5-dimethoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-02-6P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-03-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-04-8P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-05-9P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1,2,3,4-tetrahydronaphthalen-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-06-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2R)-2-hydroxy-3-phenylpropanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-07-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-pyrrol-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-08-2P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(4-vinylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-09-3P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(cyclohexylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-10-6P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-(1H-pyrrol-1-yl)benzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-11-7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl)propanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-12-8P,  
 N-[2-[(6-[4-[(1,1'-Biphenyl-4-yl)acetyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-13-9P,  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-[(6-methoxy-3-oxo-2,3-dihydro-1H-inden-1-yl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-14-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-nitrophenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-15-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-16-2P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(4-methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-17-3P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-18-4P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(4-methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-19-5P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide

552873~20~8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(3-methylphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~21~9P, N-[2-[[6-[4-(4-Butylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~22~0P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~23~1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-phenoxypropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~24~2P,  
 N-[2-[[6-[4-[(1,3-Benzodioxol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~25~3P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-(phenylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~26~4P,  
 N-[2-[[6-[4-(Bicyclo[2.2.1]hept-5-en-2-ylcarbonyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~27~5P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[hydroxy(phenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~28~6P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-naphthoxy)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~29~7P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(1-phenylcyclopentyl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~30~0P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-sulfanylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~31~1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(tetrahydrofuran-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~32~2P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[cyclopentyl(phenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~33~3P,  
 N-[2-[[6-[4-(4-tert-Butylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~34~4P  
 , N-[2-[[6-[4-(1-Adamantylcarbonyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~35~5P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-methoxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~36~6P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-cyclohexylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~37~7P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(1-naphthoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~38~8P,  
 N-[2-[[6-(4-Benzoylpiperazin-1-yl)-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~39~9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(2,4-dihydroxyphenyl)propanoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~40~2P,  
 N-[2-[[6-[4-(4-Bromo-3-methylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~41~3P  
 , N-[2-[[6-[4-(5-Chloro-2-hydroxybenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~42~4P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(dimethylamino)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~43~5P,  
 N-[2-[[6-[4-[(Acetylamino)acetyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~44~6P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-hydroxy-3-methoxyphenyl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~45~7P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-hydroxy-4-methylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~46~8P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-phenylprop-2-ynoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~47~9P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-fluoro-1-naphthoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~48~0P, N-[2-[[2-(4-Chlorophenyl)-6-[4-(5-formyl-2-hydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~49~1P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(cyclohex-1-en-1-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide

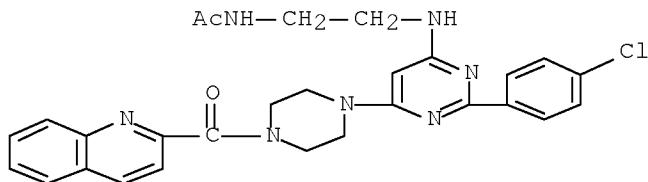
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 552873~51~5P, N-[2-[[6-[4-[(4-Bromophenyl)acetyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~52~6P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-(methylsulfanyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~53~7P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(methylsulfonyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~54~8P,  
 N-[2-[[6-[4-(4-Benzoylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~55~9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[4-(trifluoromethyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~56~0P,  
 N-[2-[[6-[4-(4-Acetylbenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~57~1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-cyanobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~58~2P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[3-(trifluoromethyl)benzoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~59~3P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(3-cyanobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~60~6P,  
 N-[2-[[6-[4-[(1H-Benzimidazol-5-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~61~7P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-(diphenylacetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~62~8P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-ethylbenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~63~9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(2-hydroxybenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~64~0P,  
 N-[2-[[6-[4-(3-Bromobenzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~65~1P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-(4-oxopentanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~66~2P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(4-chlorophenyl)sulfanyl]acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~67~3P,  
 N-[2-[[6-[4-[(4-Acetyl-3,5-dimethyl-1H-pyrrol-2-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~68~4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-3-yl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~69~5P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-furyl(4-phenyl)piperazin-1-yl]acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~70~6P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[2-furyl(morpholin-4-yl)acetyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~71~9P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-methyl-1H-benzimidazol-5-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~72~0P, N-[2-[[2-(4-Chlorophenyl)-6-(4-isobutyrylpiperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~73~1P,  
 N-[2-[[6-[4-[(1H-Benzimidazol-2-yl)sulfanyl]acetyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873~74~2P  
 , N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2-hydroxyquinolin-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~75~3P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-chlorothien-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873~76~4P, N-[2-[[2-(4-Chlorophenyl)-6-[4-[(2E)-3-(3-cyanophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~77~5P,  
 N-[2-[[2-(4-Chlorophenyl)-6-[4-[(5-nitrothien-3-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873~78~6P,  
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(trifluoromethyl)cyclohexyl]carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-79-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-ethoxythien-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-80-0P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-3-(2-furyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-81-1P,  
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 552873-82-2P, N-[2-[(6-[4-(5-Bromo-2-furoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-83-3P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-(4,4,4-trifluoro-3-hydroxy-3-methylbutanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-84-4P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-3-(2,3,4-trifluorophenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-85-5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(8-hydroxyquinolin-2-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-86-6P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(6-hydroxy-2-naphthoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-87-7P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-3-(4-isopropylphenyl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-88-8P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2E)-3-(thien-2-yl)prop-2-enoyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-89-9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3E)-4-phenylbut-3-enoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-90-2P, N-[2-[(6-[4-[(1-Benzoylpiperidin-4-yl)carbonyl]piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-91-3P,  
 N-[2-[(6-[4-[(Aminocarbothioyl)amino]benzoyl)piperazin-1-yl]-2-(4-chlorophenyl)pyrimidin-4-yl]amino]ethyl]acetamide 552873-92-4P  
 , Methyl 3-[(4-[(2-(acetylamino)ethyl]amino)-2-(4-chlorophenyl)pyrimidin-4-yl)piperazin-1-yl]carbonyl]isonicotinate  
 552873-93-5P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-oxo-3-phenylpropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-94-6P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-(1,2-dithiolan-3-yl)pentanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552873-95-7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-methyl-5,6-dihydro-1,4-oxathiin-3-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-96-8P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(1H-tetrazol-1-yl)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-97-9P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-(2-furyl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552873-98-0P,  
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 552873-99-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-(4-nitropropanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874-00-7P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(2-(4-methylphenoxy)pyridin-3-yl)carbonyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-01-8P,  
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 552874-02-9P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-methyl-2-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874-03-0P, N-[2-[(2-(4-Chlorophenyl)-6-[4-[(3-thien-2-yl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 552874-04-1P  
 , N-[2-[(2-(4-Chlorophenyl)-6-[4-[(5-methyl-2,4-dioxo-3,4-dihydropyrimidin-1(2H)-yl)acetyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
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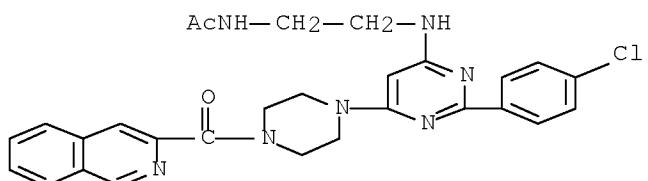
biphenyl]-4-yl)carbonyl]piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-06-3P,  
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 N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-(4-hydroxyphenyl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-08-5P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(3-(3,4,5-trimethoxyphenyl)propanoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-09-6P,  
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 N-[2-[(2-(4-Chlorophenyl)-6-(4-propionyl)piperazin-1-yl)pyrimidin-4-yl]amino]ethyl]acetamide 552874-11-0P,  
 N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-5-(1H-pyrrol-1-yl)benzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide 552874-12-1P, N-[2-[(2-(4-Chlorophenyl)-6-[4-(2-hydroxy-3-nitrobenzoyl)piperazin-1-yl]pyrimidin-4-yl]amino]ethyl]acetamide  
 RL: FAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(A2b antagonist; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

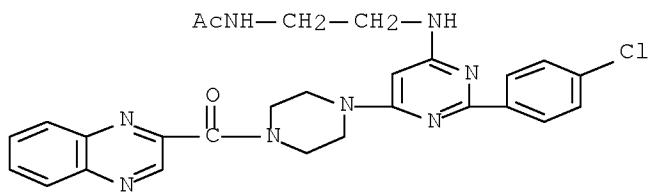
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RN 552872-59-0 HCPLUS  
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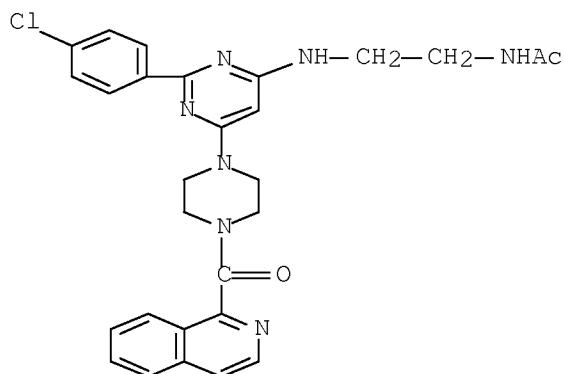


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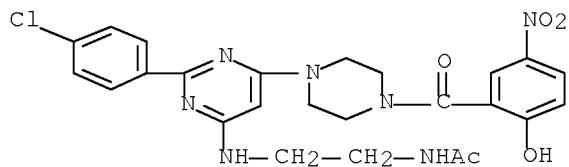
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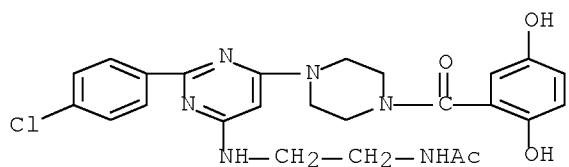
RN 552872-62-5 HCPLUS

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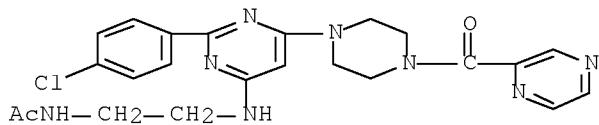
RN 552872-63-6 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2,5-dihydroxybenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



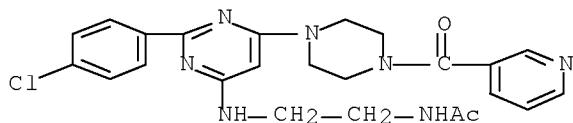
RN 552872-64-7 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(2-pyrazinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



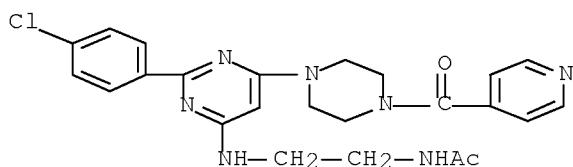
RN 552872-65-8 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(3-pyridinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



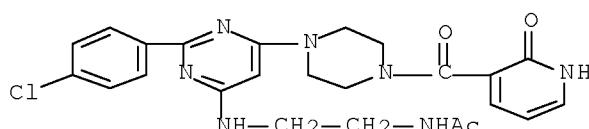
RN 552872-66-9 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(4-pyridinylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



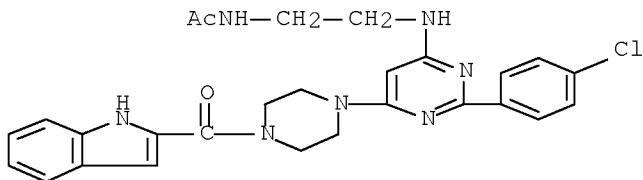
RN 552872-67-0 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(1,2-dihydro-2-oxo-3-pyridinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



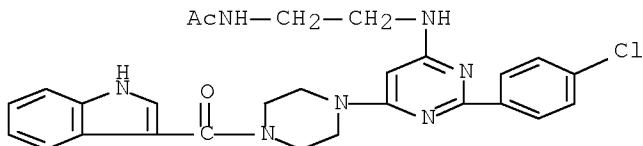
RN 552872-68-1 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1H-indol-2-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



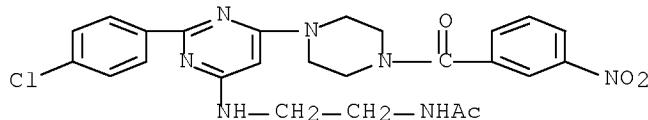
RN 552872-69-2 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1H-indol-3-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



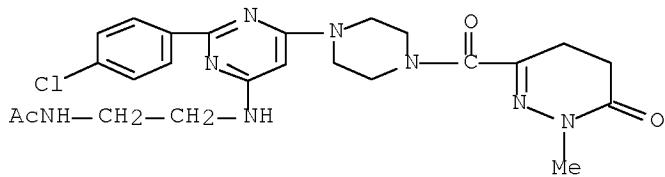
RN 552872-70-5 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(3-nitrobenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



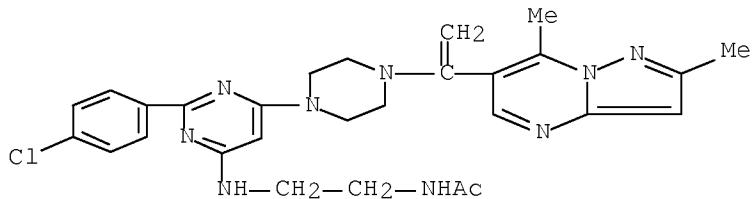
RN 552872-71-6 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(1,4,5,6-tetrahydro-1-methyl-6-oxo-3-pyridazinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



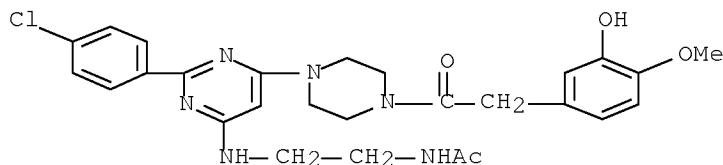
RN 552872-72-7 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[1-(2,7-dimethylpyrazolo[1,5-a]pyrimidin-6-yl)ethenyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552872-73-8 HCAPLUS

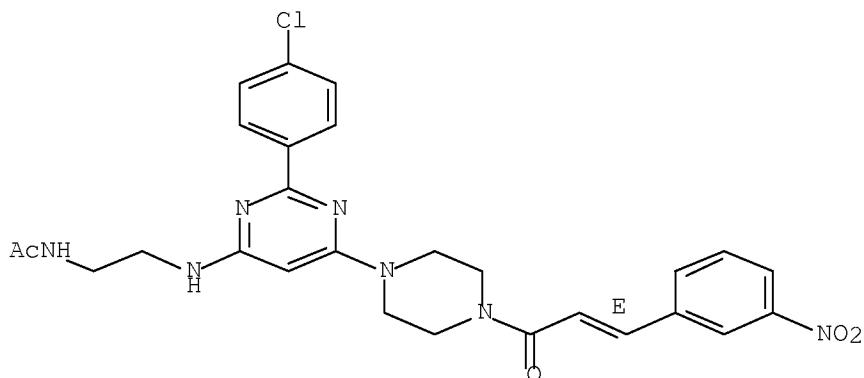
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(3-hydroxy-4-methoxyphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552872-74-9 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2E)-3-(3-nitrophenyl)-1-oxo-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

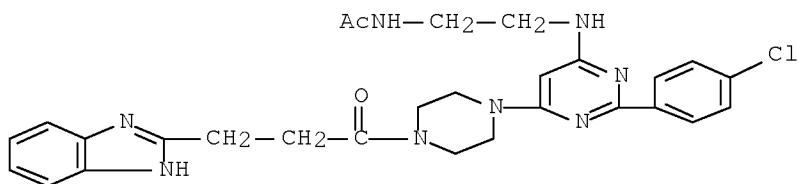
Double bond geometry as shown.



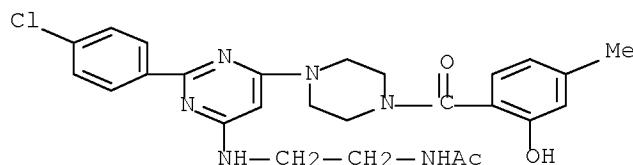
RN 552872-75-0 HCAPLUS

CN Acetamide, N-[2-[(6-[4-[3-(1H-benzimidazol-2-yl)-1-oxopropyl]-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

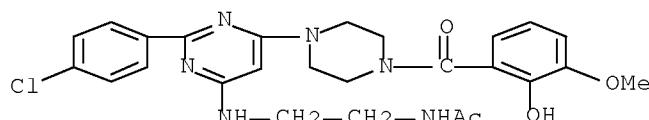
NAME)



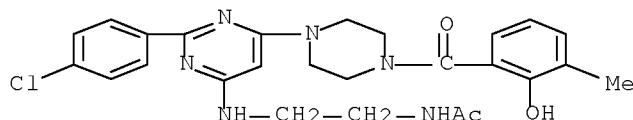
RN 552872-76-1 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-hydroxy-4-methylbenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



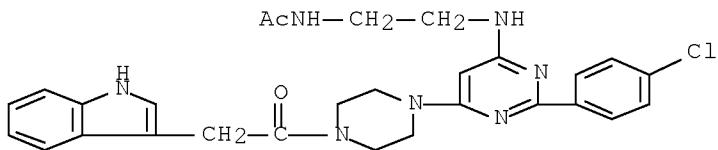
RN 552872-77-2 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-hydroxy-3-methoxybenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



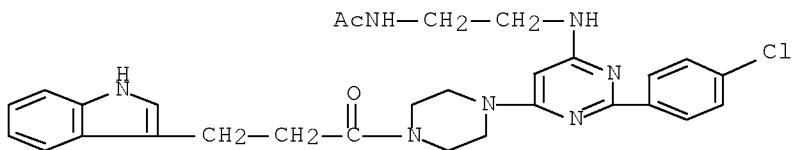
RN 552872-78-3 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-hydroxy-3-methoxybenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



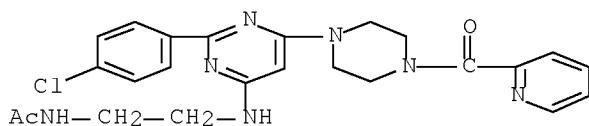
RN 552872-79-4 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(1H-indol-3-yl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



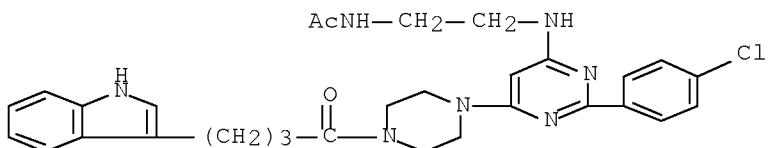
RN 552872-80-7 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-(1H-indol-3-yl)-1-oxopropyl]-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



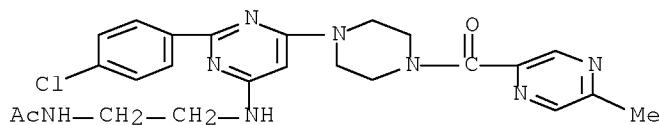
RN 552872-81-8 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-pyridinylcarbonyl)-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552872-82-9 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[4-(1H-indol-3-yl)-1-oxobutyl]-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

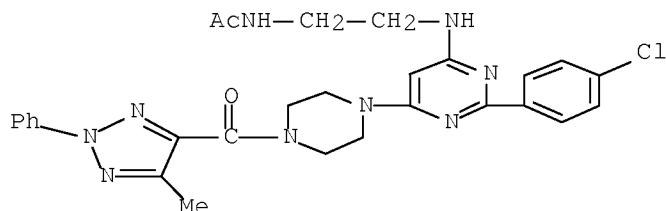


RN 552872-83-0 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(5-methyl-2-pyrazinyl)carbonyl]-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



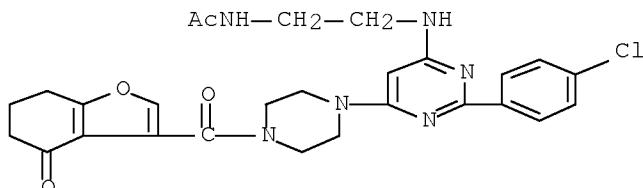
RN 552872-84-1 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(5-methyl-2-phenyl-2H-1,2,3-triazol-4-yl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



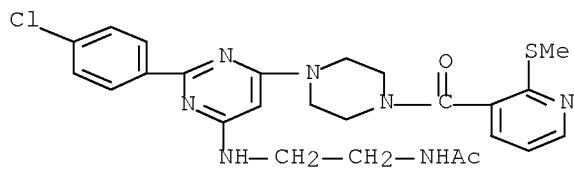
RN 552872-85-2 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(4,5,6,7-tetrahydro-4-oxo-3-benzofuranyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



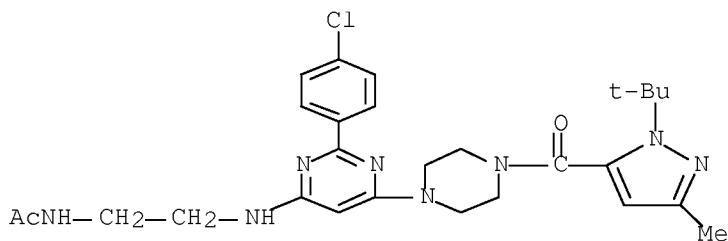
RN 552872-86-3 HCAPLUS

CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[[2-(methylthio)-3-pyridinyl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552872-87-4 HCAPLUS

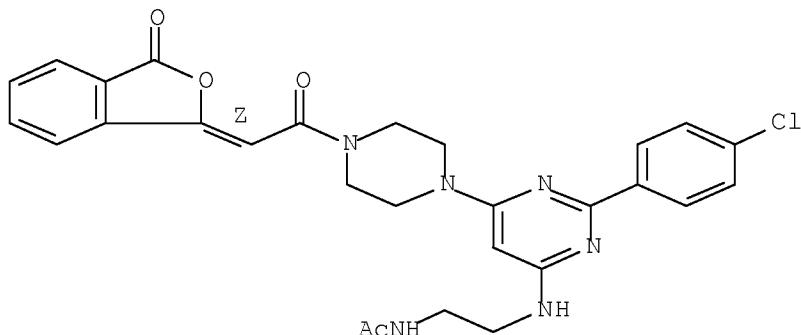
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(1,1-dimethylethyl)-3-methyl-1H-pyrazol-5-yl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552872-88-5 HCPLUS

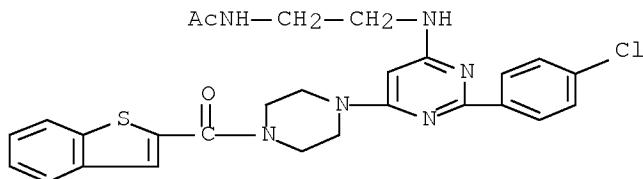
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2Z)-2-(3-oxo-1(3H)-isobenzofuranylidene)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Double bond geometry as shown.



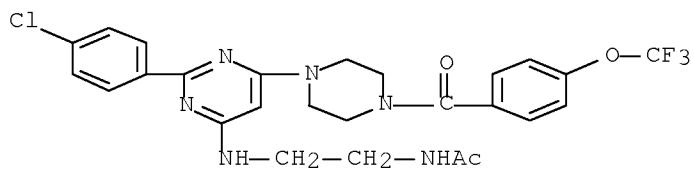
RN 552872-89-6 HCPLUS

CN Acetamide, N-[2-[(6-[4-(benzo[b]thien-2-ylcarbonyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

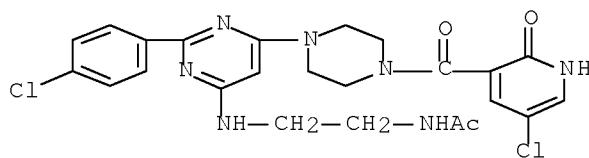


RN 552872-90-9 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[4-(trifluoromethoxy)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

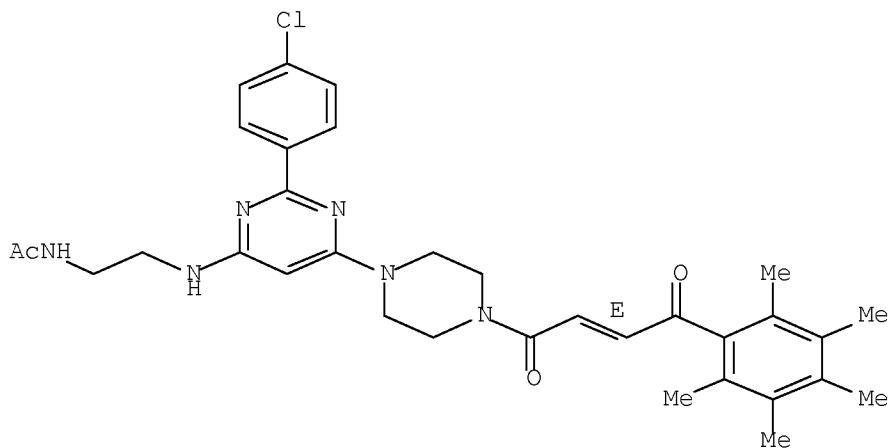


RN 552872-91-0 HCAPLUS  
 CN Acetamide, N-[2-[6-[4-[(5-chloro-1,2-dihydro-2-oxo-3-pyridinyl)carbonyl]-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

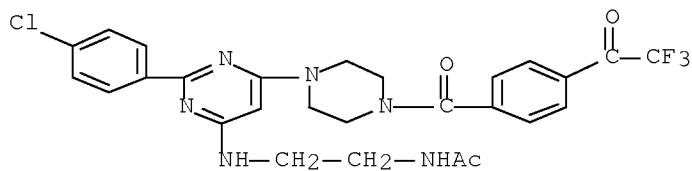


RN 552872-92-1 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(2E)-1,4-dioxo-4-(2,3,4,5,6-pentamethylphenyl)-2-buten-1-yl]piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

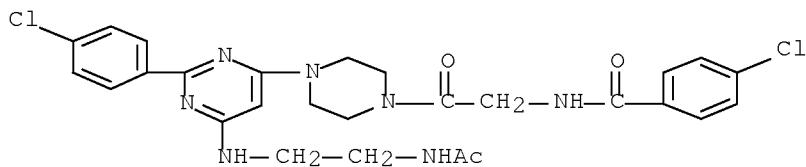
Double bond geometry as shown.



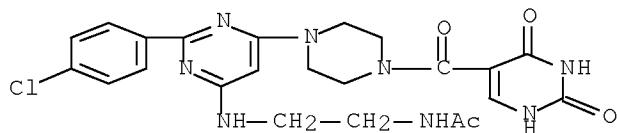
RN 552872-93-2 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[4-(2,2,2-trifluoroacetyl)benzoyl]piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



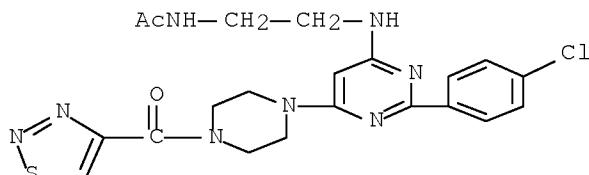
RN 552872-94-3 HCPLUS  
 CN Benzamide, N-[2-[4-[2-(acetylamino)ethyl]amino]-2-(4-chlorophenyl)-4-pyrimidinyl]-1-piperazinyl]-2-oxoethyl]-4-chloro- (CA INDEX NAME)



RN 552872-95-4 HCPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

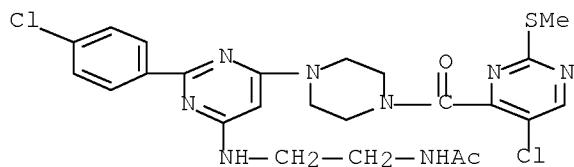


RN 552872-96-5 HCPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(1,2,3-thiadiazol-4-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

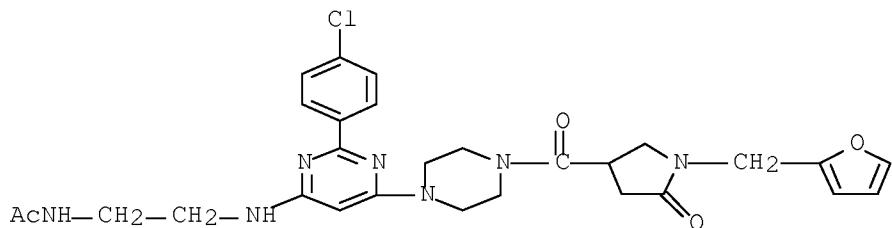


RN 552872-97-6 HCPLUS  
 CN Acetamide, N-[2-[6-[4-[5-chloro-2-(methylthio)-4-pyrimidinyl]carbonyl]-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

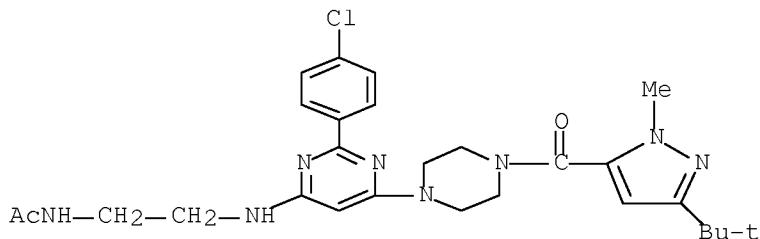
NAME)



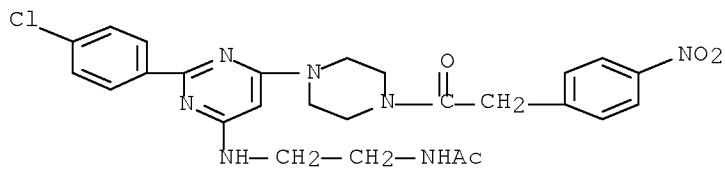
RN 552872-98-7 HCPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[[4-[(1-(2-furanyl)methyl)-5-oxo-3-pyrrolidinyl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



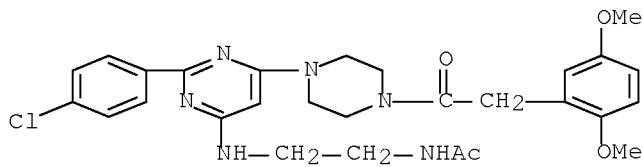
RN 552872-99-8 HCPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[[4-[(3-(1,1-dimethylethyl)-1-methyl-1H-pyrazol-5-yl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



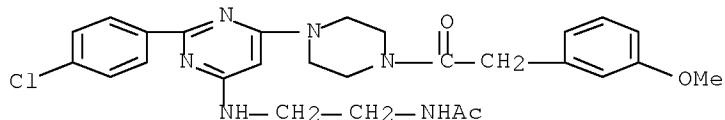
RN 552873-00-4 HCPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[[4-[(2-(4-nitrophenyl)acetyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



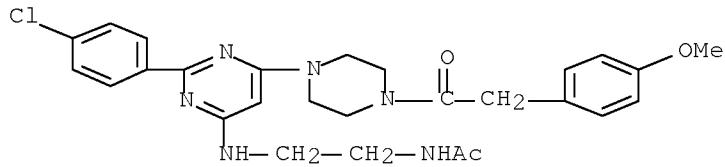
RN 552873-01-5 HCAPLUS  
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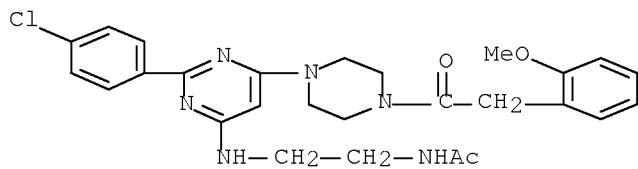
RN 552873-02-6 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(3-methoxyphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



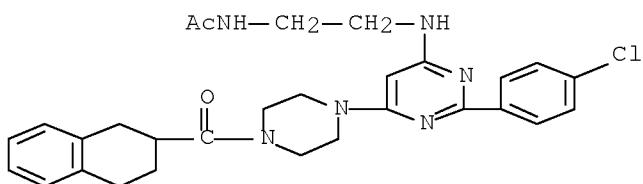
RN 552873-03-7 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(4-methoxyphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-04-8 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(2-methoxyphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

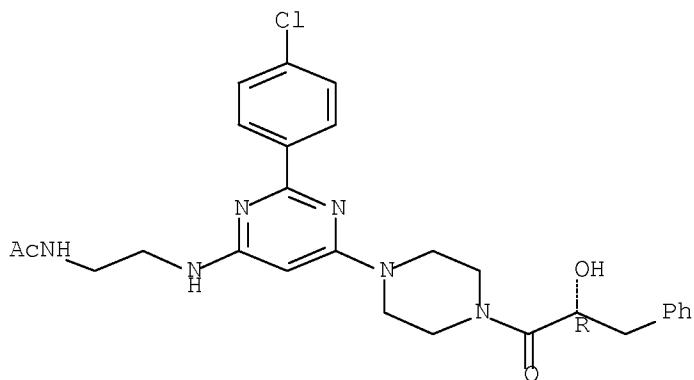


RN 552873-05-9 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

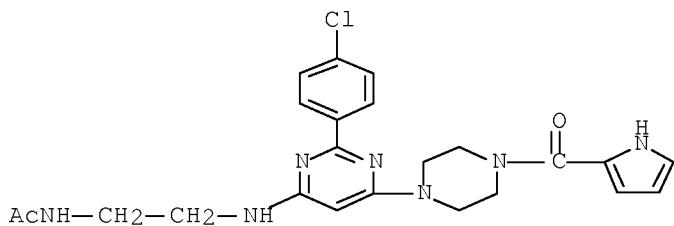


RN 552873-06-0 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(2R)-2-hydroxy-1-oxo-3-phenylpropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

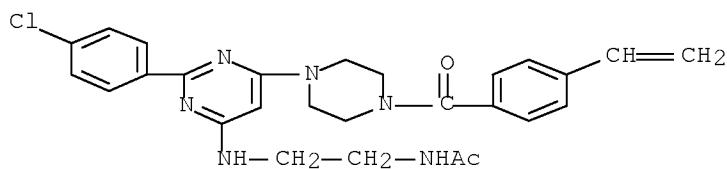
Absolute stereochemistry.



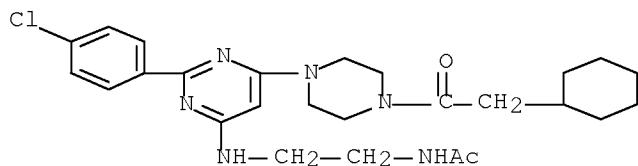
RN 552873-07-1 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(1H-pyrrol-2-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



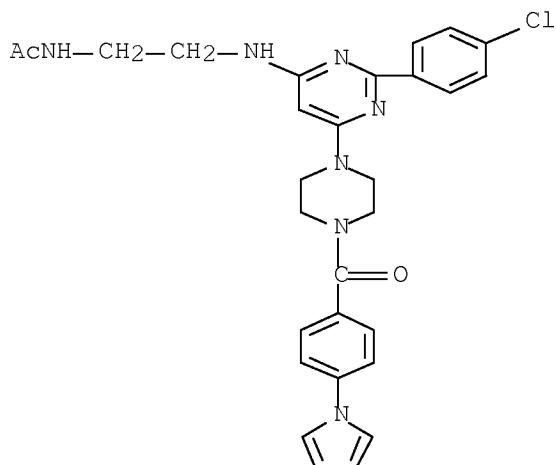
RN 552873-08-2 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-ethenylbenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



RN 552873-09-3 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-cyclohexylacetyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)

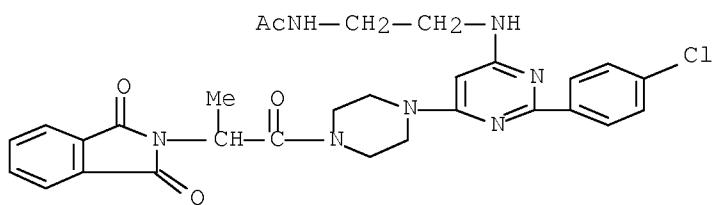


RN 552873-10-6 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-(1H-pyrrol-1-yl)benzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



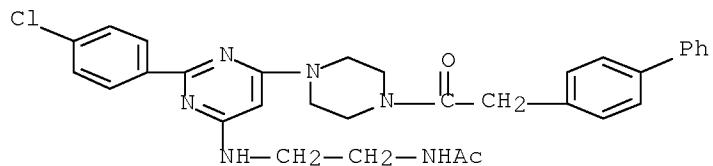
RN 552873-11-7 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



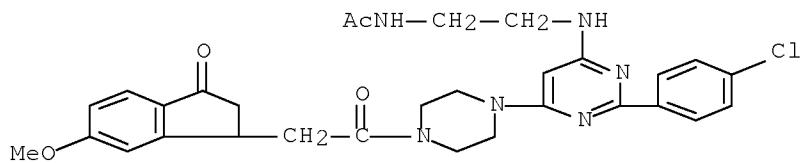
RN 552873-12-8 HCPLUS

CN Acetamide, N-[2-[(6-[4-(2-[1,1'-biphenyl]-4-ylacetyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



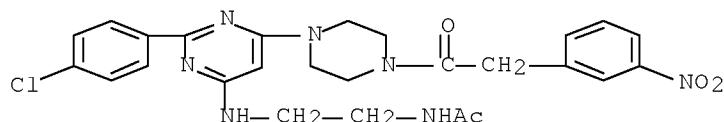
RN 552873-13-9 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(2,3-dihydro-6-methoxy-3-oxo-1H-inden-1-yl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



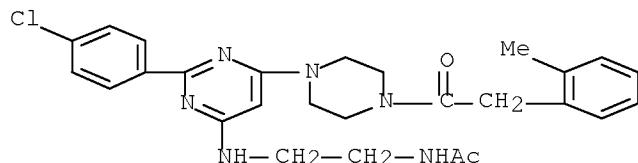
RN 552873-14-0 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(3-nitrophenyl)acetyl]-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



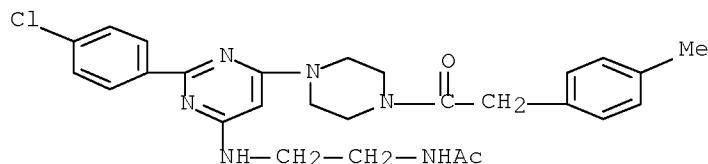
RN 552873-15-1 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(2-methylphenyl)acetyl]-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



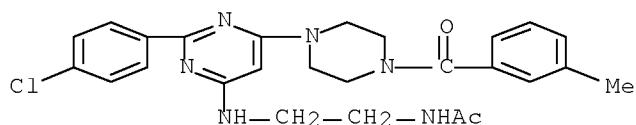
RN 552873-16-2 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(4-methylphenyl)acetyl]-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

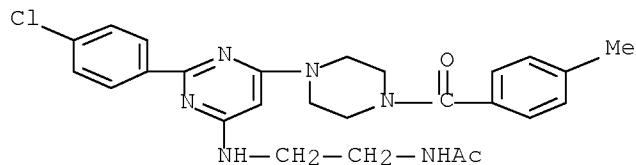


RN 552873-17-3 HCAPLUS

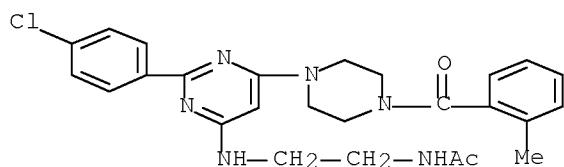
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(3-methylbenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



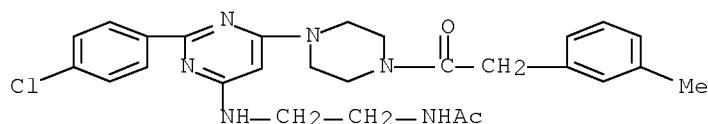
RN 552873-18-4 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-methylbenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



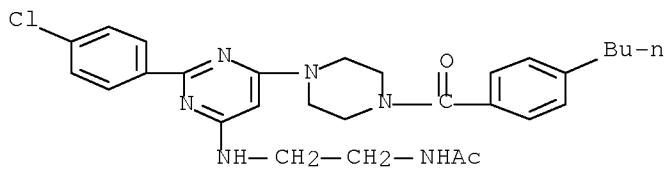
RN 552873-19-5 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-methylbenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



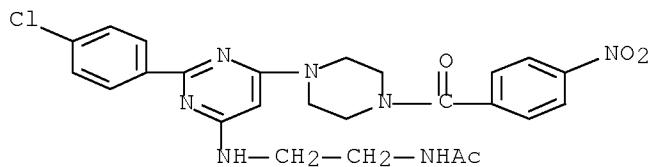
RN 552873-20-8 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(3-methylphenyl)acetyl]-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



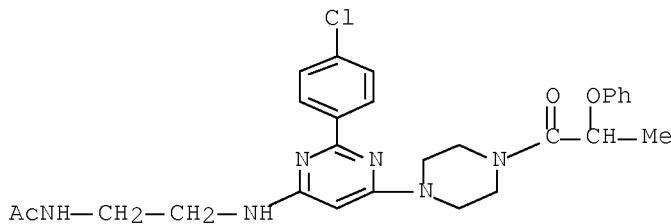
RN 552873-21-9 HCAPLUS  
 CN Acetamide, N-[2-[(2-[6-(4-butylbenzoyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



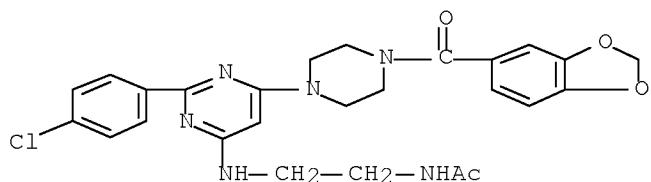
RN 552873-22-0 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(4-nitrobenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-23-1 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(1-oxo-2-phenoxypropyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

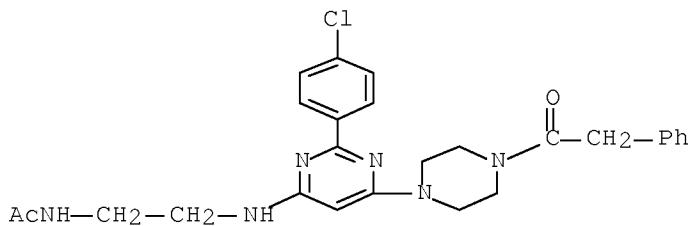


RN 552873-24-2 HCAPLUS  
 CN Acetamide, N-[2-[6-[4-(1,3-benzodioxol-5-ylcarbonyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



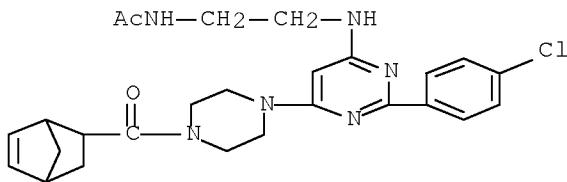
RN 552873-25-3 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(2-phenylacetyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



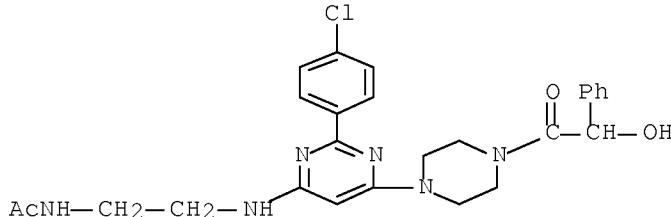
RN 552873-26-4 HCPLUS

CN Acetamide, N-[2-[(6-[(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



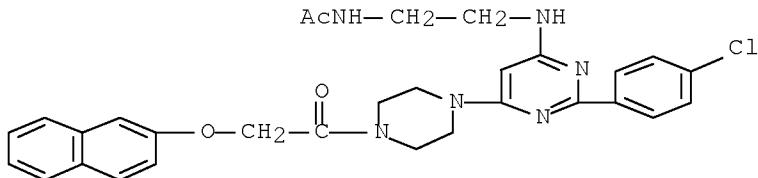
RN 552873-27-5 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-(2-hydroxy-2-phenylacetyl)-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

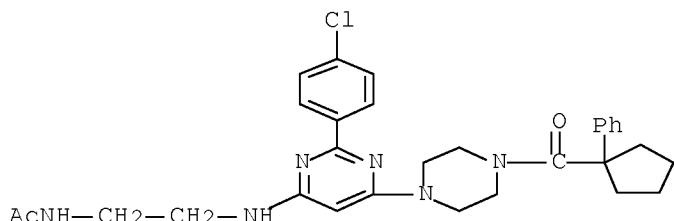


RN 552873-28-6 HCPLUS

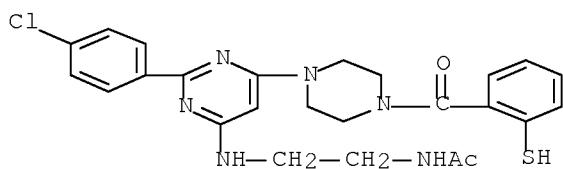
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-[(2-naphthalenyloxy)acetyl]-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



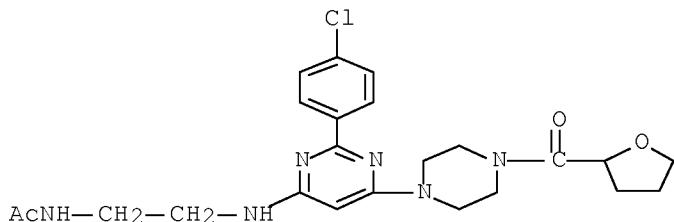
RN 552873-29-7 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(1-phenylcyclopentyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



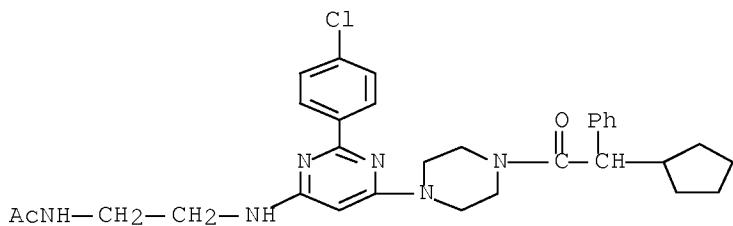
RN 552873-30-0 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-mercaptopbenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



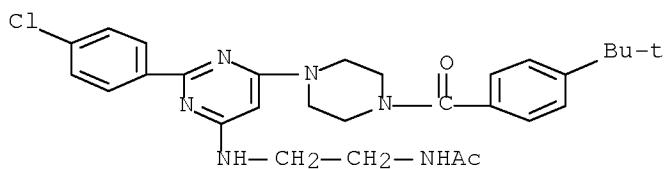
RN 552873-31-1 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(tetrahydro-2-furanyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



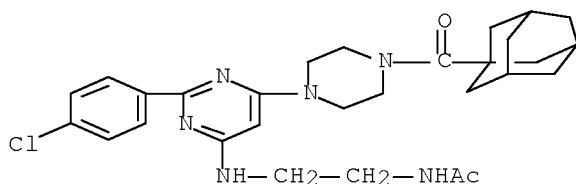
RN 552873-32-2 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-cyclopentyl-2-phenylacetyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



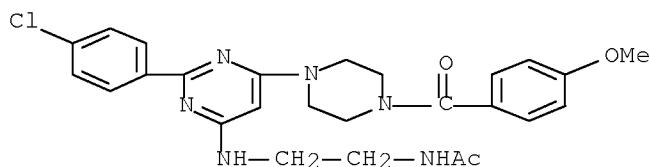
RN 552873-33-3 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1,1-dimethylethyl)benzoyl]-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-34-4 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(tricyclo[3.3.1.13,7]dec-1-ylcarbonyl)-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

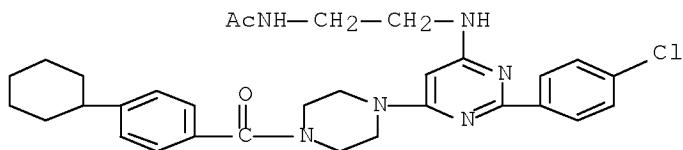


RN 552873-35-5 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-methoxybenzoyl)-1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



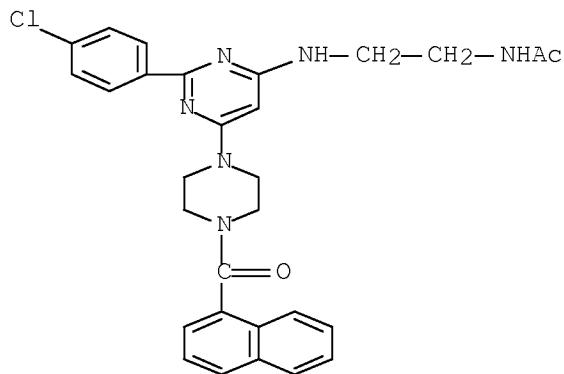
RN 552873-36-6 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-cyclohexylbenzoyl)-1-

piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



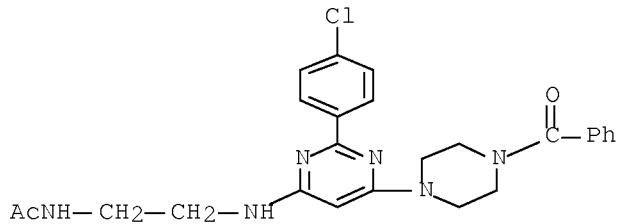
RN 552873-37-7 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1-naphthalenylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



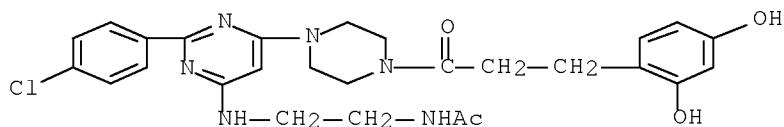
RN 552873-38-8 HCPLUS

CN Acetamide, N-[2-[(6-(4-benzoyl-1-piperazinyl)-2-(4-chlorophenyl)-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)

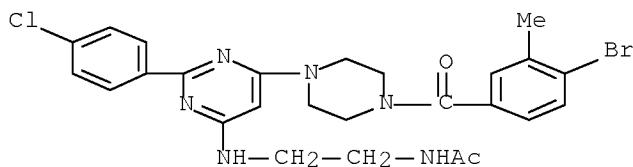


RN 552873-39-9 HCPLUS

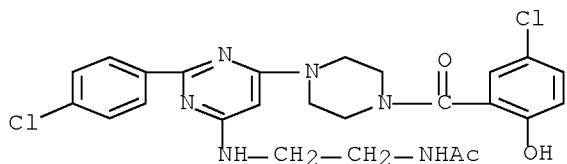
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-(2,4-dihydroxyphenyl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



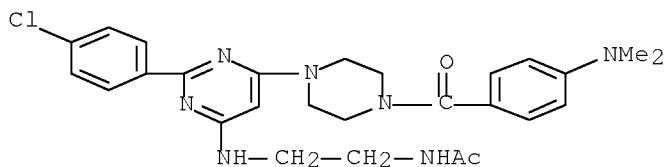
RN 552873-40-2 HCAPLUS  
 CN Acetamide, N-[2-[(6-[(4-chlorophenyl)-4-pyrimidinyl]amino)ethyl]- (CA INDEX NAME)



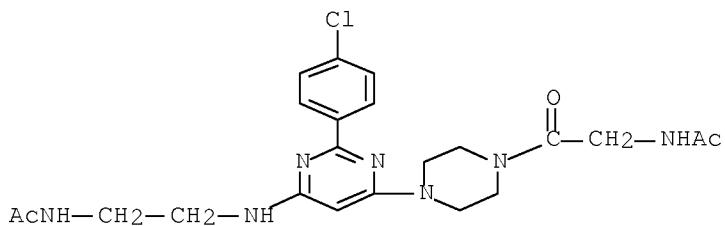
RN 552873-41-3 HCAPLUS  
 CN Acetamide, N-[2-[(6-[(4-chlorophenyl)-4-pyrimidinyl]amino)ethyl]-2-(4-chlorophenyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 552873-42-4 HCAPLUS  
 CN Acetamide, N-[2-[(6-[(4-chlorophenyl)-4-pyrimidinyl]amino)ethyl]-2-(4-chlorophenyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

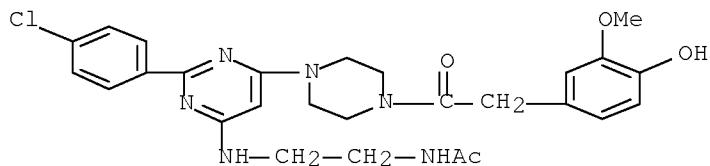


RN 552873-43-5 HCAPLUS  
 CN Acetamide, N-[2-[(6-[(4-acetylaminophenyl)-4-pyrimidinyl]amino)ethyl]-2-(4-chlorophenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



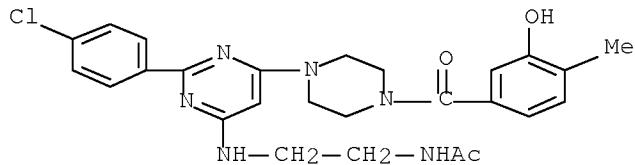
RN 552873-44-6 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(4-hydroxy-3-methoxyphenyl)acetyl]-1-piperazinyl]amino]ethyl]- (CA INDEX NAME)



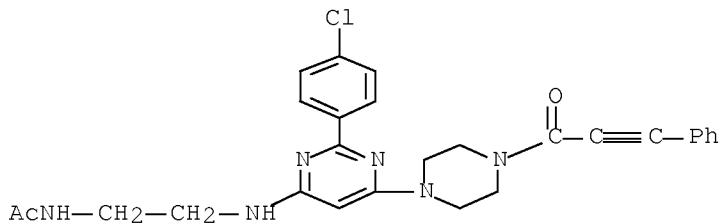
RN 552873-45-7 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-hydroxy-4-methylbenzoyl]-1-piperazinyl]amino]ethyl]- (CA INDEX NAME)



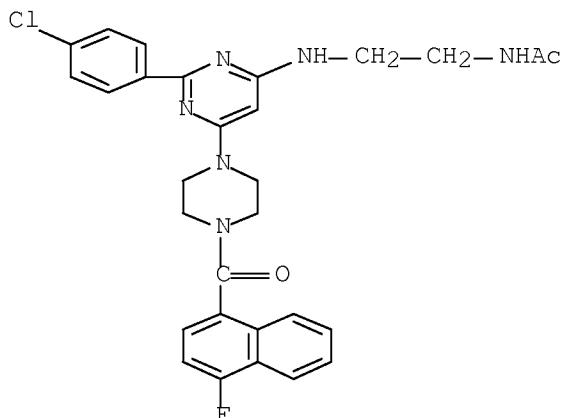
RN 552873-46-8 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1-oxo-3-phenyl-2-propyn-1-yl)-1-piperazinyl]amino]ethyl]- (CA INDEX NAME)



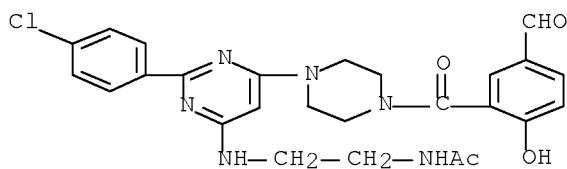
RN 552873-47-9 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(4-fluoro-1-naphthalenyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



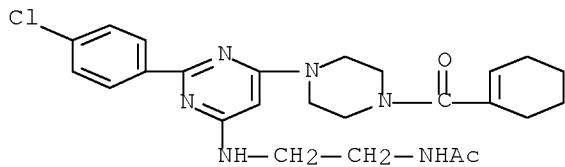
RN 552873-48-0 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(5-formyl-2-hydroxybenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



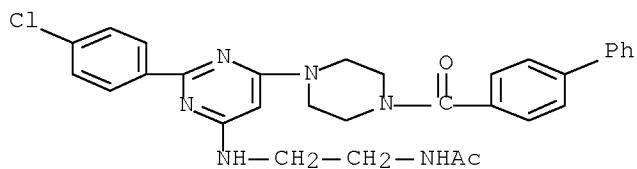
RN 552873-49-1 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1-cyclohexen-1-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

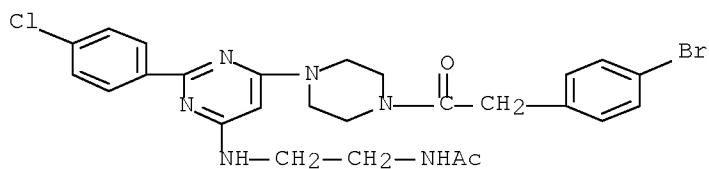


RN 552873-50-4 HCAPLUS

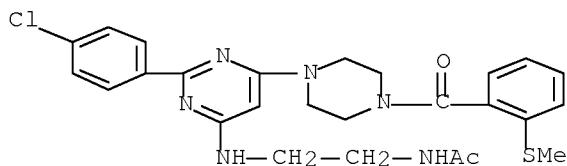
CN Acetamide, N-[2-[(6-[4-([1,1'-biphenyl]-4-ylcarbonyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



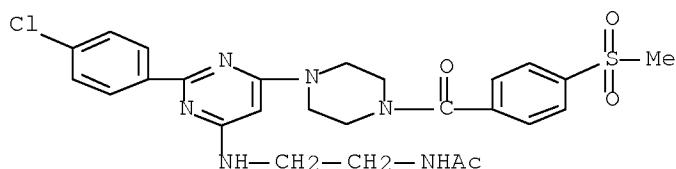
RN 552873-51-5 HCAPLUS  
 CN Acetamide, N-[2-[(6-[(4-acamido)ethyl]amino)-4-(4-chlorophenyl)pyrimidinyl]-2-(4-phenylbenzoyl)ethyl]- (CA INDEX NAME)



RN 552873-52-6 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-(2-bromoethyl)benzoyl)thio]pyrimidinyl)-4-(4-chlorophenyl)benzoyl]amino]ethyl]- (CA INDEX NAME)

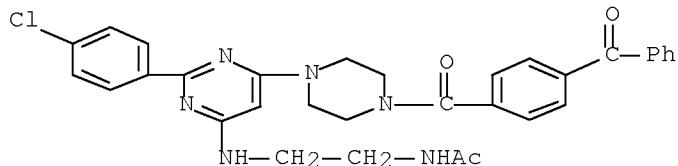


RN 552873-53-7 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-(methylsulfonyl)benzoyl)thio]pyrimidinyl)-4-(4-chlorophenyl)benzoyl]amino]ethyl]- (CA INDEX NAME)



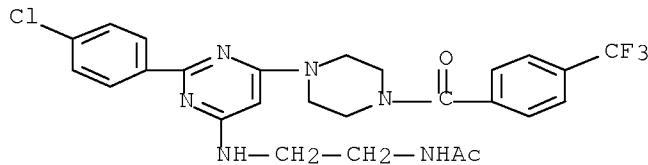
RN 552873-54-8 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-(4-benzoylbenzoyl)thio)benzoyl]amino)benzoyl]amino]ethylacetamide-

chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



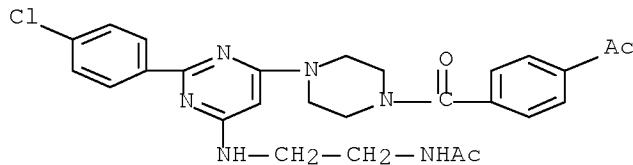
RN 552873-55-9 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-trifluoromethylbenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



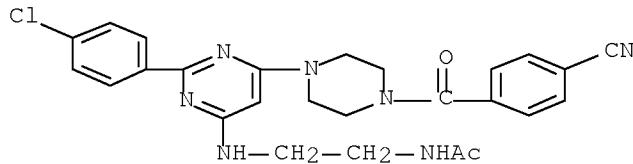
RN 552873-56-0 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-acetylbenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



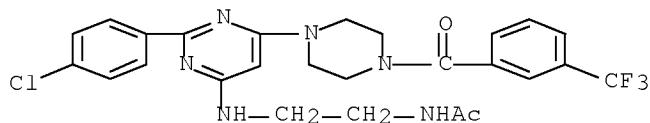
RN 552873-57-1 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-cyanobenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



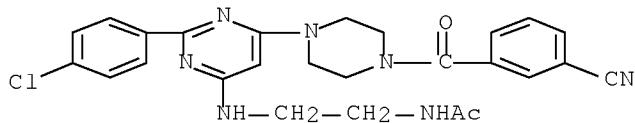
RN 552873-58-2 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-(trifluoromethyl)benzoyl]-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



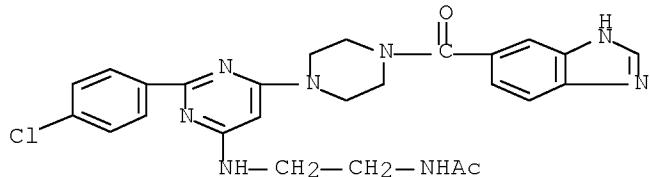
RN 552873-59-3 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(3-cyanobenzoyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



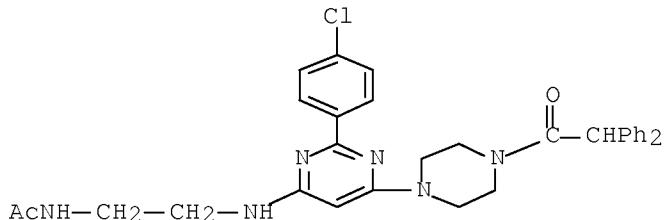
RN 552873-60-6 HCAPLUS

CN Acetamide, N-[2-[(6-[4-(1H-benzimidazol-1-ylcarbonyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



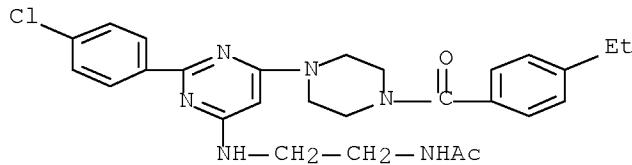
RN 552873-61-7 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2,2-diphenylacetyl)-1-piperazinyl]4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



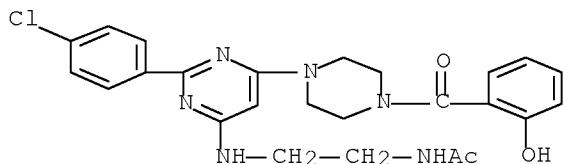
RN 552873-62-8 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4-ethylbenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



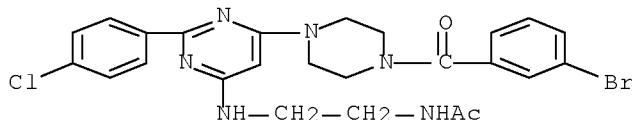
RN 552873-63-9 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-hydroxybenzoyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



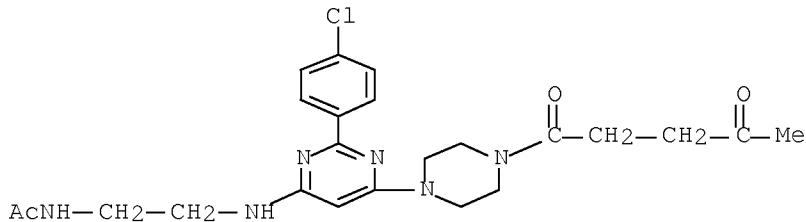
RN 552873-64-0 HCPLUS

CN Acetamide, N-[2-[(6-[4-(3-bromobenzoyl)-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



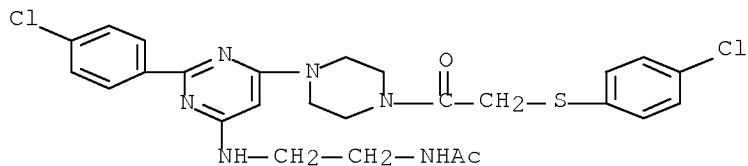
RN 552873-65-1 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1,4-dioxopentyl)-1-piperazinyl]-4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



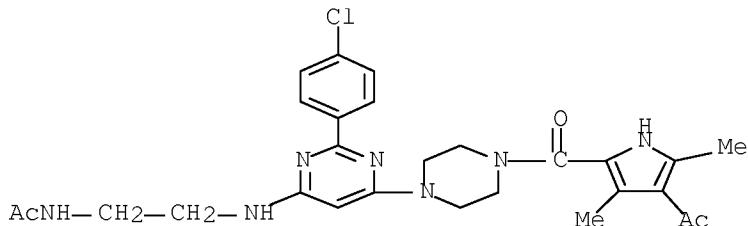
RN 552873-66-2 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-[(4-chlorophenyl)thio]acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-67-3 HCPLUS

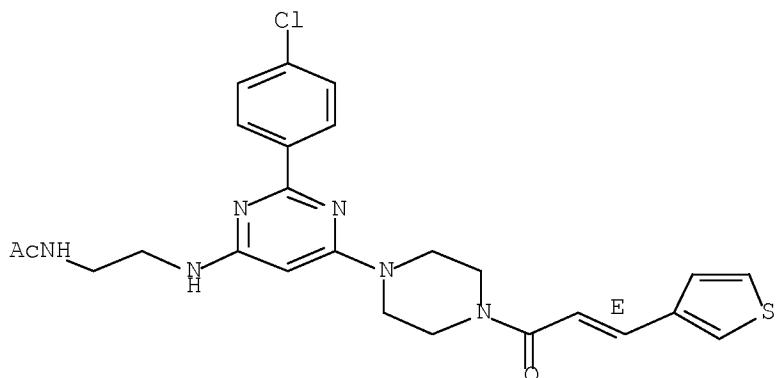
CN Acetamide, N-[2-[(6-[4-[(4-acetyl-3,5-dimethyl-1H-pyrrol-2-yl)carbonyl]-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-68-4 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(3-thienyl)-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

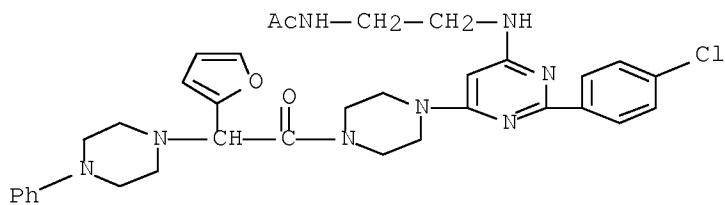
Double bond geometry as shown.



RN 552873-69-5 HCPLUS

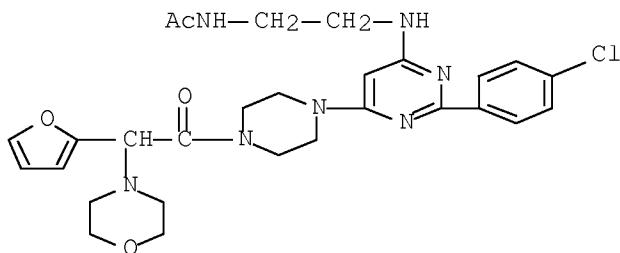
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2-furanyl)-2-(4-phenyl-1-piperazinyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

NAME)



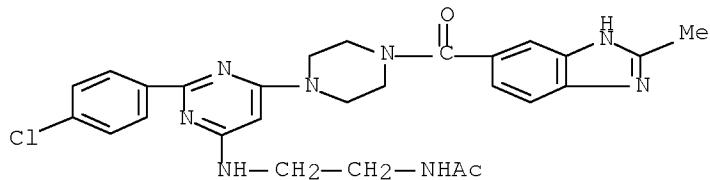
RN 552873-70-8 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(2-furanyl)-2-(4-morpholinyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



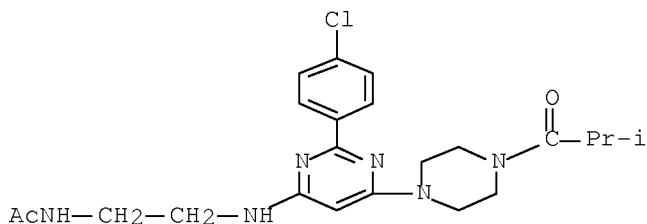
RN 552873-71-9 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-methyl-1H-benzimidazol-6-yl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



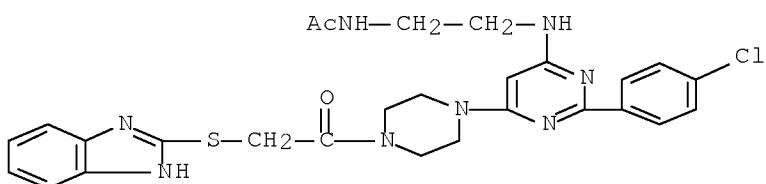
RN 552873-72-0 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-methyl-1-oxopropyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



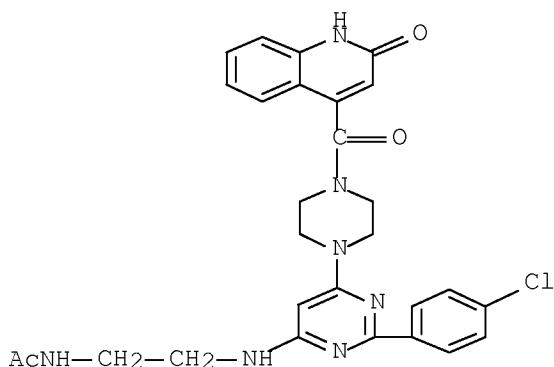
RN 552873-73-1 HCAPLUS

CN Acetamide, N-[2-[(6-[4-[2-(1H-benzimidazol-2-ylthio)acetyl]-1-piperazinyl)-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



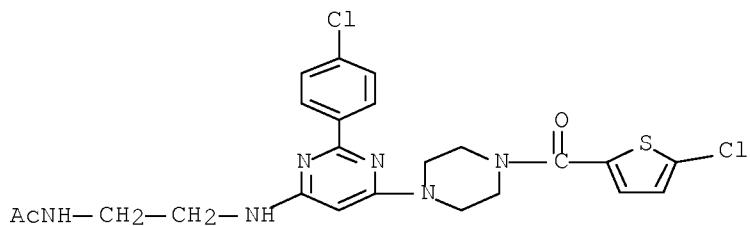
RN 552873-74-2 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(1,2-dihydro-2-oxo-4-quinolinyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-75-3 HCAPLUS

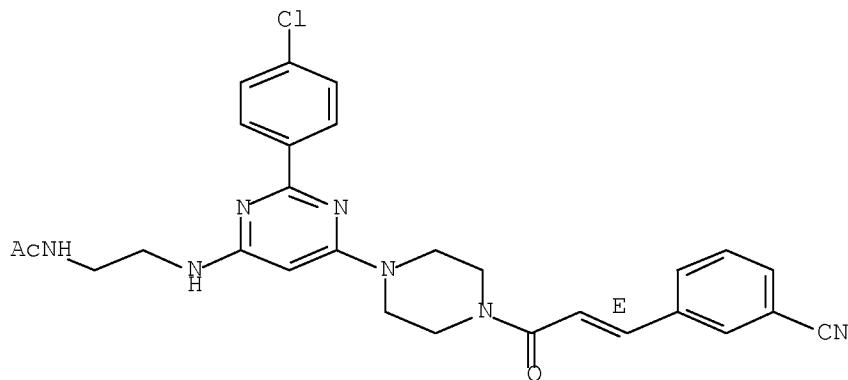
CN Acetamide, N-[2-[[2-(4-chlorophenyl)-6-[4-[(5-chloro-2-thienyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-76-4 HCAPLUS

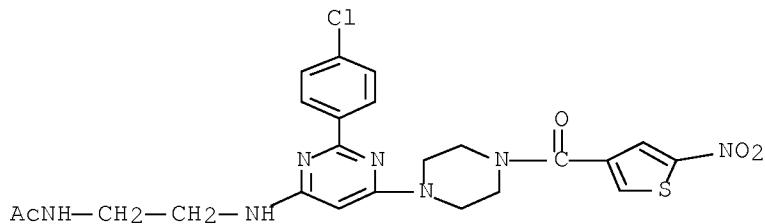
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2E)-3-(3-cyanophenyl)-1-oxo-2-propen-1-yl]amino]ethyl]-4-pyrimidinyl]amino]ethyl— (CA INDEX NAME)

Double bond geometry as shown.



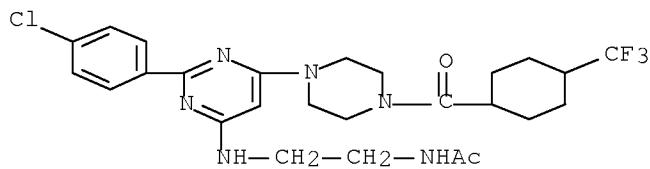
RN 552873-77-5 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(5-nitro-3-thienyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl— (CA INDEX NAME)

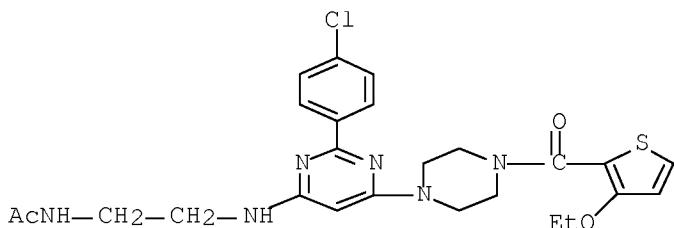


RN 552873-78-6 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(4-(trifluoromethyl)cyclohexyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl— (CA INDEX NAME)

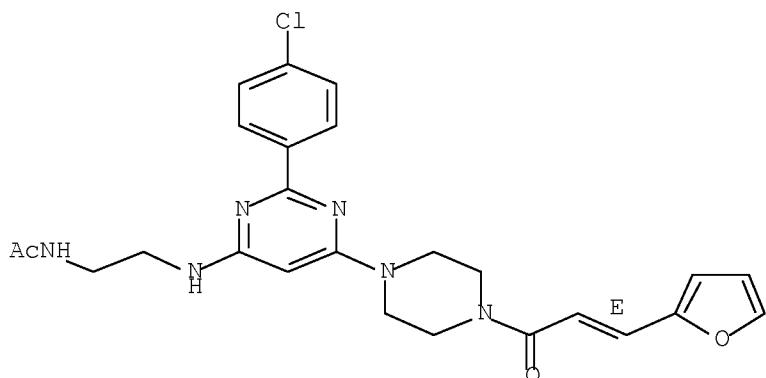


RN 552873-79-7 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(3-ethoxy-2-thienyl)carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

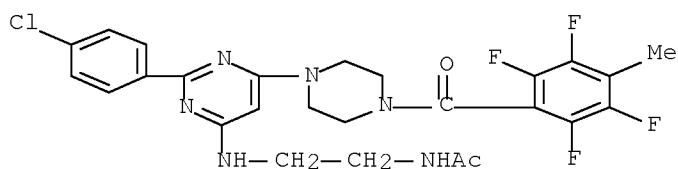


RN 552873-80-0 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-[(2E)-3-(2-furanyl)-1-oxo-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Double bond geometry as shown.

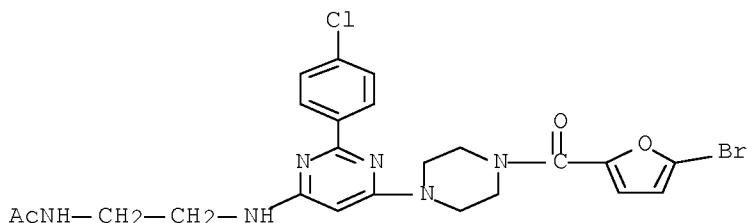


RN 552873-81-1 HCAPLUS  
 CN Acetamide, N-[2-[2-(4-chlorophenyl)-6-[4-(2,3,5,6-tetrafluoro-4-methylbenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



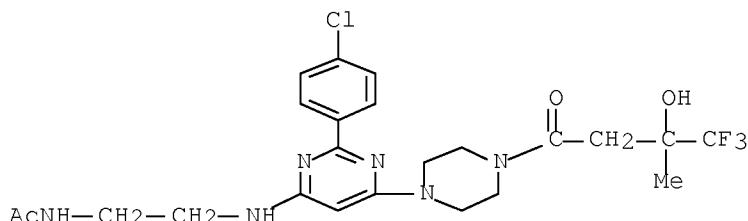
RN 552873-82-2 HCAPLUS

CN Acetamide, N-[2-[(6-[4-[(5-bromo-2-furanyl)carbonyl]-1-piperazinyl]-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-83-3 HCAPLUS

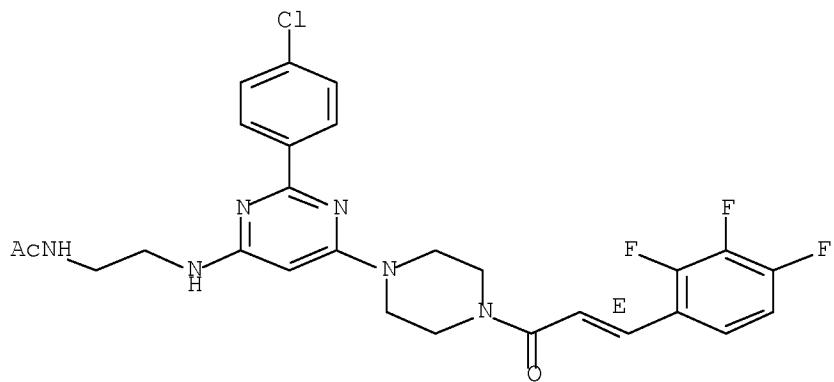
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(4,4,4-trifluoro-3-hydroxy-3-methyl-1-oxobutyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-84-4 HCAPLUS

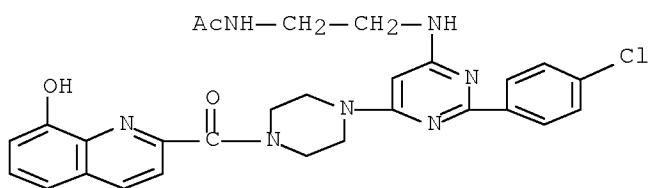
CN Acetamide, N-[2-[(2-[(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(2,3,4-trifluorophenyl)-2-propen-1-yl]-1-piperazinyl]4-pyrimidinyl]amino]ethyl]-  
(CA INDEX NAME)

Double bond geometry as shown.



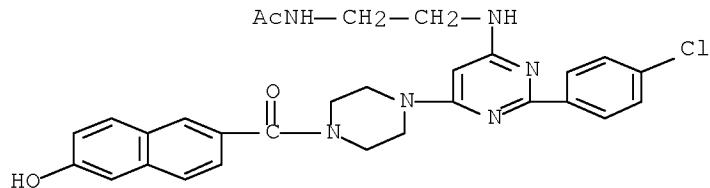
RN 552873-85-5 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-[(8-hydroxy-2-quinolinyl)carbonyl]-1-piperazinyl]4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



RN 552873-86-6 HCAPLUS

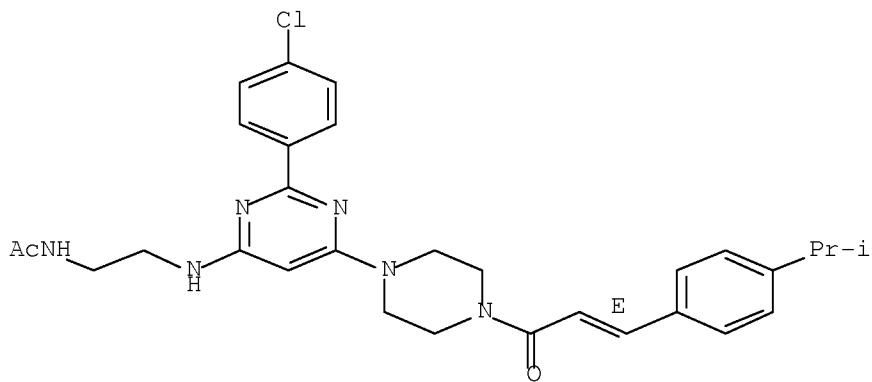
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-[(6-hydroxy-2-naphthalenyl)carbonyl]-1-piperazinyl]4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)



RN 552873-87-7 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[(4-[(2E)-3-[(4-(1-methylethyl)phenyl]-1-oxo-2-propenyl)-1-piperazinyl]4-pyrimidinyl)amino]ethyl]- (CA INDEX NAME)

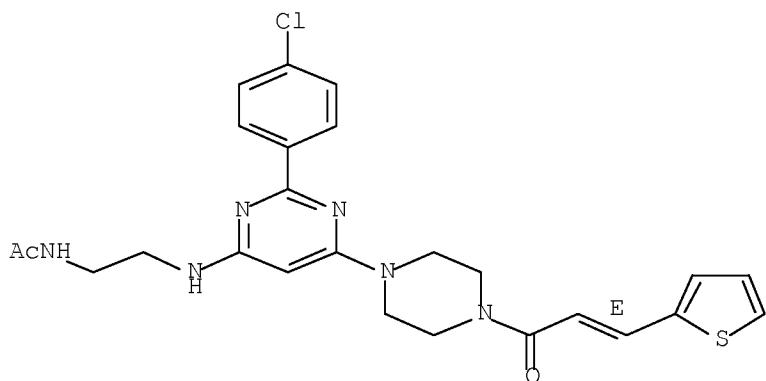
Double bond geometry as shown.



RN 552873-88-8 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(2-thienyl)-2-propen-1-yl] -1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

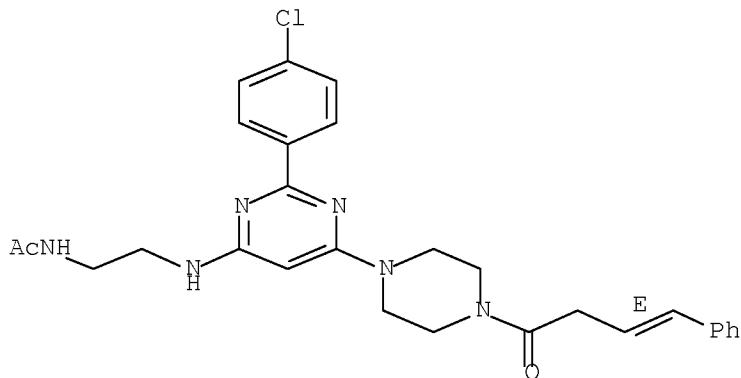
Double bond geometry as shown.



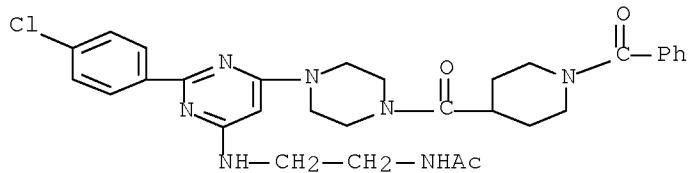
RN 552873-89-9 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(3E)-1-oxo-4-phenyl-3-buten-1-yl] -1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

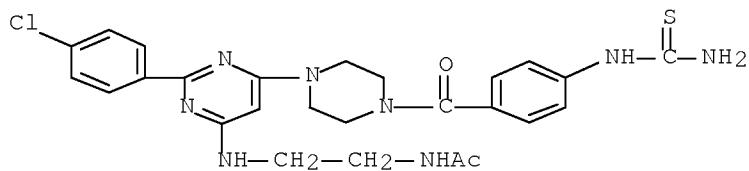
Double bond geometry as shown.



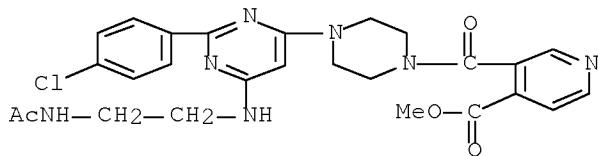
RN 552873-90-2 HCAPLUS  
 CN Acetamide, N-[2-[(6-[4-(1-benzoyl-4-piperidinyl)carbonyl]-1-piperazinyl)-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



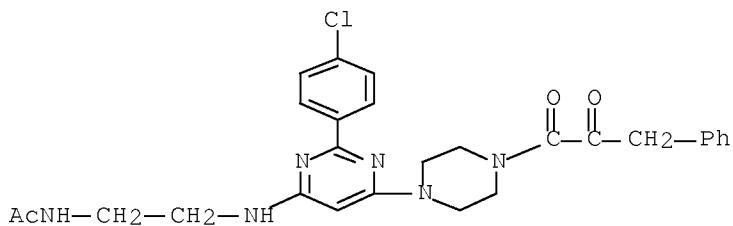
RN 552873-91-3 HCAPLUS  
 CN Acetamide, N-[2-[(6-[4-(aminothioxomethyl)amino]benzoyl]-1-piperazinyl)-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



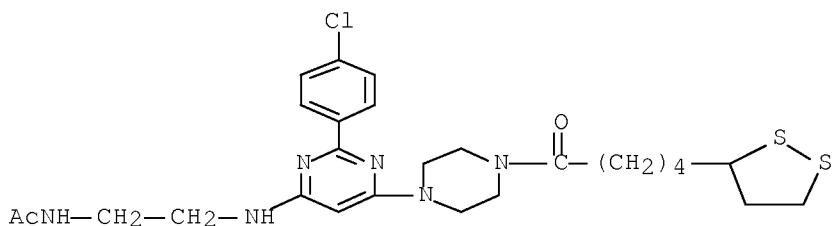
RN 552873-92-4 HCAPLUS  
 CN 4-Pyridinecarboxylic acid, 3-[(4-[(2-(acetylamino)ethyl]amino)-2-(4-chlorophenyl)-4-pyrimidinyl]-1-piperazinyl]carbonyl]-, methyl ester (CA INDEX NAME)



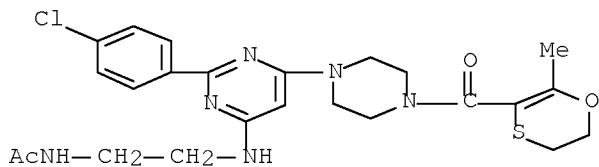
RN 552873-93-5 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1,2-dioxo-3-phenylpropyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



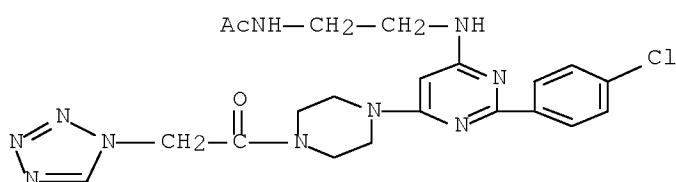
RN 552873-94-6 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[5-(1,2-dithiolan-3-yl)-1-oxopentyl]-1-piperazinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-95-7 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(5,6-dihydro-2-methyl-1,4-oxathiin-3-yl)carbonyl]-1-piperazinyl]amino]ethyl]- (CA INDEX NAME)

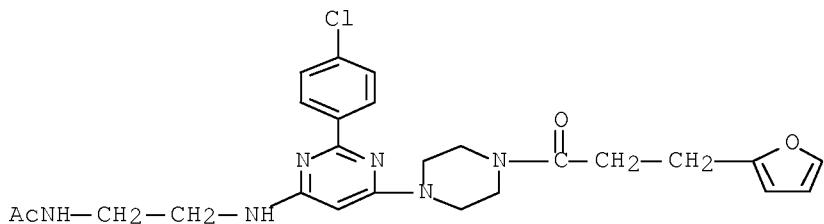


RN 552873-96-8 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(1H-tetrazol-1-yl)acetyl]-1-piperazinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-97-9 HCAPLUS

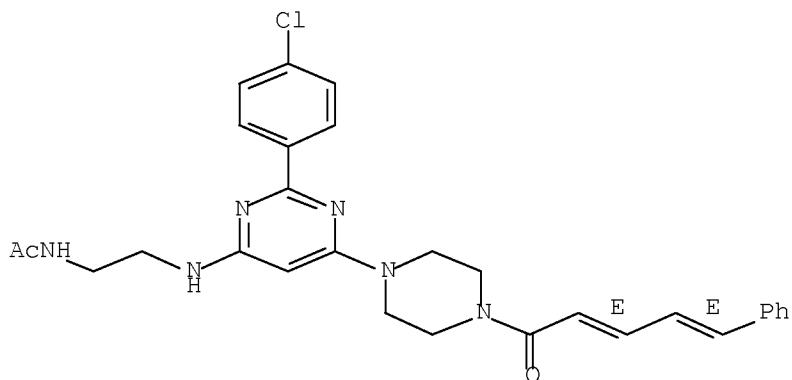
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-(2-furanyl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552873-98-0 HCAPLUS

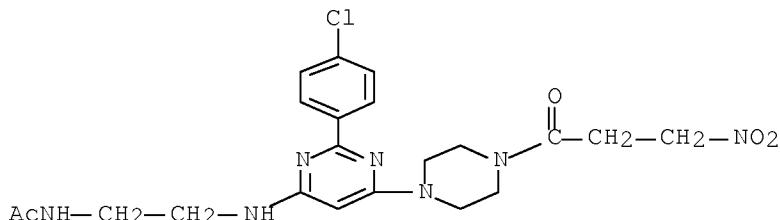
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2E,4E)-1-oxo-5-phenyl-2,4-pentadien-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 552873-99-1 HCAPLUS

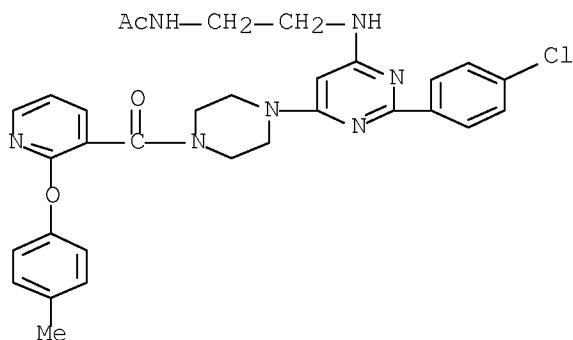
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(3-nitro-1-oxopropyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552874-00-7 HCAPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2-(4-methylphenoxy)-3-pyridinyl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

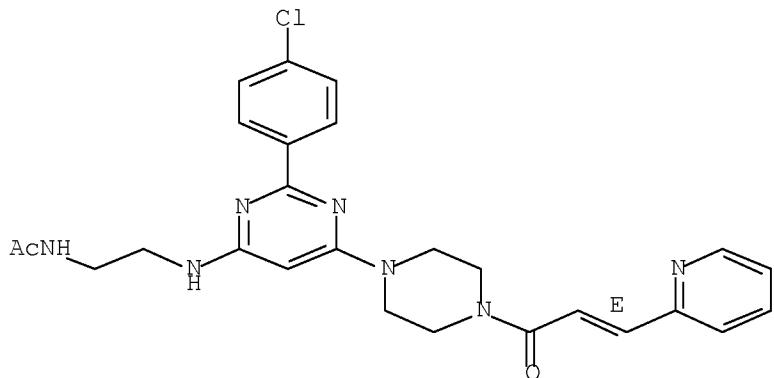
NAME)



RN 552874-01-8 HCPLUS

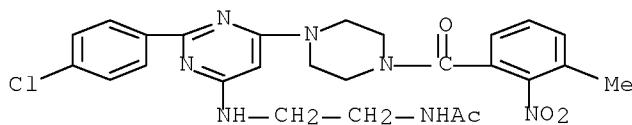
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(2E)-1-oxo-3-(2-pyridinyl)-2-propen-1-yl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

Double bond geometry as shown.



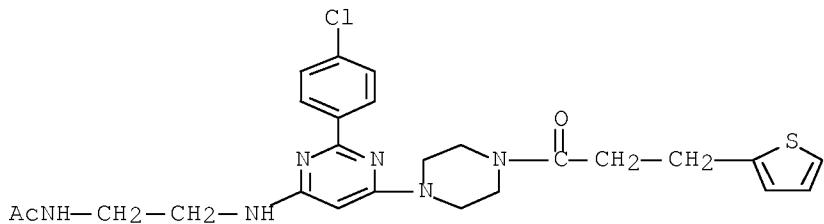
RN 552874-02-9 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(3-methyl-2-nitrobenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



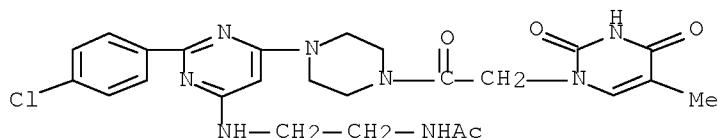
RN 552874-03-0 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[(1-oxo-3-(2-thienyl)propyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



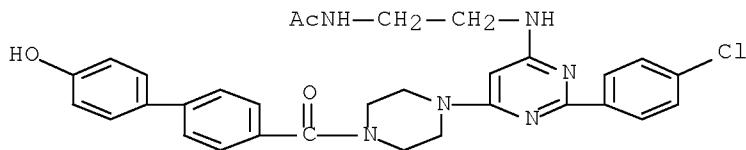
RN 552874-04-1 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)acetyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



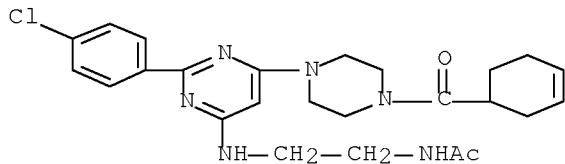
RN 552874-05-2 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[4'-hydroxy[1,1'-biphenyl]-4-yl]carbonyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



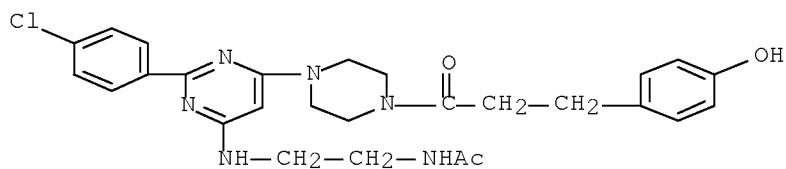
RN 552874-06-3 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(3-cyclohexen-1-ylcarbonyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

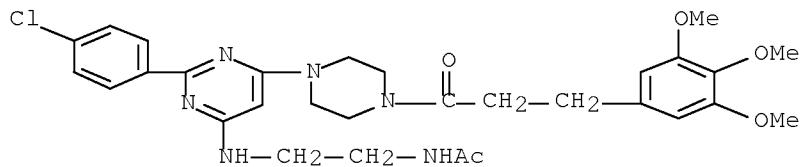


RN 552874-07-4 HCPLUS

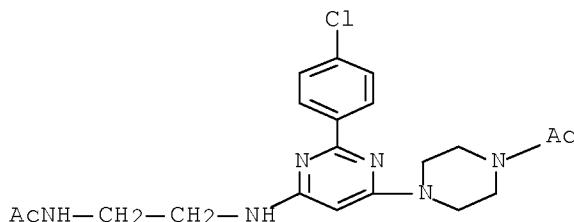
CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[3-(4-hydroxyphenyl)-1-oxopropyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



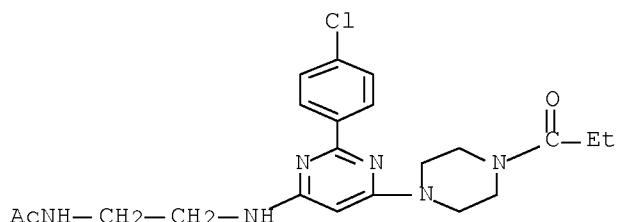
RN 552874-08-5 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[1-oxo-3-(3,4,5-trimethoxyphenyl)propyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552874-09-6 HCAPLUS  
 CN Acetamide, N-[2-[(6-(4-acetyl-1-piperazinyl)-2-(4-chlorophenyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)

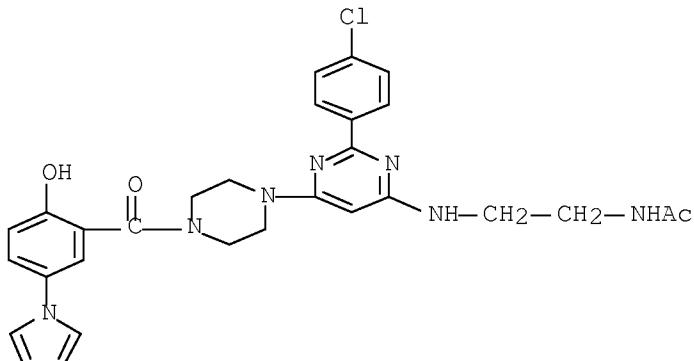


RN 552874-10-9 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(1-oxopropyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



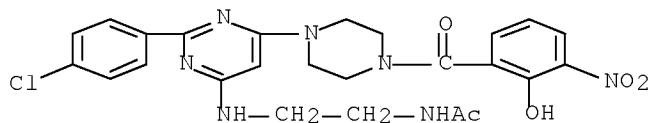
RN 552874-11-0 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-[2-hydroxy-5-(1H-pyrrol-1-yl)benzoyl]-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



RN 552874-12-1 HCPLUS

CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-[4-(2-hydroxy-3-nitrobenzoyl)-1-piperazinyl]-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



IT 83217-77-0P, 2-(4-Chlorophenyl)pyrimidine-4,6-diol

223659-76-5P, 2-(4-Chlorophenyl)-5-methylpyrimidine-4,6-diol

552872-56-7P, N-[2-[(2-(4-Chlorophenyl)-6-(piperazin-1-

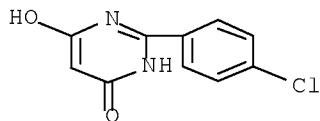
yl)pyrimidin-4-yl]amino]ethyl]acetamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-(pyrimidinyl)acetamides as A2b adenosine receptor selective antagonists for treatment of asthma, diabetes, tumors, and other A2b associated diseases)

RN 83217-77-0 HCPLUS

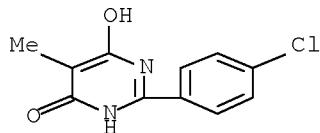
CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-hydroxy- (CA INDEX NAME)



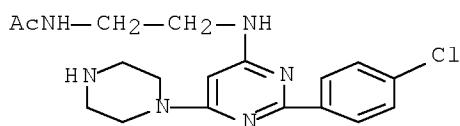
RN 223659-76-5 HCPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-hydroxy-5-methyl- (CA INDEX

NAME)



RN 552872-56-7 HCAPLUS  
 CN Acetamide, N-[2-[(2-(4-chlorophenyl)-6-(1-piperazinyl)-4-pyrimidinyl]amino]ethyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
 (10 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 25 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2003:472388 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:53030  
 TITLE: Pyrimidine-based and quinazoline-based compounds  
 useful as GSK-3 inhibitors  
 INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker,  
 Marion W.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049739	A1	20030619	WO 2002-US39190	20021209 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469316	A1	20030619	CA 2002-2469316	20021209 <--

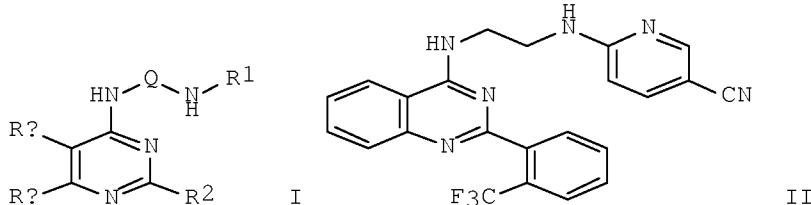
AU 2002364536	A1	20030623	AU 2002-364536	20021209 <--
AU 2002364536	B2	20081023		
US 20030199526	A1	20031023	US 2002-314905	20021209 <--
EP 1474147	A1	20041110	EP 2002-799913	20021209 <--
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JP 2005516005	T	20050602	JP 2003-550788	20021209 <--
AT 466581	T	20100515	AT 2002-799913	20021209 <--
EP 2198867	A1	20100623	EP 2010-158386	20021209 <--
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SI, SK, TR				
MX 2004005510	A	20060224	MX 2004-5510	20040607 <--
ZA 2004005380	A	20050617	ZA 2004-5380	20040706 <--
PRIORITY APPLN. INFO.:				
			US 2001-338857P	P 20011207 <--
			EP 2002-799913	A3 20021209 <--
			WO 2002-US39190	W 20021209 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 139:53030

ED    Entered STN: 20 Jun 2003

GT



The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: R1 = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH<sub>2</sub> optionally replaced by SO<sub>2</sub> or CO; R2 = certain (un)substituted Ph, thiienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ra, Rb = -T-R3; or RaRb = atoms to complete fused, partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s) and optionally substituted by oxo, -T-R3, etc.; T = bond or C1-4 alkylene chain; R3 = H, halo, OH or derivs., NH<sub>2</sub> or derivs., CN, SH or derivs., CHO or derivs., CO<sub>2</sub>H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Preps. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3β in vitro, 17 compds. I, including II, had Ki < 0.1 μM, and 16 compds. had Ki of 0.1 to 1.0 μM.

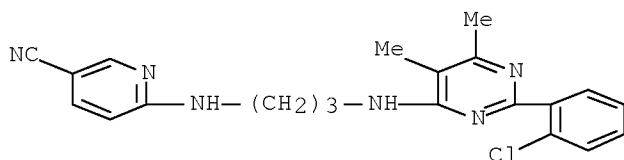
IT 544677-99-8P, 6-[2-[2-(2-Chlorophenyl)-5,6-dimethylpyrimidin-4-ylamino]ethylamino]nicotinonitrile 544678-13-9P

544678-36-6P 544678-37-7P 544678-49-1P,  
 6-[2-[6-Phenyl-2-(2-trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile 544678-50-4P  
 544678-51-5P, 6-[2-[6-Trifluoromethyl-2-(2-trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile  
 544678-52-6P, 6-[2-[6-(2-Methoxyphenyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

IT 544677-99-8P, 6-[2-[2-(2-Chlorophenyl)-5,6-dimethylpyrimidin-4-ylamino]ethylamino]nicotinonitrile 544678-13-9P  
 544678-36-6P 544678-37-7P 544678-49-1P,  
 6-[2-[6-Phenyl-2-(2-trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile 544678-50-4P  
 544678-51-5P, 6-[2-[6-Trifluoromethyl-2-(2-trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile  
 544678-52-6P, 6-[2-[6-(2-Methoxyphenyl)-2-(2-trifluoromethylphenyl)pyrimidin-4-ylamino]ethylamino]nicotinonitrile  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

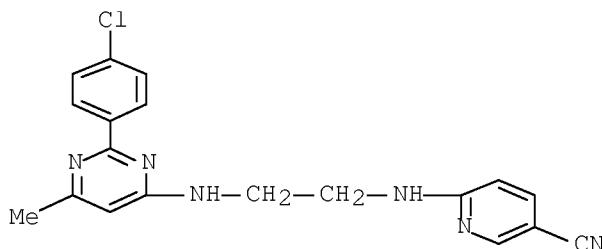
RN 544677-99-8 HCPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]propyl]amino]- (CA INDEX NAME)



RN 544678-13-9 HCPLUS

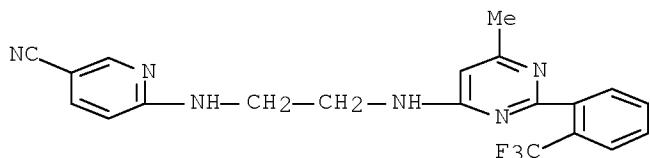
CN 3-Pyridinecarbonitrile, 6-[[2-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]ethyl]amino]- (CA INDEX NAME)



RN 544678-36-6 HCPLUS

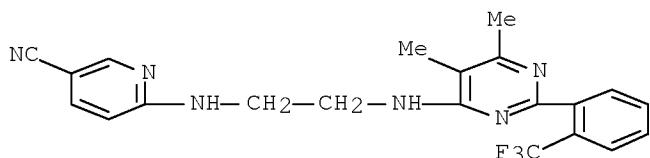
CN 3-Pyridinecarbonitrile, 6-[[2-[(6-methyl-2-[2-(trifluoromethyl)phenyl]-4-

pyrimidinyl]amino]ethyl]amino]- (CA INDEX NAME)



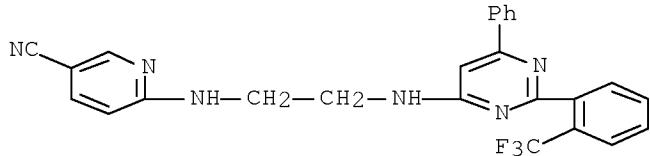
RN 544678-37-7 HCPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[[5,6-dimethyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]ethyl]amino]- (CA INDEX NAME)



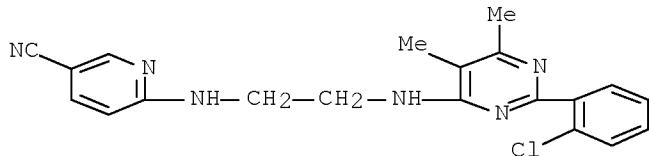
RN 544678-49-1 HCAPLUS

CN 3-Pyridinecarbonitrile, 6-[(2-[(6-phenyl-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]ethyl)amino]- (CA INDEX NAME)



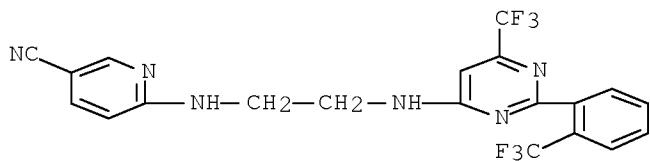
RN 544678-50-4 HCAPLUS

CN 3-Pyridinecarbonitrile, 6-[(2-[(2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl)amino]ethyl)amino]- (CA INDEX NAME)



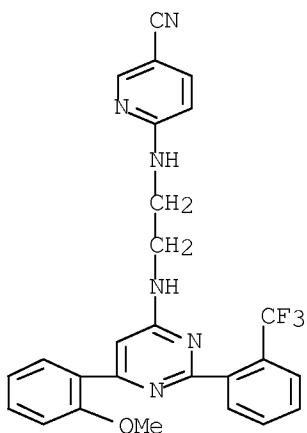
RN 544678-51-5 HCAPLUS

CN 3-Pyridinecarbonitrile, 6-[(2-[(6-(trifluoromethyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino)ethyl]amino]- (CA INDEX NAME)



RN 544678-52-6 HCPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[[6-(2-methoxyphenyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]ethyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)  
 REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 26 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:465821 HCPLUS Full-text  
 DOCUMENT NUMBER: 137:47211  
 TITLE: Substituted 2-aryl-4-arylaminoypyrimidines and analogs as activators of caspases and inducers of apoptosis, their preparation, and the use thereof as, e.g., anticancer agents  
 INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P. Sanjeeva; Pervin, Azra  
 PATENT ASSIGNEE(S): Cytovia, Inc., USA  
 SOURCE: PCT Int. Appl., 210 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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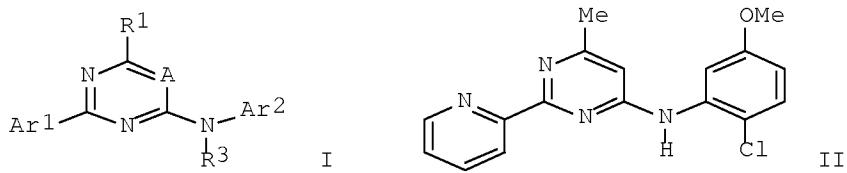
WO 2002047690	A1	20020620	WO 2001-US47498	20011212 <--
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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,				
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028922	A	20020624	AU 2002-28922	20011212 <--
US 20030069239	A1	20030410	US 2001-12444	20011212 <--
US 6716851	B2	20040406		
EP 1351691	A1	20031015	EP 2001-990048	20011212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20040097503	A1	20040520	US 2003-704448	20031110 <--
US 7226927	B2	20070605		
PRIORITY APPLN. INFO.:				
			US 2000-254581P	P 20001212 <--
			US 2001-12444	A3 20011212 <--
			WO 2001-US47498	W 20011212 <--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:47211

ED      Entered STN: 21 Jun 2002

GI



AB The invention is directed to substituted 2-aryl-4-(arylamino)pyrimidines I and analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of apoptosis. I may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. In particular, a method of treating disorders responsive to the induction of apoptosis, comprising administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is claimed. Over 200 specific examples of I are described. For instance, condensation of 4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with 2-chloro-5-methoxyaniline gave title compound II in 44% yield. This compound induced apoptosis and activated caspase cascade in human breast cancer cell lines T-47D and ZR-75-1. Another compound I also showed marked selectivity for human breast cancer cells over other, non-breast cancer cell lines.

IT 300359-08-4P, 4-(4-Methoxyanilino)-6-methyl-2-phenylpyrimidine

IT 300359-08-4P, 4-(4-Methoxyanilino)-6-methyl-2-phenylpyrimidine

438247-48-4P, 4-(4-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-49-5P,  
 4-(4-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine  
 438247-50-8P, 4-[4-(Dimethylamino)anilino]-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-51-9P,  
 4-[4-(Dimethylamino)anilino]-6-methyl-2-(3-methylphenyl)pyrimidine 438247-54-2P, 4-(3-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine 438247-57-5P,  
 4-(3-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-74-6P, 4-(2,5-Dimethoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-91-7P,  
 4-(2-Chloro-5-methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-92-8P,  
 4-(5-Methoxy-2-methylanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
 THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted aryl(aryl amino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

IT 300359-07-3, 4-(2-Methylanilino)-2-phenyl-6-methylpyrimidine

331648-44-3, 4-(4-Methoxyanilino)-2-(2-hydroxyphenyl)-6-methylpyrimidine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(drug candidate; preparation of substituted aryl(aryl amino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

IT 300359-08-4P, 4-(4-Methoxyanilino)-6-methyl-2-phenylpyrimidine

438247-48-4P, 4-(4-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-49-5P,

4-(4-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine 438247-50-8P, 4-[4-(Dimethylamino)anilino]-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-51-9P,

4-[4-(Dimethylamino)anilino]-6-methyl-2-(3-methylphenyl)pyrimidine 438247-54-2P, 4-(3-Methoxyanilino)-6-methyl-2-(3-methylphenyl)pyrimidine 438247-57-5P,

4-(3-Methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-74-6P, 4-(2,5-Dimethoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-91-7P,

4-(2-Chloro-5-methoxyanilino)-6-(methoxymethyl)-2-(3-methylphenyl)pyrimidine 438247-92-8P,  
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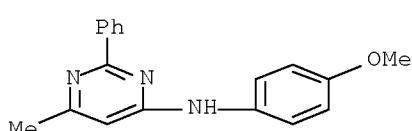
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

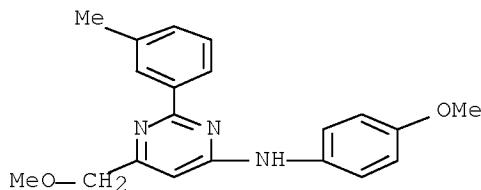
(drug candidate; preparation of substituted aryl(aryl amino)pyrimidines and analogs as caspase activators, apoptosis inducers, and anticancer agents)

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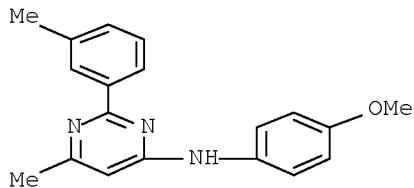
CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-phenyl- (CA INDEX NAME)



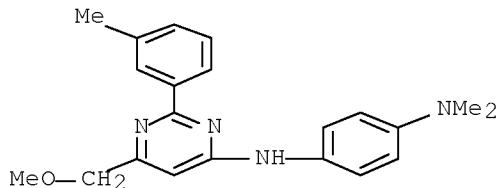
RN 438247-48-4 HCPLUS

CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(4-methoxyphenyl)-2-(3-methylphenyl)-  
(CA INDEX NAME)

RN 438247-49-5 HCPLUS

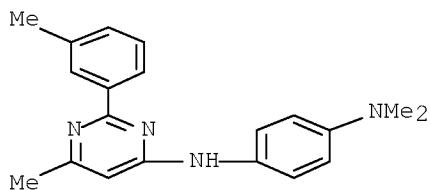
CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-6-methyl-2-(3-methylphenyl)-  
(CA INDEX NAME)

RN 438247-50-8 HCPLUS

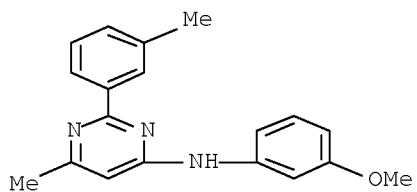
CN 1,4-Benzenediamine, N4-[6-(methoxymethyl)-2-(3-methylphenyl)-4-pyrimidinyl]-N1,N1-dimethyl-  
(CA INDEX NAME)

RN 438247-51-9 HCPLUS

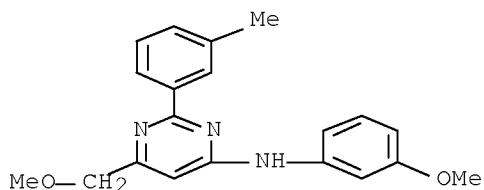
CN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[6-methyl-2-(3-methylphenyl)-4-pyrimidinyl]-  
(CA INDEX NAME)



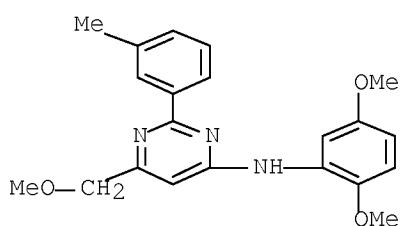
RN 438247-54-2 HCAPLUS  
 CN 4-Pyrimidinamine, N-(3-methoxyphenyl)-6-methyl-2-(3-methylphenyl)- (CA INDEX NAME)



RN 438247-57-5 HCAPLUS  
 CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(3-methoxyphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)

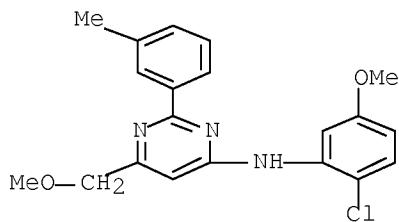


RN 438247-74-6 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2,5-dimethoxyphenyl)-6-(methoxymethyl)-2-(3-methylphenyl)- (CA INDEX NAME)



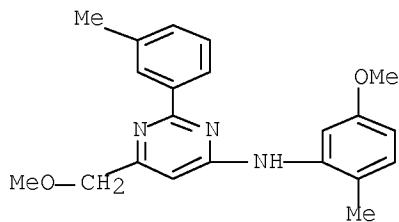
RN 438247-91-7 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2-chloro-5-methoxyphenyl)-6-(methoxymethyl)-2-(3-

methylphenyl)- (CA INDEX NAME)



RN 438247-92-8 HCAPLUS

CN 4-Pyrimidinamine, 6-(methoxymethyl)-N-(5-methoxy-2-methylphenyl)-2-(3-methylphenyl)- (CA INDEX NAME)



IT 300359-07-3, 4-(2-Methylanilino)-2-phenyl-6-methylpyrimidine

331648-44-3, 4-(4-Methoxyanilino)-2-(2-hydroxyphenyl)-6-methylpyrimidine

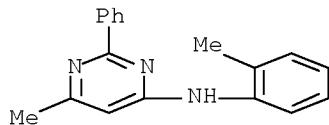
RL: FAC (Pharmacological activity); THU (Therapeutic

use); BIOL (Biological study); USES (Uses)

(drug candidate; preparation of substituted aryl(arylarnino)pyrimidines and  
analogs as caspase activators, apoptosis inducers, and anticancer  
agents)

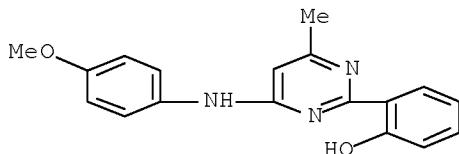
RN 300359-07-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(2-methylphenyl)-2-phenyl- (CA INDEX NAME)



RN 331648-44-3 HCAPLUS

CN Phenol, 2-[4-[(4-methoxyphenyl)amino]-6-methyl-2-pyrimidinyl]- (CA INDEX  
NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)  
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L55 ANSWER 27 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:220580 HCAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 136:247606  
 TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.  
 INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 357 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 15  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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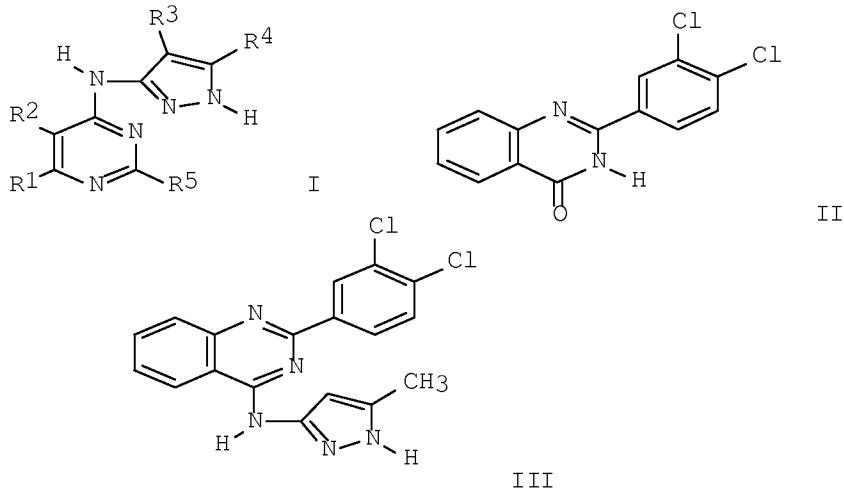
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:247606

ED Entered STN: 22 Mar 2002

GI



AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with K<sub>i</sub>s reported < 100 nM: GSK-3 $\beta$  (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation);  
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

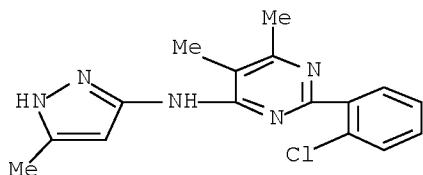
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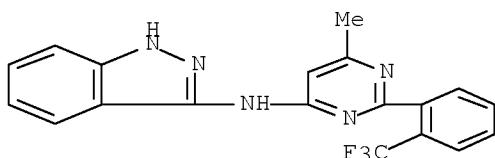
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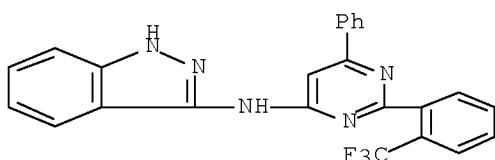
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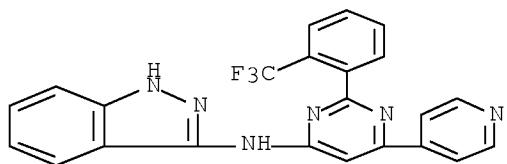
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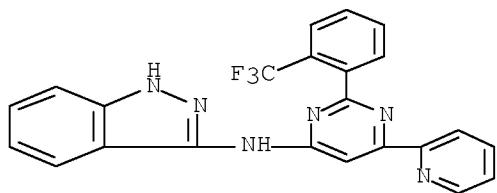
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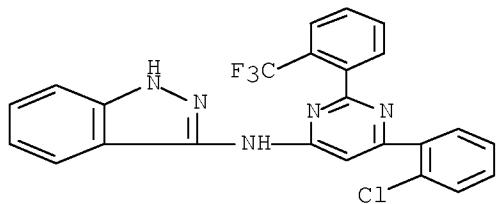
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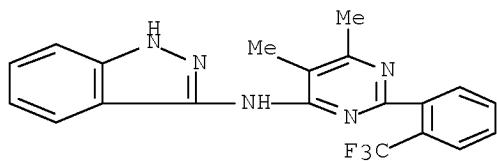
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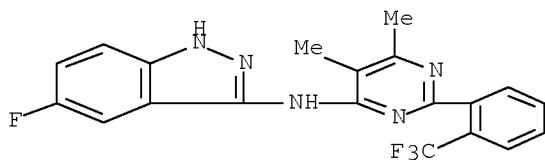


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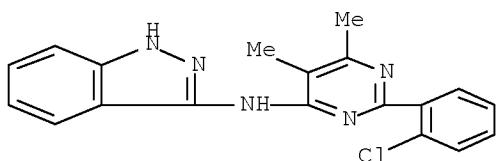
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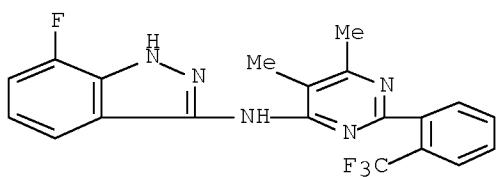
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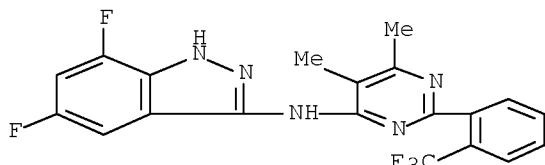
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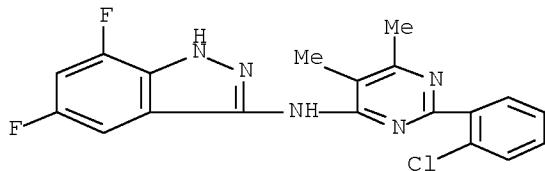


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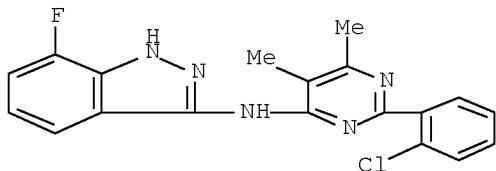
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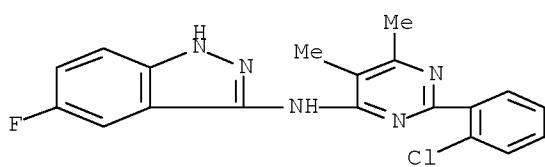
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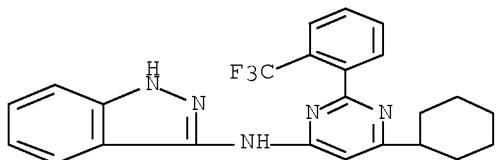
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CN 1H-Indazol-3-amine, N-[2-(2-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]-5-fluoro- (CA INDEX NAME)



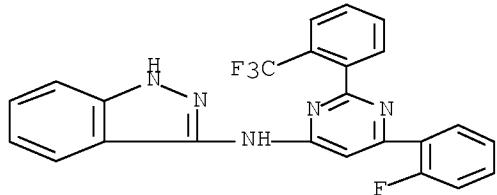
RN 404827-52-7 HCAPLUS

CN 1H-Indazol-3-amine, N-[6-cyclohexyl-2-[2-(trifluoromethyl)phenyl]pyrimidinyl]- (CA INDEX NAME)



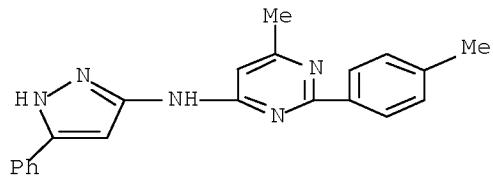
RN 404827-53-8 HCAPLUS

CN 1H-Indazol-3-amine, N-[6-(2-fluorophenyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



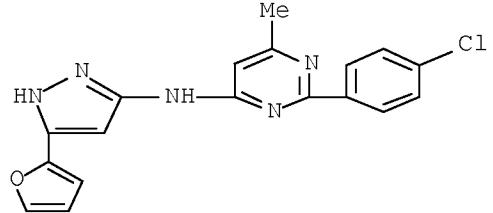
RN 404829-36-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-(5-phenyl-1H-pyrazol-3-yl)- (CA INDEX NAME)



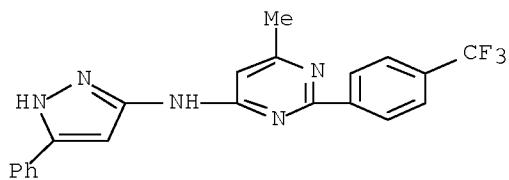
RN 404829-37-4 HCAPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[5-(2-furanyl)-1H-pyrazol-3-yl]-6-methyl- (CA INDEX NAME)



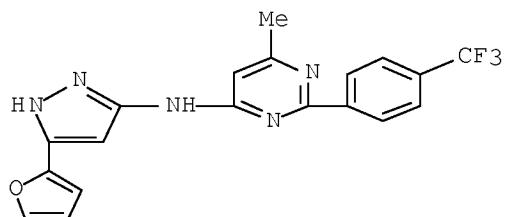
RN 404829-39-6 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(5-phenyl-1H-pyrazol-3-yl)-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



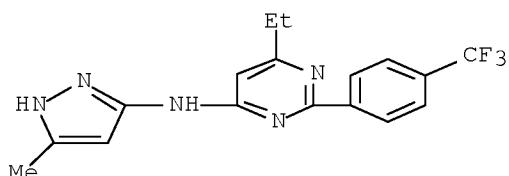
RN 404829-40-9 HCPLUS

CN 4-Pyrimidinamine, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-6-methyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



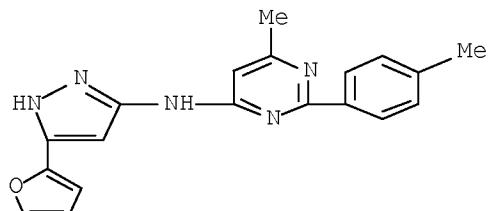
RN 404829-45-4 HCPLUS

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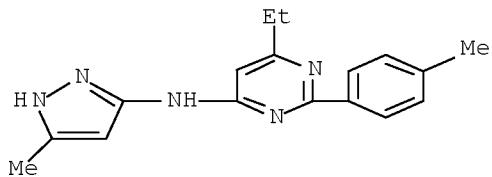
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CN 4-Pyrimidinamine, N-[5-(2-furanyl)-1H-pyrazol-3-yl]-6-methyl-2-(4-methylphenyl)- (CA INDEX NAME)



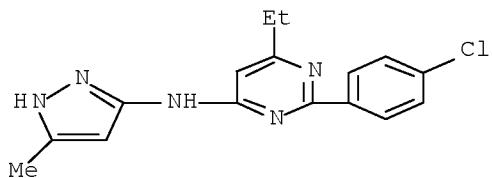
RN 404829-50-1 HCPLUS

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 (CA INDEX NAME)



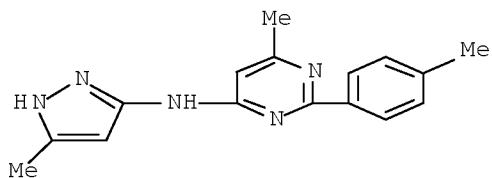
RN 404829-51-2 HCPLUS

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 (CA INDEX NAME)



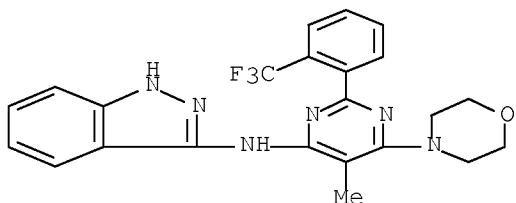
RN 404829-52-3 HCPLUS

CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-(5-methyl-1H-pyrazol-3-yl)-  
 (CA INDEX NAME)

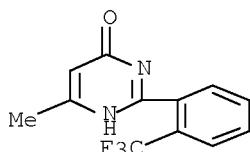


RN 404829-79-4 HCPLUS

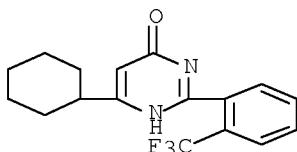
CN 1H-Indazol-3-amine, N-[5-methyl-6-(4-morpholinyl)-2-[2-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



IT 404828-01-9P 404828-02-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)  
 RN 404828-01-9 HCPLUS  
 CN 4(3H)-Pyrimidinone, 6-methyl-2-[2-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 404828-02-0 HCPLUS  
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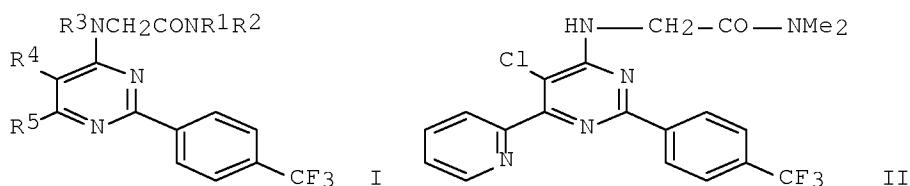


OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 28 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:589762 HCPLUS Full-text  
 DOCUMENT NUMBER: 135:166837  
 TITLE: Preparation of 6-heteroaryl-2-(4-trifluoromethylphenyl)pyrimidines and medicine compositions thereof  
 INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ito, Masato  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001220389	A	20010814	JP 2000-30187	20000208 <--
PRIORITY APPLN. INFO.:			JP 2000-30187	20000208 <--

OTHER SOURCE(S): MARPAT 135:166837  
ED Entered STN: 15 Aug 2001  
GI



AB Title compds. [I; R1 = H, alkyl; R2 = alkyl cycloalkyl; R3 = H, alkyl; R4 = halo; R5 = heteroaryl] and biol. acceptable salts are prepared and are useful as therapeutic or preventive remedies for rheumatism and inflammation diseases, such as Behcet syndrome, ankylosing spondylitis, multiple sclerosis, systemic lupus erythematoses, Sjogren syndrome, and autoimmune inflammation. The title compound II was prepared and biol. tested for Behcet syndrome. Thus, the title compound II showed 50% antiarthritic effect at 1 mg/kg.

IT 353755-69-8P 353755-71-2P 353755-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

IT 263243-73-8P 350490-63-0P 350490-64-1P  
350490-84-5P 350490-87-8P 350490-90-3P

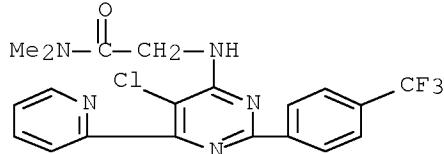
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

BLI-BAC (Biological activity on effector).

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine compns. thereof)

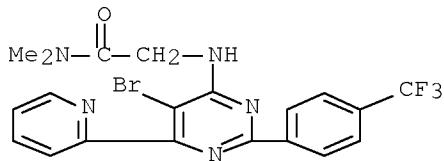
RN 353755-69-8 HCAPLUS

CN Acetamide, 2-[(5-chloro-6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



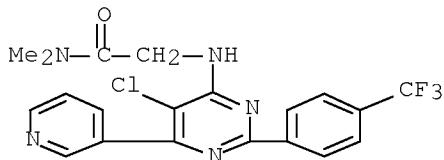
RN 353755-71-2 HCAPLUS

CN Acetamide, 2-[[5-bromo-6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



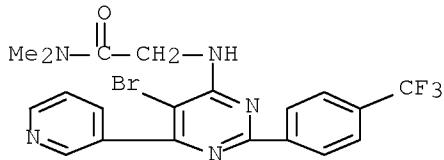
RN 353755-73-4 HCAPLUS

CN Acetamide, 2-[[5-chloro-6-(3-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



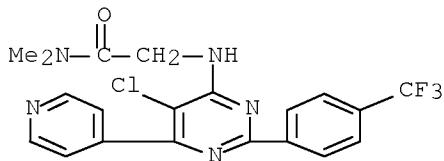
RN 353755-77-8 HCAPLUS

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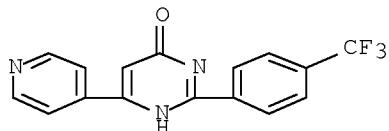


RN 353755-79-0 HCAPLUS

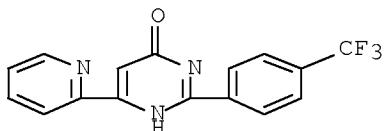
CN Acetamide, 2-[[5-chloro-6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



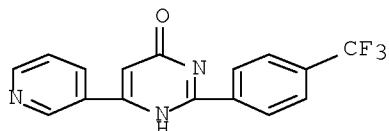
IT 263243-73-8P 350490-63-0P 350490-64-1P  
 350490-84-5P 350490-87-8P 350490-90-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of heteroaryltrifluoromethylphenylpyrimidines and medicine  
 compns. thereof)  
 RN 263243-73-8 HCPLUS  
 CN 4(3H)-Pyrimidinone, 6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]- (CA  
 INDEX NAME)



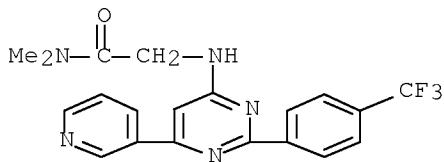
RN 350490-63-0 HCPLUS  
 CN 4(3H)-Pyrimidinone, 6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]- (CA  
 INDEX NAME)



RN 350490-64-1 HCPLUS  
 CN 4(3H)-Pyrimidinone, 6-(3-pyridinyl)-2-[4-(trifluoromethyl)phenyl]- (CA  
 INDEX NAME)

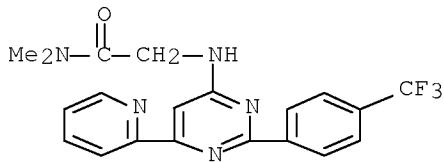


RN 350490-84-5 HCPLUS  
 CN Acetamide, N,N-dimethyl-2-[{6-(3-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl}amino]- (CA INDEX NAME)



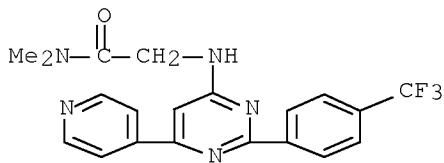
RN 350490-87-8 HCAPLUS

CN Acetamide, N,N-dimethyl-2-[(6-(2-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 350490-90-3 HCAPLUS

CN Acetamide, N,N-dimethyl-2-[(6-(4-pyridinyl)-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



L55 ANSWER 29 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:380560 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 135:5621

TITLE: Preparation of

[5-chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide derivatives as antirheumatic agents, process for producing the same, medicinal compositions containing the same and intermediate of these compounds

INVENTOR(S): Murata, Teruya; Ohno, Kazunori; Tanaka, Masayasu; Itoh, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

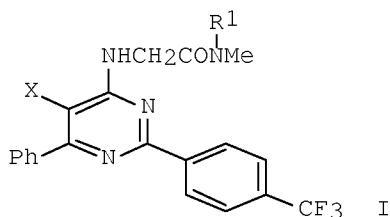
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036392	A1	20010525	WO 2000-JP7854	20001109 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2390259	A1	20010525	CA 2000-2390259	20001109 <--
AU 2001013024	A	20010530	AU 2001-13024	20001109 <--
AU 780048	B2	20050224		
EP 1236721	A1	20020904	EP 2000-974834	20001109 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NZ 518702	A	20040430	NZ 2000-518702	20001109 <--
CN 1170823	C	20041013	CN 2000-815758	20001109 <--
US 6620817	B1	20030916	US 2002-130151	20020513 <--
PRIORITY APPLN. INFO.:			JP 1999-326290	A 19991117 <--
			WO 2000-JP7854	W 20001109 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

ED     Entered STN:  27 May 2001  
 GI



AB [5-Chloro-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide derivs. represented by general formula (I; R1 = Me, cyclopropyl; X = Cl) are prepared by chlorination of I (R1 = same as above; X = H). Because of having a potent antirheumatic effect and a low toxicity, these compds. are useful as remedies and preventives for rheumatic diseases such as rheumatism, Behcet's disease and ankylosing spondylitis, and inflammatory immunol. diseases such as multiple sclerosis, systemic lupus erythematosus and inflammatory autoimmunol. diseases such as Sjogren's syndrome. Thus, a mixture of 15.9 g I (R1 = Me, X = H) (preparation given), 6.4 g N-chlorosuccinimide, and 80 mL AcOH was stirred at 90° for 1.5 h to give 16 g I (R1 = Me, X = Cl) (II). II and I (R1 = cyclopropyl, X = Cl) (III) inhibited at 10 mg/kg per day for 5 days inhibited the collagen-induced arthritis in mice by 96.0 and 96.6%, resp. A tablet containing II and capsule and dispersant containing III were formulated.

IT 340810-44-8P 340810-45-9P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

IT 340011-60-1P 340011-61-2P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

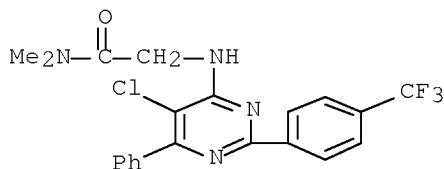
IT 340810-44-8P 340810-45-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

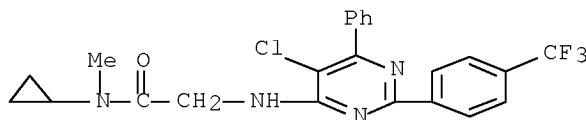
RN 340810-44-8 HCPLUS

CN Acetamide, 2-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinylamino]-N,N-dimethyl- (CA INDEX NAME)



RN 340810-45-9 HCPLUS

CN Acetamide, 2-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinylamino]-N-cyclopropyl-N-methyl- (CA INDEX NAME)



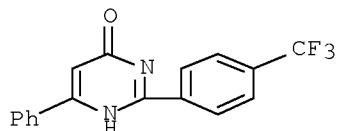
IT 340011-60-1P 340011-61-2P 340011-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

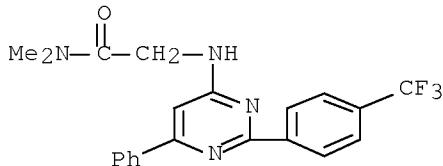
(preparation of [chlorophenyl(fluoromethylphenyl)pyrimidinylamino]acetamide derivs. as antirheumatic agents)

RN 340011-60-1 HCPLUS

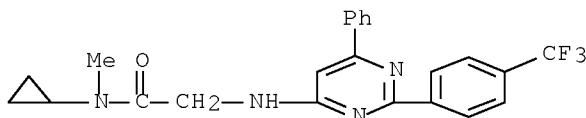
CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 340011-61-2 HCAPLUS  
 CN Acetamide, N,N-dimethyl-2-[(6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 340011-65-6 HCAPLUS  
 CN Acetamide, N-cyclopropyl-N-methyl-2-[(6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

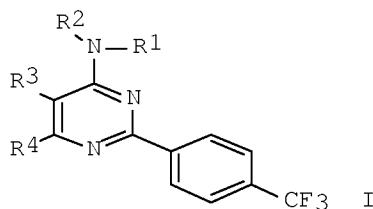
L55 ANSWER 30 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:372157 HCAPLUS Full-text  
 DOCUMENT NUMBER: 134:366894  
 TITLE: Preparation of 2-(4-trifluoromethylphenyl)-4-aminopyrimidines as remedies for autoimmune inflammatory diseases  
 INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori;  
 Tanaka, Masayasu; Ito, Masato  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139560	A	20010522	JP 1999-326299	19991117 <--
PRIORITY APPLN. INFO.:			JP 1999-326299	19991117 <--

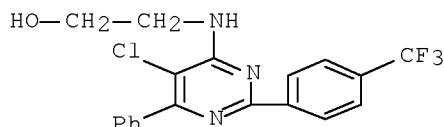
OTHER SOURCE(S): MARPAT 134:366894

ED Entered STN: 24 May 2001

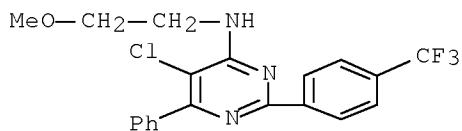
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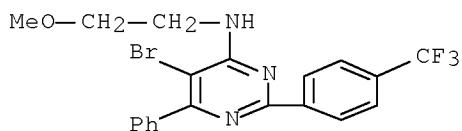
- AB The title compds. I [R1 = H, alkyl, etc.; R2 = alkyl, etc.; further detail on R1 and R2 is given; R3 = halo, etc.; R4 = alkyl, (un)substituted Ph, etc.] are prepared I [NR1R2 = NHCH2CH(OH)Me; R3 = Cl; R4 = phenyl] at 3 mg/kg/day orally (5 days/wk; for 7.4 wk) gave 98.2 % inhibition of collagen-induced arthritis in mice. Formulations are given.
- IT 340149-33-9P 340149-37-3P 340149-40-8P  
 340149-43-1P 340149-45-3P 340149-47-5P  
 340149-49-7P 340149-51-1P 340149-53-3P  
 340149-55-5P 340149-57-7P 340149-59-9P  
 340149-61-3P 340149-63-5P 340149-65-7P  
 340149-67-9P
- RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)
- IT 340011-60-1P 340149-71-5P 340149-73-7P  
 340149-75-9P 340149-77-1P 340149-79-3P  
 340149-81-7P 340149-83-9P 340149-85-1P  
 340149-87-3P 340149-89-5P 340149-91-9P  
 340149-93-1P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)
- IT 340149-33-9P 340149-37-3P 340149-40-8P  
 340149-43-1P 340149-45-3P 340149-47-5P  
 340149-49-7P 340149-51-1P 340149-53-3P  
 340149-55-5P 340149-57-7P 340149-59-9P  
 340149-61-3P 340149-63-5P 340149-65-7P  
 340149-67-9P
- RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)
- RN 340149-33-9 HCAPLUS
- CN Ethanol, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



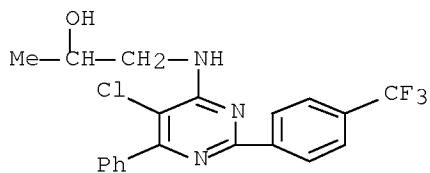
RN 340149-37-3 HCAPLUS  
 CN 4-Pyrimidinamine, 5-chloro-N-(2-methoxyethyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



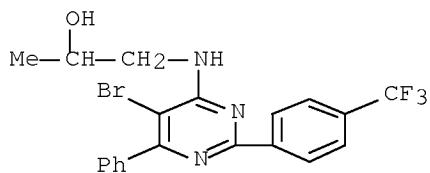
RN 340149-40-8 HCAPLUS  
 CN 4-Pyrimidinamine, 5-bromo-N-(2-methoxyethyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 340149-43-1 HCAPLUS  
 CN 2-Propanol, 1-[(5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]- (CA INDEX NAME)

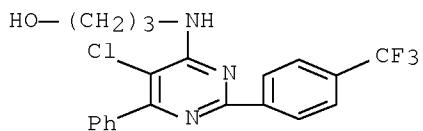


RN 340149-45-3 HCAPLUS  
 CN 2-Propanol, 1-[(5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]- (CA INDEX NAME)



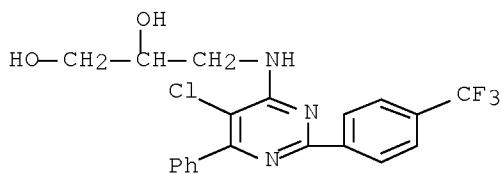
RN 340149-47-5 HCAPLUS

CN 1-Propanol, 3-[(5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



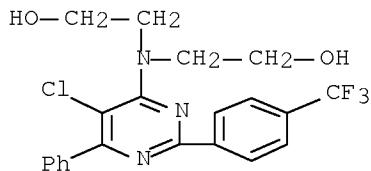
RN 340149-49-7 HCAPLUS

CN 1,2-Propanediol, 3-[(5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



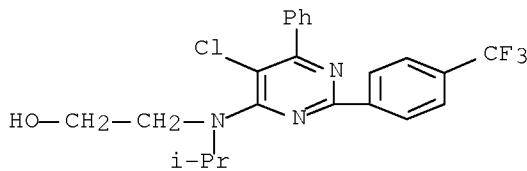
RN 340149-51-1 HCAPLUS

CN Ethanol, 2,2'-(5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl)biss- (CA INDEX NAME)

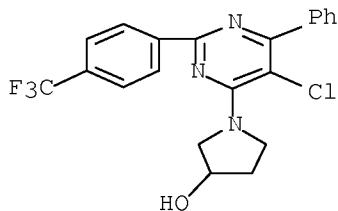


RN 340149-53-3 HCAPLUS

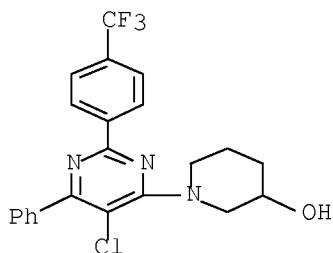
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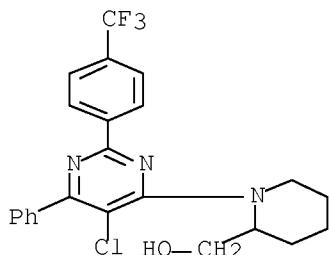
RN 340149-55-5 HCAPLUS  
 CN 3-Pyrrolidinol, 1-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



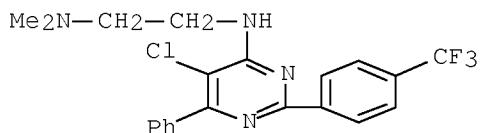
RN 340149-57-7 HCAPLUS  
 CN 3-Piperidinol, 1-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



RN 340149-59-9 HCAPLUS  
 CN 2-Piperidinemethanol, 1-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



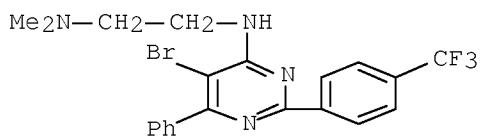
RN 340149-61-3 HCAPLUS  
 CN 1,2-Ethanediamine, N2-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 340149-63-5 HCPLUS

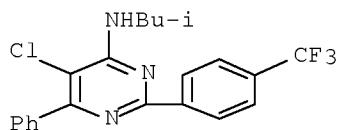
CN 1,2-Ethanediamine, N2-[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

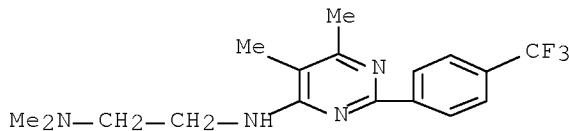
RN 340149-65-7 HCPLUS

CN 4-Pyrimidinamine, 5-chloro-N-(2-methylpropyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 340149-67-9 HCPLUS

CN 1,2-Ethanediamine, N2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-N1,N1-dimethyl- (CA INDEX NAME)



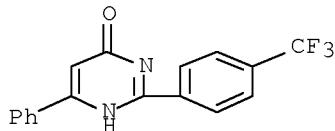
IT	340011-60-1P	340149-71-5P	340149-73-7P
	340149-75-9P	340149-77-1P	340149-79-3P
	340149-81-7P	340149-83-9P	340149-85-1P
	340149-87-3P	340149-89-5P	340149-91-9P

340149-93-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of aminopyrimidines as remedies for autoimmune inflammatory diseases)

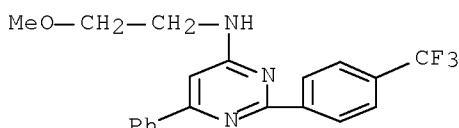
RN 340011-60-1 HCPLUS

CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



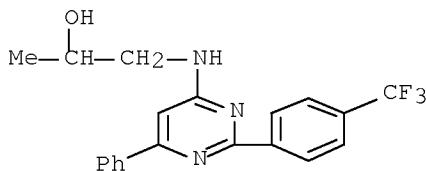
RN 340149-71-5 HCPLUS

CN 4-Pyrimidinamine, N-(2-methoxyethyl)-6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



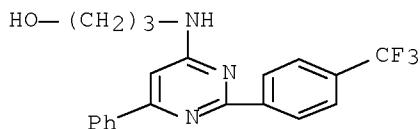
RN 340149-73-7 HCPLUS

CN 2-Propanol, 1-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

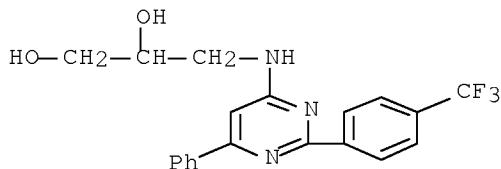


RN 340149-75-9 HCPLUS

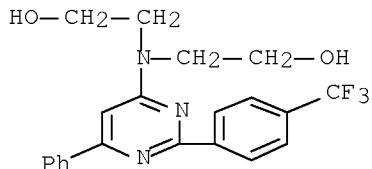
CN 1-Propanol, 3-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



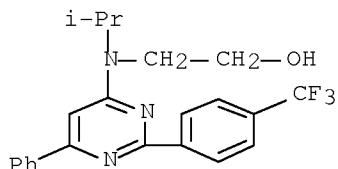
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 CN 1,2-Propanediol, 3-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



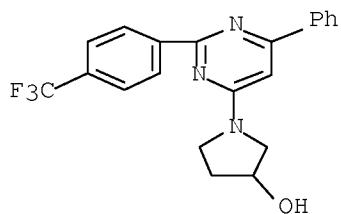
RN 340149-79-3 HCAPLUS  
 CN Ethanol, 2,2'--[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]imino]bis- (CA INDEX NAME)



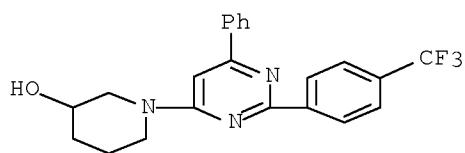
RN 340149-81-7 HCAPLUS  
 CN Ethanol, 2-[(1-methylethyl)[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



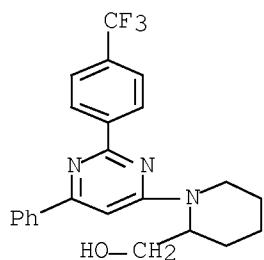
RN 340149-83-9 HCAPLUS  
 CN 3-Pyrrolidinol, 1-[[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



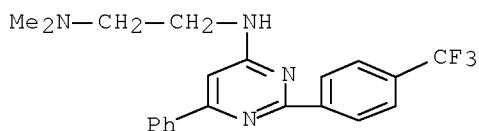
RN 340149-85-1 HCAPLUS  
 CN 3-Piperidinol, 1-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-  
 (CA INDEX NAME)



RN 340149-87-3 HCAPLUS  
 CN 2-Piperidinemethanol, 1-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)

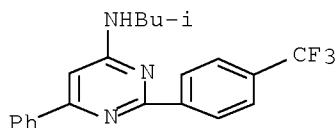


RN 340149-89-5 HCAPLUS  
 CN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



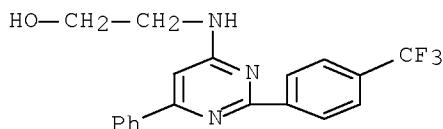
RN 340149-91-9 HCAPLUS  
 CN 4-Pyrimidinamine, N-(2-methylpropyl)-6-phenyl-2-[4-

(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 340149-93-1 HCPLUS

CN Ethanol, 2-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L55 ANSWER 31 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:369711 HCPLUS [Full-text](#)

DOCUMENT NUMBER: 134:366892

TITLE: Preparation of

5-halogeno-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamides and compositions for treatment of immune inflammation

INVENTOR(S): Murata, Akiya; Ohno, Kazunori; Tanaka, Masayasu; Ito, Mari

PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

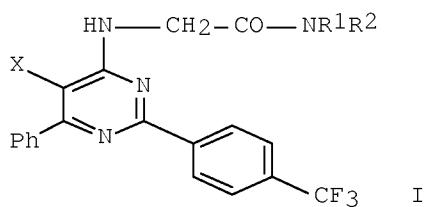
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

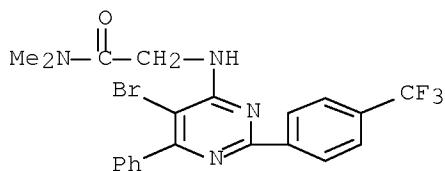
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

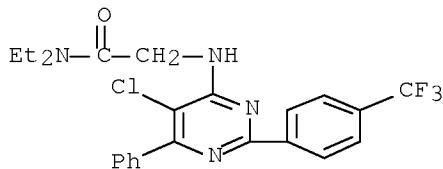
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139559	A	20010522	JP 1999-326295	19991117 <--
PRIORITY APPLN. INFO.:	JP 1999-326295			
OTHER SOURCE(S):	MARPAT 134:366892			
ED Entered STN: 23 May 2001				
GI				



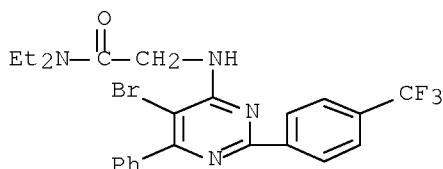
- AB Title compds. I [R1 = Me, Et; R2 = Me, Et, iso-Pr, cyclopropyl; X = Cl, Br; (R1, R2, X) ≠ (Me, Me, Cl), (Me, cyclopropyl, Cl)], useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared N,N-dimethyl-2-[6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide (1.1 g) was reacted with N-bromosuccinimide in AcOH at 90° for 1 h to give 1 g 2-[5-bromo-6-phenyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]-N,N-dimethylacetamide showing 96.0% inhibitory activity against arthritis in mouse.
- IT 340011-66-7P 340011-67-8P 340011-68-9P  
 340011-69-0P 340011-70-3P 340011-71-4P  
 340011-72-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)
- IT 340011-60-1P 340011-61-2P 340011-62-3P  
 340011-63-4P 340011-64-5P 340011-65-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)
- IT 340011-66-7P 340011-67-8P 340011-68-9P  
 340011-69-0P 340011-70-3P 340011-71-4P  
 340011-72-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides and compns. for treatment of immune inflammation)
- RN 340011-66-7 HCPLUS
- CN Acetamide, 2-[[5-bromo-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



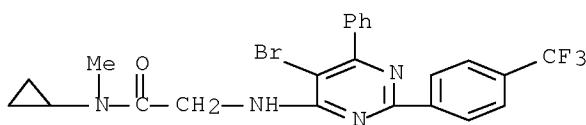
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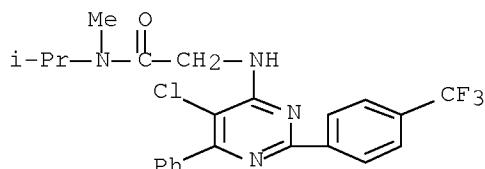
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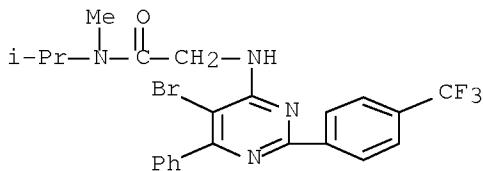
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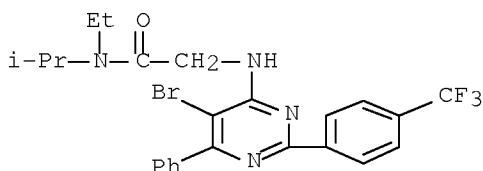
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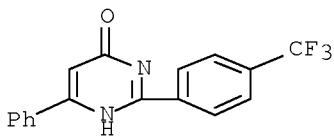
RN 340011-71-4 HCAPLUS  
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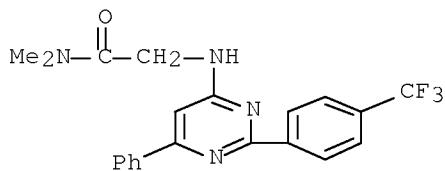
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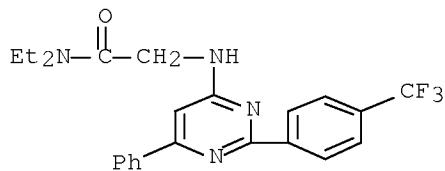
IT 340011-60-1P 340011-61-2P 340011-62-3P  
 340011-63-4P 340011-64-5P 340011-65-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of  
 halophenyl(trifluoromethylphenyl)pyrimidinylamino]acetamides  
 and compns. for treatment of immune inflammation)  
 RN 340011-60-1 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 6-phenyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



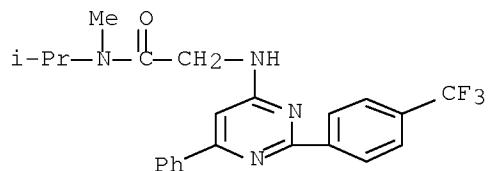
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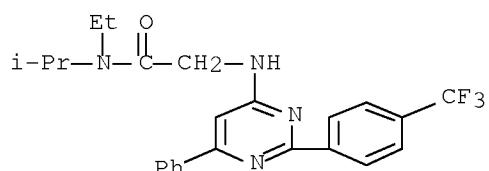
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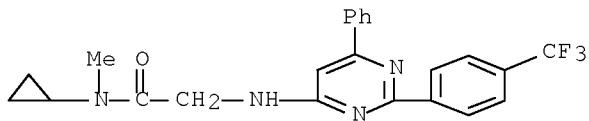
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RN 340011-64-5 HCPLUS  
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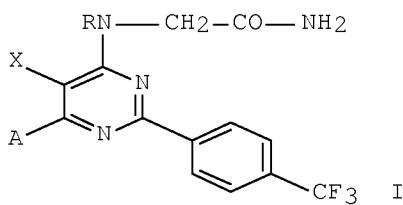


RN 340011-65-6 HCPLUS  
 CN Acetamide, N-cyclopropyl-N-methyl-2-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



L55 ANSWER 32 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2001:366094 HCPLUS Full-text  
 DOCUMENT NUMBER: 134:366890  
 TITLE: Preparation of [2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamides for treatment of immune inflammation  
 INVENTOR(S): Murata, Akiya; Kondo, Masanori; Ohno, Kazunori;  
 Tanaka, Masayasu; Ito, Mari  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001139558	A	20010522	JP 1999-324719	19991115 <--
PRIORITY APPLN. INFO.:			JP 1999-324719	19991115 <--
OTHER SOURCE(S):	MARPAT	134:366890		
ED Entered STN:	Entered STN:	22 May 2001		
GI				



AB Title compds. I (A = H, lower alkyl, cycloalkyl, F3C, halo, etc.; X = H, halo, lower alkyl, HOCH<sub>2</sub>, lower alkoxyethyl, NO<sub>2</sub>, etc.; R = H, lower alkyl), useful for treatment of rheumatoid arthritis, Behcet's disease, myelitis, multiple sclerosis, systemic lupus erythematosus, Sjogren's syndrome, are prepared. Et 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetate (1.1 g) was treated with aqueous NH<sub>3</sub> in at room temperature for 48 h to give 0.8 g 2-[5,6-dimethyl-2-(4-trifluoromethylphenyl)-4-pyrimidinylamino]acetamide showing 100% inhibitory activity against arthritis in mouse.  
 IT 340008-61-9P 340008-62-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

IT 340008-56-2P 340008-57-3P 340008-59-5P  
 340008-60-8P

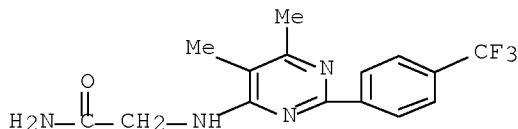
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

IT 340008-61-9P 340008-62-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

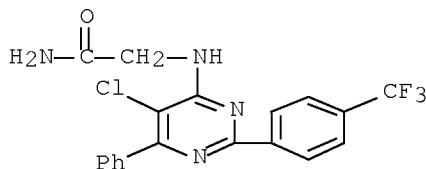
RN 340008-61-9 HCPLUS

CN Acetamide, 2-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 340008-62-0 HCPLUS

CN Acetamide, 2-[[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

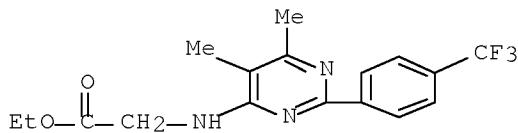


IT 340008-56-2P 340008-57-3P 340008-59-5P  
 340008-60-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of [(trifluoromethylphenyl)pyrimidinylamino]acetamides for treatment of immune inflammation)

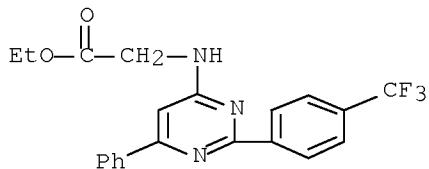
RN 340008-56-2 HCPLUS

CN Glycine, N-[[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



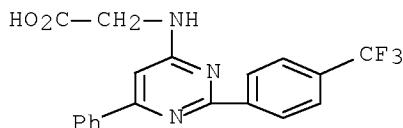
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CN Glycine, N-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



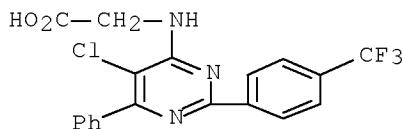
RN 340008-59-5 HCAPLUS

CN Glycine, N-[6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



RN 340008-60-8 HCAPLUS

CN Glycine, N-[5-chloro-6-phenyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]- (CA INDEX NAME)



L55 ANSWER 33 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:511159 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:157709

TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists

INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen Inc., USA  
 SOURCE: PCT Int. Appl., 469 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

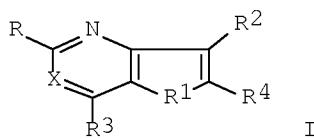
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2319275	A1	19990812	CA 1999-2319275	19990205 <--
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AU 9926590	A	19990823	AU 1999-26590	19990205 <--
AU 747920	B2	20020530		
EP 1054887	A1	20001129	EP 1999-906756	19990205 <--
EP 1054887	B1	20060412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003502272	T	20030121	JP 2000-530520	19990205 <--
AT 323088	T	20060415	AT 1999-906756	19990205 <--
PT 1054887	E	20060630	PT 1999-906756	19990205 <--
ES 2257851	T3	20060801	ES 1999-906756	19990205 <--
ZA 9900967	A	19990806	ZA 1999-967	19990208 <--
MX 2000007662	A	20010219	MX 2000-7662	20000804 <--
US 6583154	B1	20030624	US 2000-640263	20000816 <--
PRIORITY APPLN. INFO.:			US 1998-73927P	P 19980206 <--
			US 1998-73981P	P 19980206 <--
			US 1998-93482P	P 19980720 <--
			US 1998-93577P	P 19980720 <--
			US 1999-246775	A 19990204 <--
			WO 1999-US2500	W 19990205 <--

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 131:157709

ED Entered STN: 18 Aug 1999

GI



AB Title compds. [I; R = H, CH<sub>3</sub>, (CH<sub>3</sub>)<sub>2</sub>CH, SCH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, NHCOC<sub>6</sub>H<sub>5</sub>, cyclopropyl, CH<sub>2</sub>OH, (CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, N(CH<sub>3</sub>)<sub>2</sub>, OCH<sub>3</sub>, NHCH<sub>3</sub>, NH(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>; R<sub>1</sub> = NH, S, NCH<sub>3</sub>, O; R<sub>2</sub> = H, COCH<sub>3</sub>, C<sub>6</sub>H<sub>5</sub>, CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>; R<sub>3</sub> = NH<sub>2</sub>, CH<sub>3</sub>, NHC<sub>6</sub>H<sub>5</sub>,

N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, (CH<sub>3</sub>CH<sub>2</sub>)N(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, (CH<sub>3</sub>)N(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>3</sub>, N(CH<sub>3</sub>)CH(CH<sub>3</sub>)CH(Ph)OH, (CH<sub>3</sub>CH<sub>2</sub>)NCH<sub>2</sub>C(CH<sub>3</sub>):CH<sub>2</sub>, NHCH<sub>2</sub>CF<sub>3</sub>, NHCH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, NH(CH<sub>2</sub>)<sub>3</sub>OCH<sub>2</sub>CH<sub>3</sub>, 4-C<sub>1</sub>C<sub>6</sub>H<sub>4</sub>, 4-CH<sub>3</sub>O<sub>C</sub><sub>6</sub>H<sub>5</sub>, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>, 4-CH<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 4-C<sub>1</sub>C<sub>6</sub>H<sub>4</sub>, (CH<sub>3</sub>)<sub>3</sub>C, 4-FC<sub>6</sub>H<sub>4</sub>, 3-HOC<sub>6</sub>H<sub>4</sub>, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC<sub>6</sub>H<sub>4</sub> 2-thienyl, 1-adamantyl, CH<sub>3</sub>, 4-CH<sub>3</sub>O<sub>C</sub><sub>6</sub>H<sub>5</sub>; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH<sub>3</sub>; R<sub>1</sub> = NH; X = N; R<sub>2</sub> = H; R<sub>3</sub> = N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>) was prepared

IT 237435-23-3P

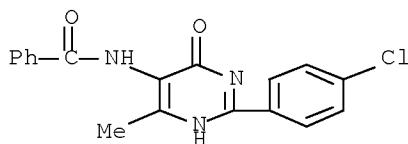
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

IT 237435-23-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 237435-23-3 HCPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1,6-dihydro-4-methyl-6-oxo-5-pyrimidinyl]-  
(CA INDEX NAME)

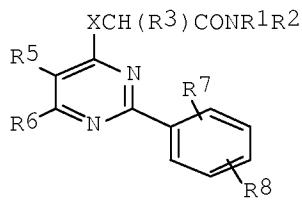


OS.CITING REF COUNT: 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)  
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 34 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1998:314282 HCPLUS Full-text  
DOCUMENT NUMBER: 129:54385  
ORIGINAL REFERENCE NO.: 129:11337a,11340a  
TITLE: Preparation of acetic acid amide derivatives as drugs  
INVENTOR(S): Murata, Akiya; Hino, Katsuhiko; Furukawa, Kiyoshi;  
Oka, Makoto; Ito, Mari  
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 44 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 10130150	A	19980519	JP 1997-257573	19970905 <--
PRIORITY APPLN. INFO.:			JP 1996-257704	A 19960905 <--
OTHER SOURCE(S):	MARPAT	129:54385		

ED    Entered STN: 28 May 1998  
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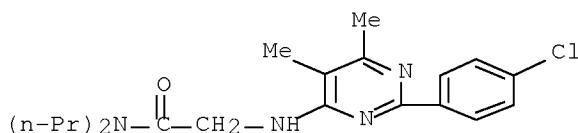


AB    The title compds. [I; X = O, NR4; R1 = H, (un)substituted lower alkyl or alkenyl, etc.; R2 = cycloalkyl, lower alkyl, (un)substituted Ph, etc.; R3 = H, alkyl, hydroxyalkyl, etc.; R4 = H, alkyl, or combine with R3 and N to form a pyrrolidine or piperidine; R5 = H, lower alkyl or alkenyl, hydroxyalkyl, CF3, etc.; R6 = H, lower alkyl, CF3, etc.; R7 = H, halo, lower alkyl, etc.; R8 = H, halo, lower alkoxy, etc.] are prepared I, possessing affinity toward the benzodiazepine receptor, are useful for prevention and treatment of melancholia, insecure related diseases, central nervous system diseases, and immunity inflammation diseases. Thus, 4-chloro-5,6-dimethyl-2-phenylpyrimidine was reacted with 2-amino-N,N-dipropylacetamide in the presence of Et3N to give I (R1 = R2 = n-Pr, R3 = R7 = R8 = H, R5 = R6 = Me, X = NH), which showed IC50 of 3.10 nM with abenzodiazepine receptor (BZ $\omega$ 3) when tested with rat. A formulation containing I was also prepared

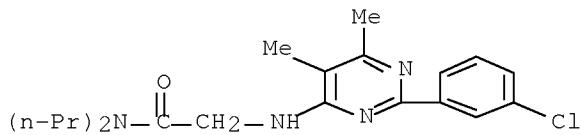
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

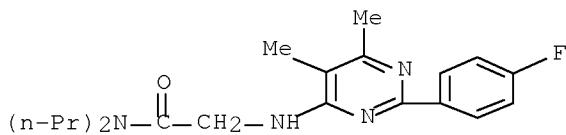
(preparation of acetic acid amide derivs. as drugs)  
IT 92577-32-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of acetic acid amide derivs. as drugs)  
IT 19927-82-3P 36935-59-8P 180606-46-6P  
184109-72-6P 184109-73-7P 184109-74-8P  
184109-76-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of acetic acid amide derivs. as drugs)  
IT 184107-66-2P 184107-67-3P 184107-68-4P  
184107-69-5P 184107-70-8P 184107-71-9P  
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208468-63-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of acetic acid amide derivs. as drugs)  
RN 184107-66-2 HCPLUS  
CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)



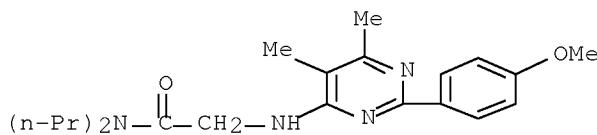
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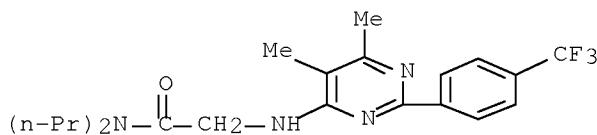
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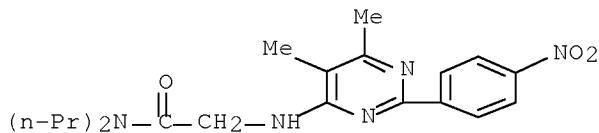
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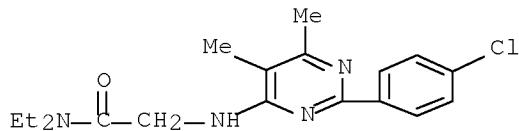
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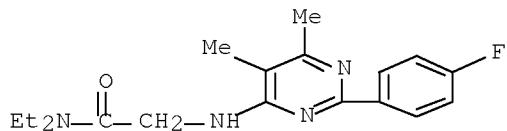
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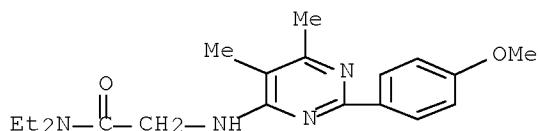
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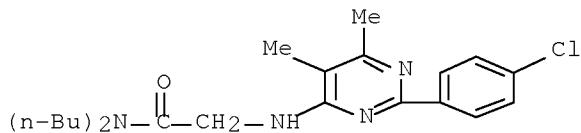
RN 184107-75-3 HCAPLUS  
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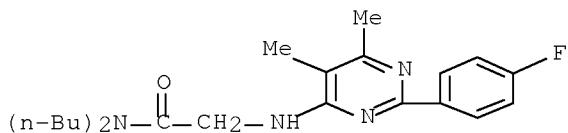
RN 184107-76-4 HCAPLUS  
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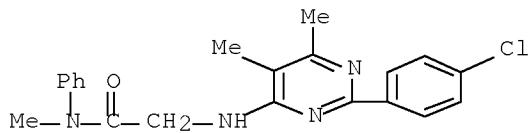
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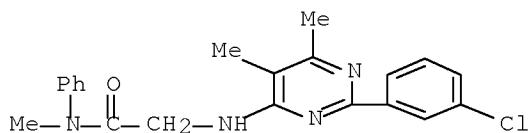
RN 184107-80-0 HCAPLUS  
 CN Acetamide, N,N-dibutyl-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



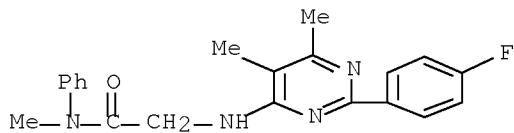
RN 184107-83-3 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



RN 184107-84-4 HCAPLUS  
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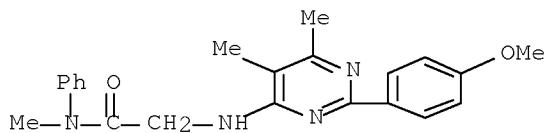


RN 184107-85-5 HCAPLUS  
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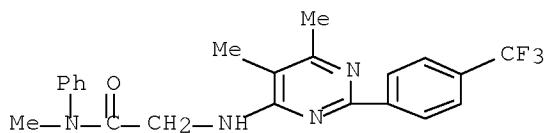
RN 184107-86-6 HCAPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



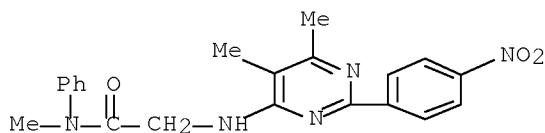
RN 184107-87-7 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



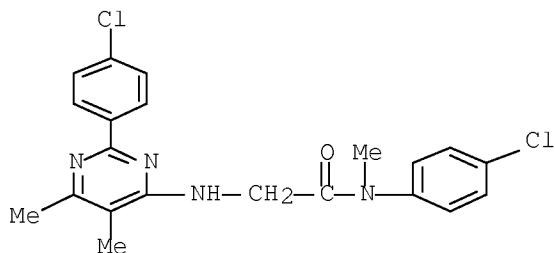
RN 184107-92-4 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

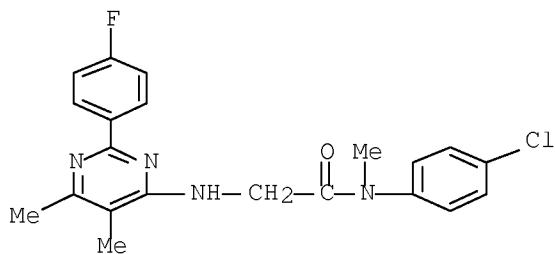


RN 184107-96-8 HCAPLUS

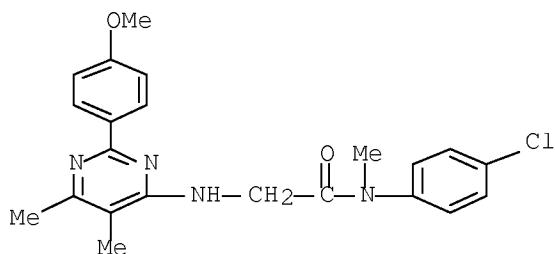
CN Acetamide, N-(4-chlorophenyl)-2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



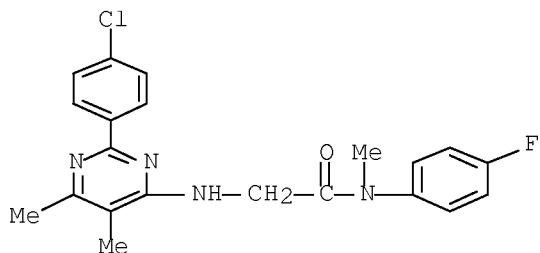
RN 184107-97-9 HCAPLUS  
 CN Acetamide, N-(4-chlorophenyl)-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



RN 184107-98-0 HCAPLUS  
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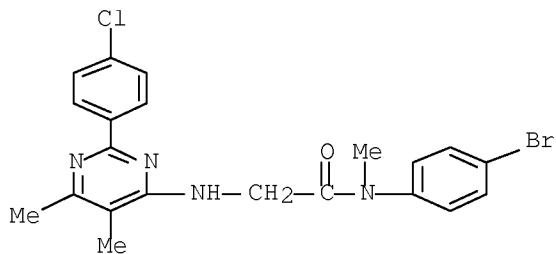


RN 184108-00-7 HCAPLUS  
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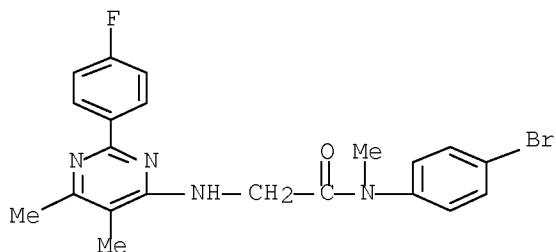
RN 184108-03-0 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



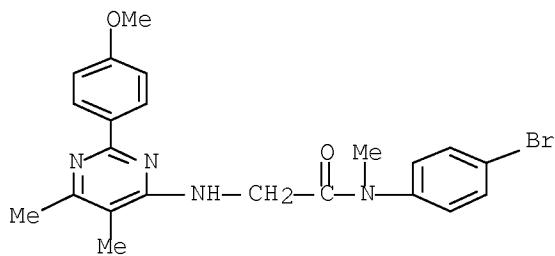
RN 184108-04-1 HCAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

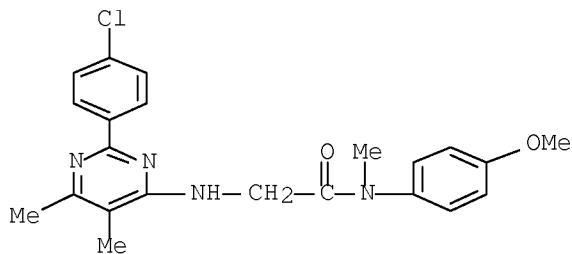


RN 184108-05-2 HCAPLUS

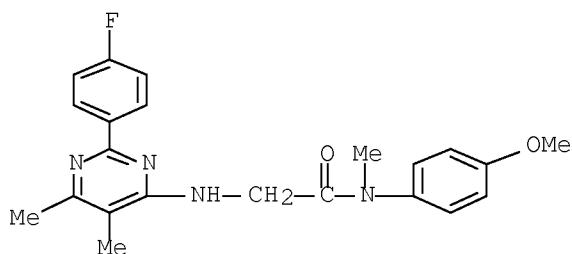
CN Acetamide, N-(4-bromophenyl)-2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



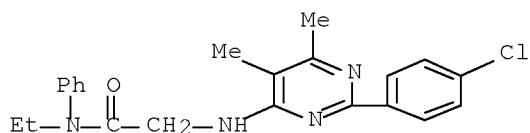
RN 184108-07-4 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (CA INDEX NAME)



RN 184108-08-5 HCAPLUS  
 CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (CA INDEX NAME)

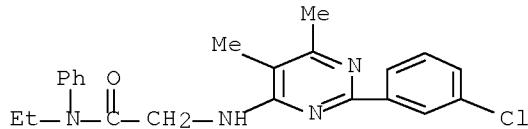


RN 184108-10-9 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



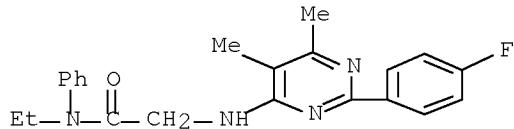
RN 184108-11-0 HCPLUS

CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



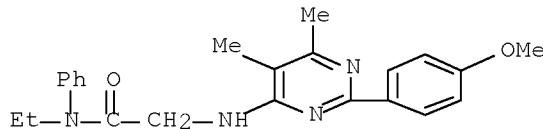
RN 184108-12-1 HCPLUS

CN Acetamide, N-ethyl-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)



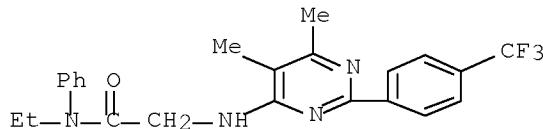
RN 184108-13-2 HCPLUS

CN Acetamide, N-ethyl-2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)



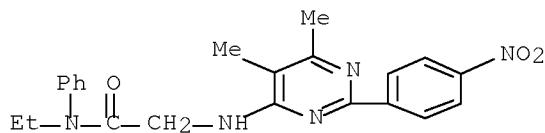
RN 184108-14-3 HCPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



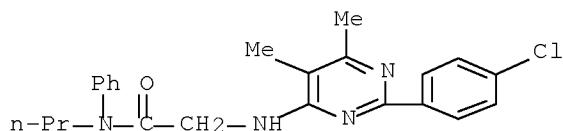
RN 184108-15-4 HCPLUS

CN Acetamide, 2-[ [5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



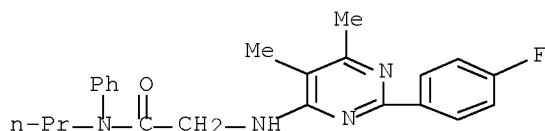
RN 184108-18-7 HCPLUS

CN Acetamide, 2-[ [2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)



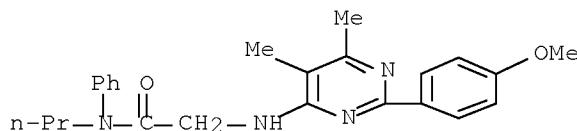
RN 184108-19-8 HCPLUS

CN Acetamide, 2-[ [2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)



RN 184108-20-1 HCPLUS

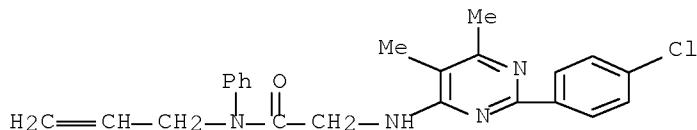
CN Acetamide, 2-[ [2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)



RN 184108-24-5 HCPLUS

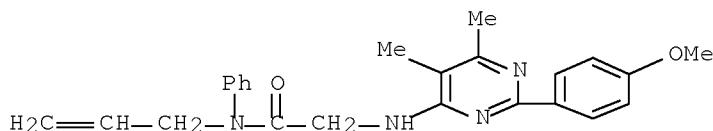
CN Acetamide, 2-[ [2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-

phenyl-N-2-propen-1-yl- (CA INDEX NAME)



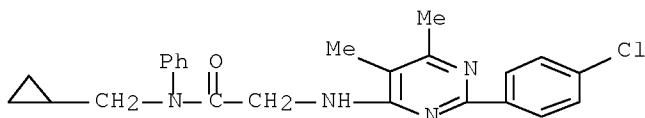
RN 184108-25-6 HCPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



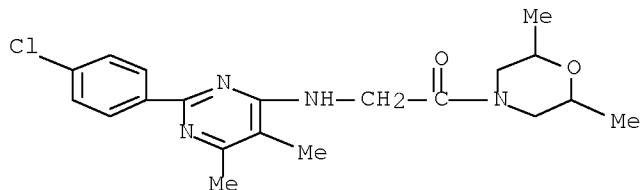
RN 184108-27-8 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(cyclopropylmethyl)-N-phenyl- (CA INDEX NAME)



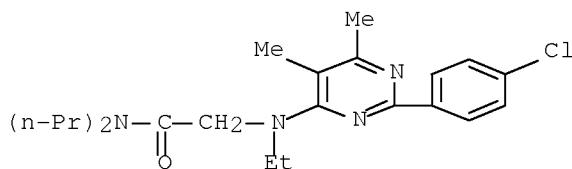
RN 184108-33-6 HCPLUS

CN Morpholine, 4-[[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]acetyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

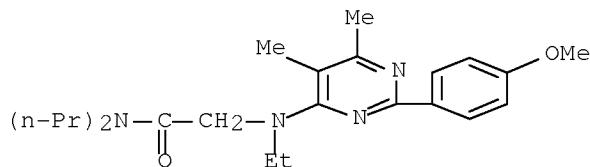


RN 184108-36-9 HCPLUS

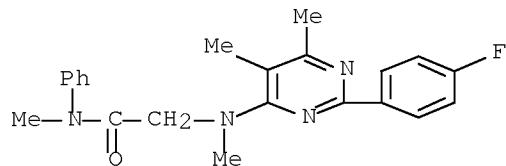
CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]ethylamino]-N,N-dipropyl- (CA INDEX NAME)



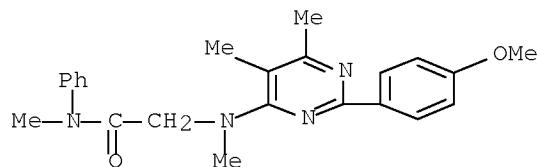
RN 184108-37-0 HCPLUS  
 CN Acetamide, 2-[ethyl[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)



RN 184108-39-2 HCPLUS  
 CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

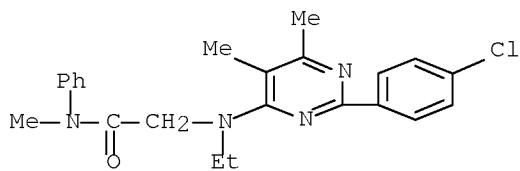


RN 184108-40-5 HCPLUS  
 CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)



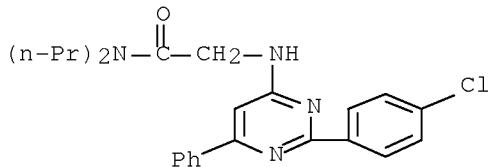
RN 184108-43-8 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]ethylamino]-N-

methyl-N-phenyl- (CA INDEX NAME)



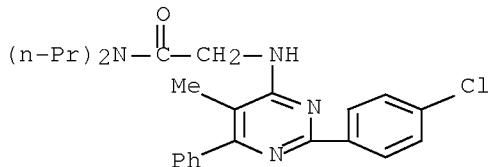
RN 184108-59-6 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)



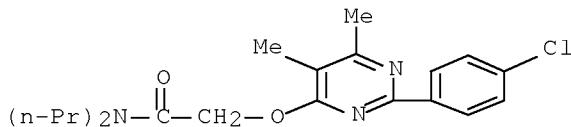
RN 184108-63-2 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5-methyl-6-phenyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)



RN 184109-03-3 HCPLUS

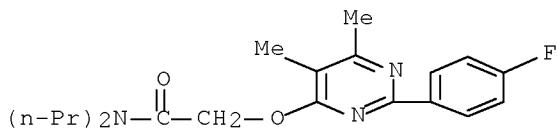
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RN 184109-04-4 HCPLUS

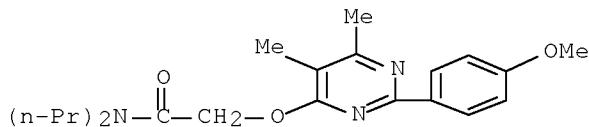
CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-

dipropyl- (CA INDEX NAME)



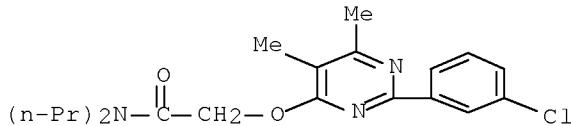
RN 184109-05-5 HCPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



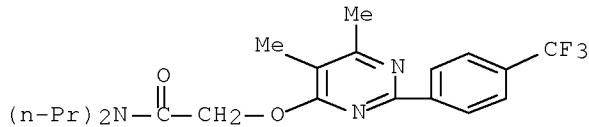
RN 184109-06-6 HCPLUS

CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



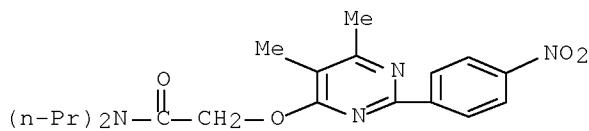
RN 184109-07-7 HCPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



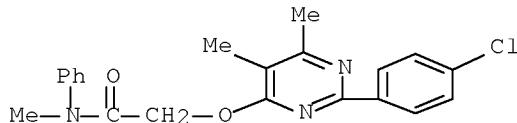
RN 184109-08-8 HCPLUS

CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



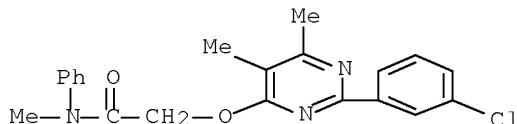
RN 184109-10-2 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



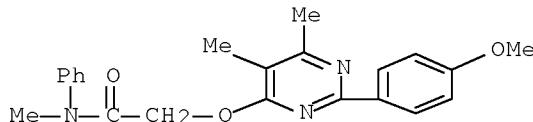
RN 184109-11-3 HCPLUS

CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



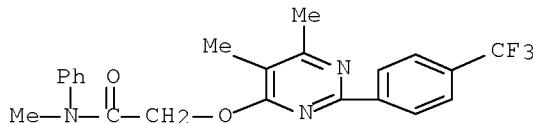
RN 184109-12-4 HCPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

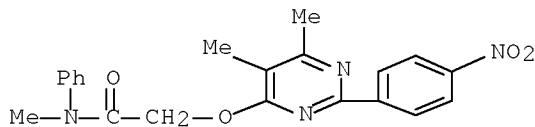


RN 184109-13-5 HCPLUS

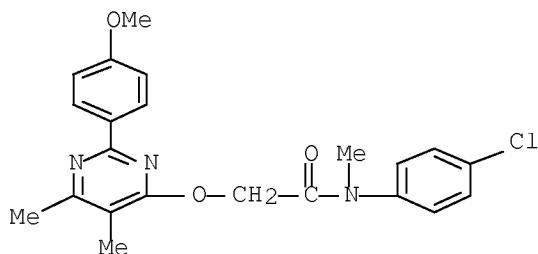
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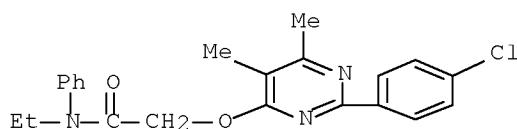
RN 184109-14-6 HCPLUS  
 CN Acetamide, 2-[ [5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



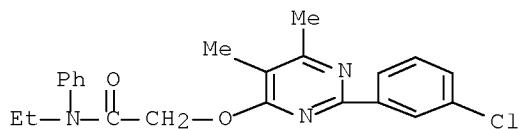
RN 184109-16-8 HCPLUS  
 CN Acetamide, N-(4-chlorophenyl)-2-[ [2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl- (CA INDEX NAME)



RN 184109-18-0 HCPLUS  
 CN Acetamide, 2-[ [2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)

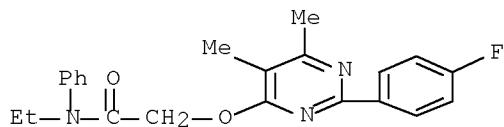


RN 184109-19-1 HCPLUS  
 CN Acetamide, 2-[ [2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



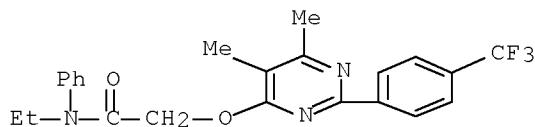
RN 184109-20-4 HCAPLUS

CN Acetamide, N-ethyl-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-phenyl- (CA INDEX NAME)



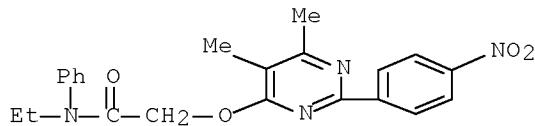
RN 184109-21-5 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



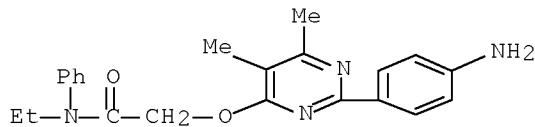
RN 184109-30-6 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



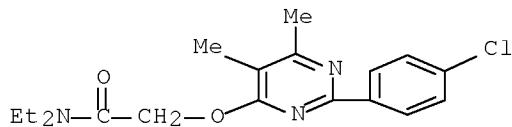
RN 184109-31-7 HCAPLUS

CN Acetamide, 2-[2-(4-aminophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



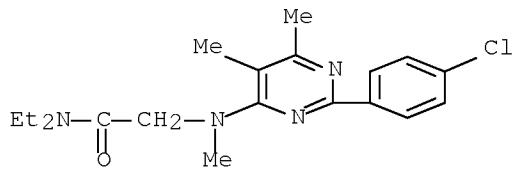
RN 184109-42-0 HCAPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-diethyl- (CA INDEX NAME)



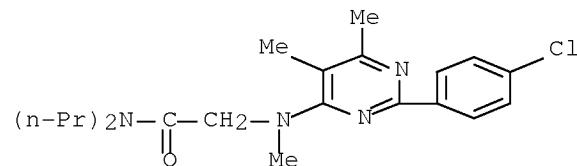
RN 184109-64-6 HCAPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-diethyl- (CA INDEX NAME)



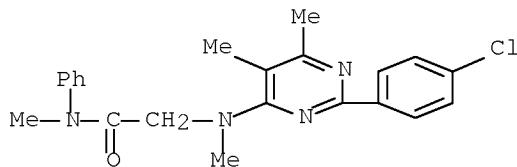
RN 184109-65-7 HCAPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-dipropyl- (CA INDEX NAME)



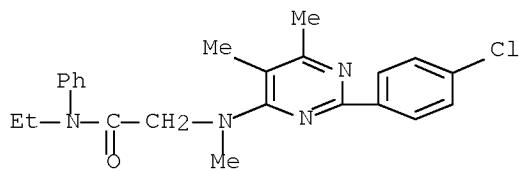
RN 184109-66-8 HCAPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

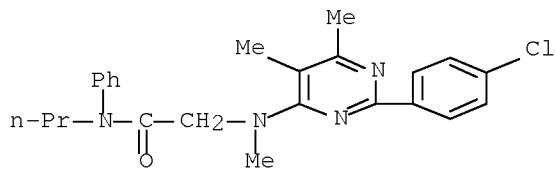


RN 184109-67-9 HCAPLUS

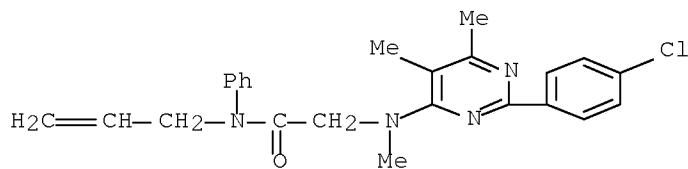
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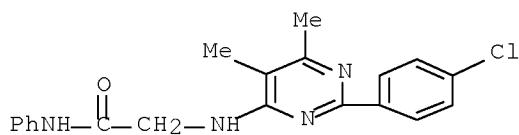
RN 184109-68-0 HCPLUS  
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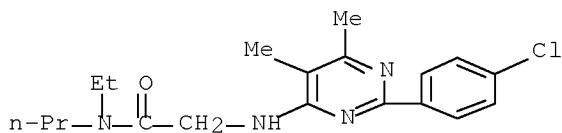
RN 184109-69-1 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



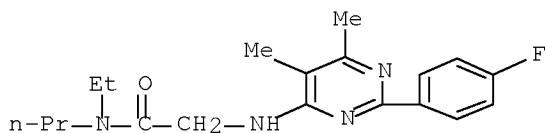
RN 184109-70-4 HCPLUS  
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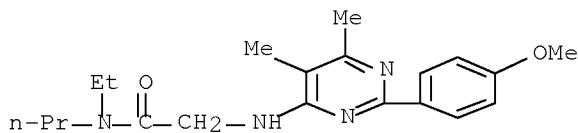
RN 208467-84-9 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-propyl- (CA INDEX NAME)



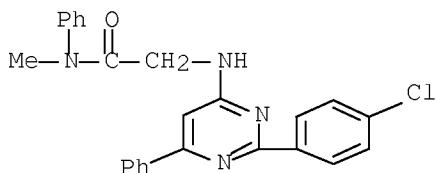
RN 208467-85-0 HCAPLUS  
 CN Acetamide, N-ethyl-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-propyl- (CA INDEX NAME)



RN 208467-87-2 HCAPLUS  
 CN Acetamide, N-ethyl-2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-propyl- (CA INDEX NAME)



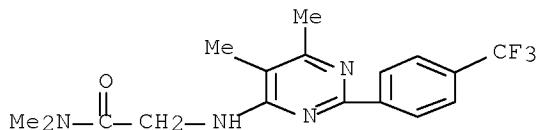
RN 208468-43-3 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl-, hydrochloride (10:1) (CA INDEX NAME)



●1/10 HCl

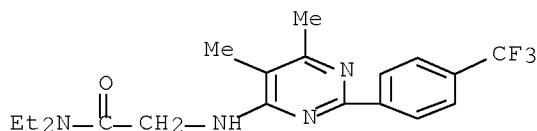
RN 208468-61-5 HCAPLUS  
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pyrimidinyl]amino]-N,N-dimethyl- (CA INDEX NAME)



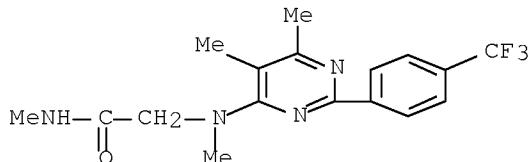
RN 208468-62-6 HCPLUS

CN Acetamide, 2-[(5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]-N,N-diethyl- (CA INDEX NAME)



RN 208468-63-7 HCPLUS

CN Acetamide, 2-[(5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl)methylamino]-N-methyl- (CA INDEX NAME)

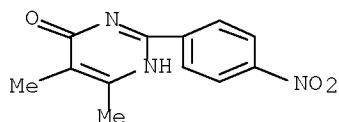


IT 92577-32-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of acetic acid amide derivs. as drugs)

RN 92577-32-7 HCPLUS

CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)

IT 19927-82-3P 36935-59-8P 180606-46-6P  
184109-72-6P 184109-73-7P 184109-74-8P

184109-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of acetic acid amide derivs. as drugs)

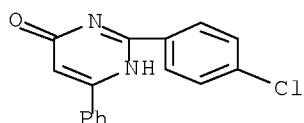
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CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)



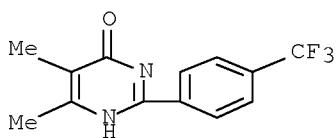
RN 36935-59-8 HCPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)



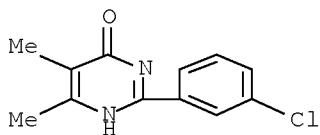
RN 180606-46-6 HCPLUS

CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



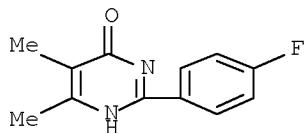
RN 184109-72-6 HCPLUS

CN 4(3H)-Pyrimidinone, 2-(3-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)

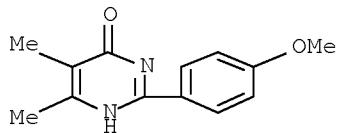


RN 184109-73-7 HCPLUS

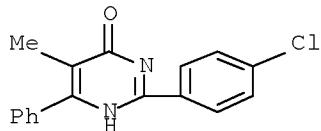
CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-5,6-dimethyl- (CA INDEX NAME)



RN 184109-74-8 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-methoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)



RN 184109-76-0 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5-methyl-6-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
 (9 CITINGS)

L55 ANSWER 35 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1998:175920 HCAPLUS Full-text  
 DOCUMENT NUMBER: 128:230383  
 ORIGINAL REFERENCE NO.: 128:45634h, 45635a  
 TITLE: Preparation and formulation of pyrimidine derivatives as pharmaceuticals with affinity for peripheral benzodiazepine receptors  
 INVENTOR(S): Murata, Teruya; Kondo, Katsunori; Furukawa, Kiyoshi;  
 Oka, Makoto  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 107 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809960	A1	19980312	WO 1997-JP3079	19970903 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,				

DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,  
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ,  
 VN, YU, ZW

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,  
 GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,  
 GN, ML, MR, NE, SN, TD, TG

ZA 9707427 A 19980302 ZA 1997-7427 19970819 <--

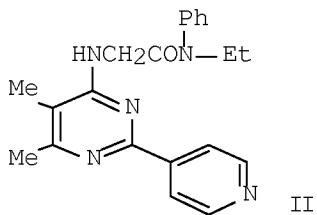
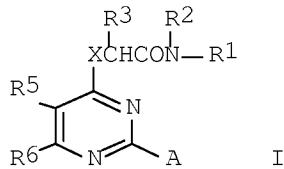
AU 9741342 A 19980326 AU 1997-41342 19970903 <--

PRIORITY APPLN. INFO.: JP 1996-255420 A 19960904 <--  
 WO 1997-JP3079 W 19970903 <--

OTHER SOURCE(S): MARPAT 128:230383

ED Entered STN: 25 Mar 1998

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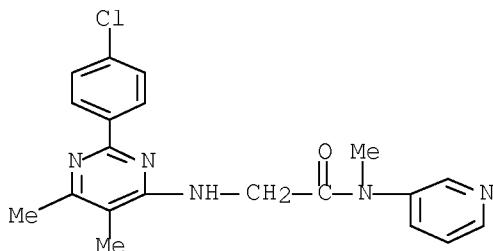


AB The title compds. I [X represents O or NR4; R1 represents H, lower alkyl, etc.; R2 represents lower alkyl, lower alkenyl, etc.; R3 represents H, lower alkyl, etc.; R4 represents H or lower alkyl; R5 represents H, lower alkyl, etc. or halogeno, hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc.; R6 represents H, lower alkyl, etc. or hydroxy(lower)alkyl, lower alkoxy(lower)alkyl, etc., or R5 and R6 may form together (CH<sub>2</sub>)<sub>n</sub> (wherein n is 3 to 6); and A represents optionally substituted heteroaryl or optionally substituted Ph] are prepared. These compds. are expected to be useful as remedies and preventives for central diseases, for example, diseases associated with anxiety, such as neurosis and psychosomatic disorder, depression and epilepsy; circulatory diseases such as angina pectoris and hypertension; immunol. nervous diseases such as multiple sclerosis; or immunol. inflammatory diseases such as rheumatism. In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II showed IC<sub>50</sub> of 0.25 nM.

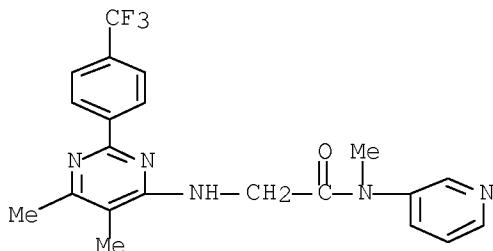
IT 204394-08-1P 204394-09-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)

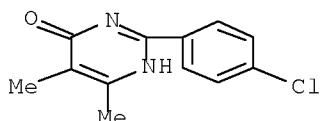
- IT 19927-82-3P 180606-46-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)
- IT 204394-08-1P 204394-09-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)
- RN 204394-08-1 HCAPLUS
- CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-3-pyridinyl- (CA INDEX NAME)



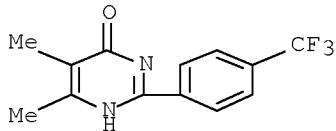
- RN 204394-09-2 HCAPLUS
- CN Acetamide, 2-[2-(4-(trifluoromethyl)phenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-3-pyridinyl- (CA INDEX NAME)



- IT 19927-82-3P 180606-46-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs. as pharmaceuticals with affinity for peripheral benzodiazepine receptors)
- RN 19927-82-3 HCAPLUS
- CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)



RN 180606-46-6 HCPLUS  
 CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)  
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L55 ANSWER 36 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1996:753799 HCPLUS Full-text  
 DOCUMENT NUMBER: 126:18884  
 ORIGINAL REFERENCE NO.: 126:3925a,3928a  
 TITLE: Preparation and formulation of pyrimidine derivatives as agents with effect on the peripheral benzodiazepine receptors  
 INVENTOR(S): Murata, Teruya; Hino, Katsuhiko; Furukawa, Kiyoshi; Oka, Makoto; Itoh, Mari  
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9632383	A1	19961017	WO 1996-JP977	19960410 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
IL 117659	A	20001206	IL 1996-117659	19960326 <--
ZA 9602438	A	19961001	ZA 1996-2438	19960327 <--
CA 2218033	A1	19961017	CA 1996-2218033	19960410 <--
AU 9652874	A	19961030	AU 1996-52874	19960410 <--
AU 694647	B2	19980723		
EP 826673	A1	19980304	EP 1996-909327	19960410 <--
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CN 1094929	C	20021127		
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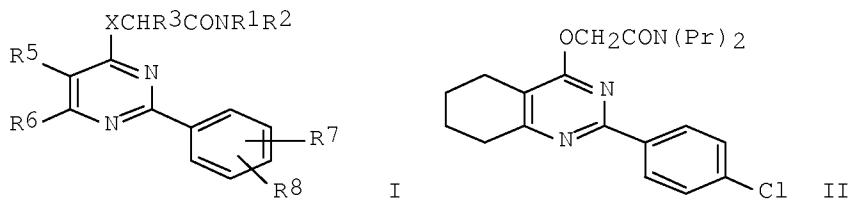
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RO	117532	B1	20020430	RO	1997-1858		19960410	<---
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PT	826673	E	20030228	PT	1996-909327		19960410	<---
ES	2187644	T3	20030616	ES	1996-909327		19960410	<---
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NO	310619	B1	20010730					
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RITY APPLN. INFO.:				JP	1995-113937	A	19950413	<---
				WO	1996-JP977	W	19960410	<--

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 126:18884

ED      Entered STN: 25 Dec 1996

GI



AB The title compds. I [X represents O or NR<sub>4</sub>; R<sub>1</sub> represents H, lower alkyl, lower alkenyl or cycloalkyl(lower)alkyl; R<sub>2</sub> represents lower alkyl, cycloalkyl, optionally substituted Ph, etc.; R<sub>3</sub> represents H, lower alkyl or hydroxy(lower)alkyl; R<sub>4</sub> represents H, lower alkyl, etc.; R<sub>5</sub> represents hydroxy(lower)alkyl, etc.; R<sub>6</sub> represents H, lower alkyl, CF<sub>3</sub> or optionally substituted Ph, or R<sub>5</sub> and R<sub>6</sub> together form (CH<sub>2</sub>)<sub>n</sub>; n = 3 - 6; R<sub>7</sub> represents H, halogeno, lower alkyl, lower alkoxy, CF<sub>3</sub>, OH, NH<sub>2</sub>, etc.; and R<sub>8</sub> represents H, halogeno, lower alkyl or lower alkoxy] are prepared In an in vitro test for affinity for the peripheral benzodiazepine receptors, the title compound II in vitro showed IC<sub>50</sub> of 0.89 nM.

IT	184107-66-2P	184107-67-3P	184107-68-4P
	184107-69-5P	184107-70-8P	184107-71-9P
	184107-74-2P	184107-75-3P	184107-76-4P
	184107-79-7P	184107-80-0P	184107-83-3P
	184107-84-4P	184107-85-5P	184107-86-6P
	184107-87-7P	184107-88-8P	184107-89-9P
	184107-90-2P	184107-92-4P	184107-96-8P
	184107-97-9P	184107-98-0P	184108-00-7P
	184108-03-0P	184108-04-1P	184108-05-2P
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184108-60-9P 184108-63-2P 184109-03-3P  
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 184109-64-6P 184109-65-7P 184109-66-8P  
 184109-67-9P 184109-68-0P 184109-69-1P  
 184109-70-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

IT 19927-82-3P 36935-59-8P 92577-32-7P  
 180606-46-6P 184109-72-6P 184109-73-7P  
 184109-74-8P 184109-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

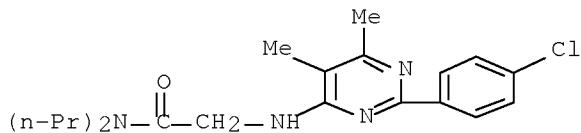
IT 184107-66-2P 184107-67-3P 184107-68-4P  
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 184109-70-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

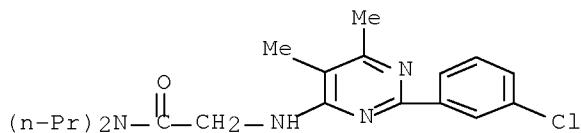
(preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

RN 184107-66-2 HCPLUS

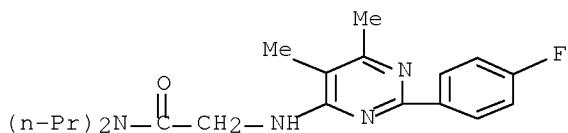
CN Acetamide, 2-[(2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl)amino]-N,N-dipropyl- (CA INDEX NAME)



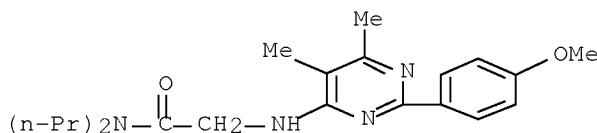
RN 184107-67-3 HCAPLUS  
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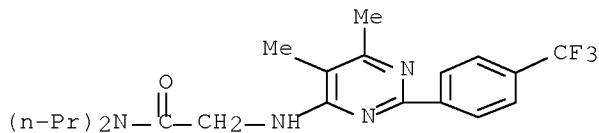
RN 184107-68-4 HCAPLUS  
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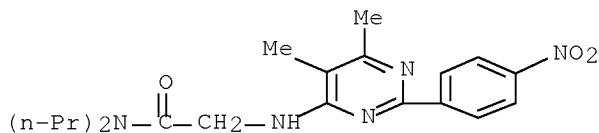
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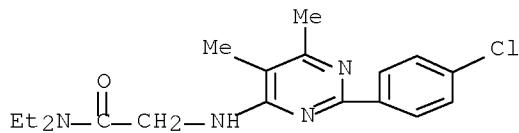
RN 184107-70-8 HCAPLUS  
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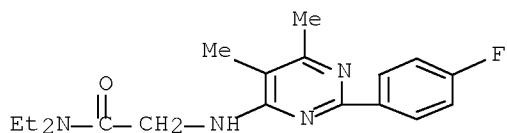
RN 184107-71-9 HCAPLUS  
 CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)



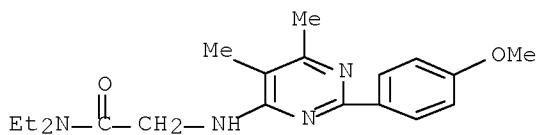
RN 184107-74-2 HCAPLUS  
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RN 184107-75-3 HCAPLUS  
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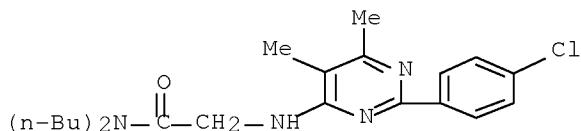


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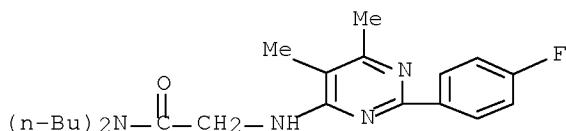
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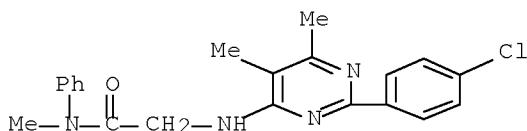
RN 184107-80-0 HCAPLUS

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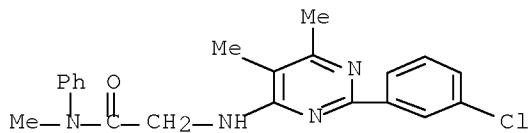
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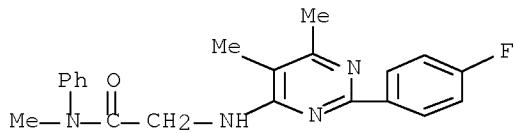
RN 184107-84-4 HCAPLUS

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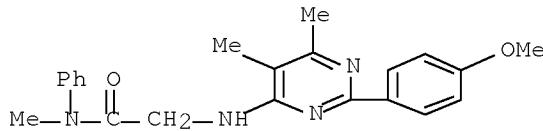
RN 184107-85-5 HCAPLUS

CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



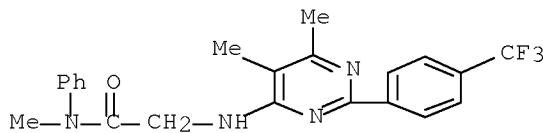
RN 184107-86-6 HCAPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



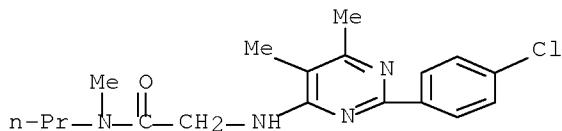
RN 184107-87-7 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)

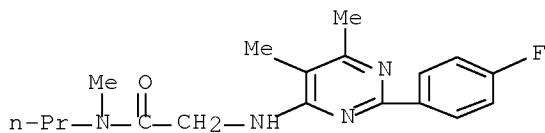


RN 184107-88-8 HCAPLUS

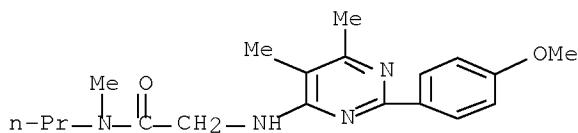
CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-propyl- (CA INDEX NAME)



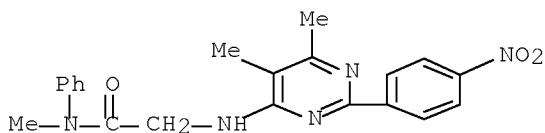
RN 184107-89-9 HCAPLUS  
 CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-propyl- (CA INDEX NAME)



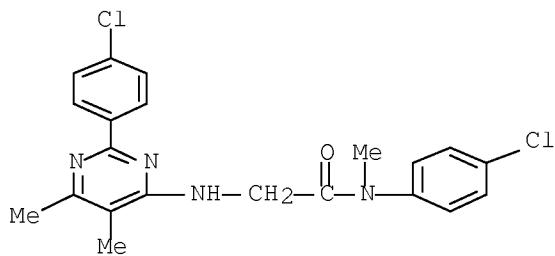
RN 184107-90-2 HCAPLUS  
 CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl-N-propyl- (CA INDEX NAME)



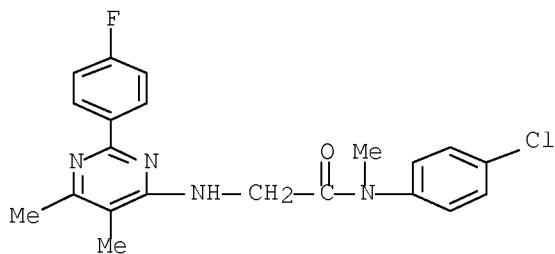
RN 184107-92-4 HCAPLUS  
 CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-methyl-N-phenyl- (CA INDEX NAME)



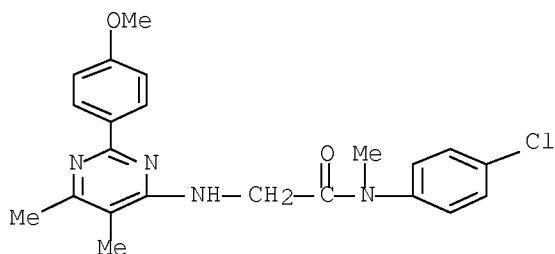
RN 184107-96-8 HCAPLUS  
 CN Acetamide, N-(4-chlorophenyl)-2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



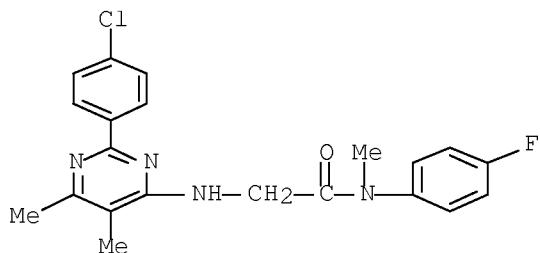
RN 184107-97-9 HCAPLUS  
 CN Acetamide, N-(4-chlorophenyl)-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



RN 184107-98-0 HCAPLUS  
 CN Acetamide, N-(4-chlorophenyl)-2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

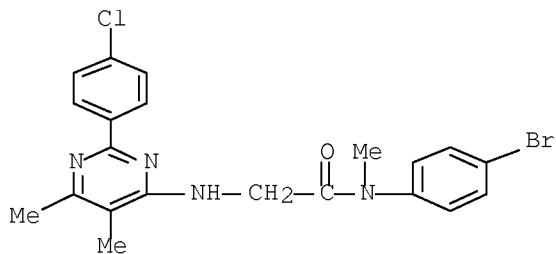


RN 184108-00-7 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-fluorophenyl)-N-methyl- (CA INDEX NAME)



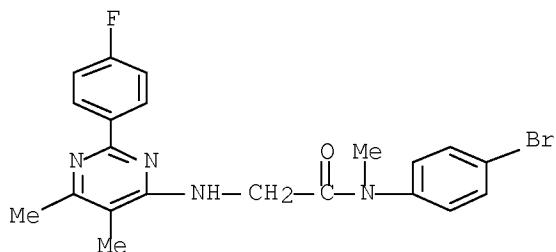
RN 184108-03-0 HCPLUS

CN Acetamide, N-(4-bromophenyl)-2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



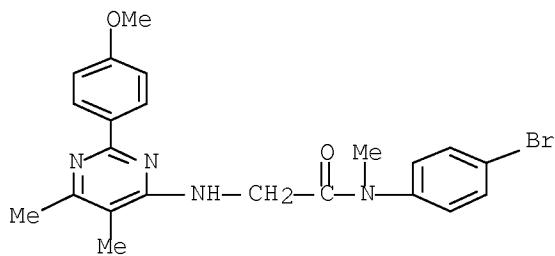
RN 184108-04-1 HCPLUS

CN Acetamide, N-(4-bromophenyl)-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)

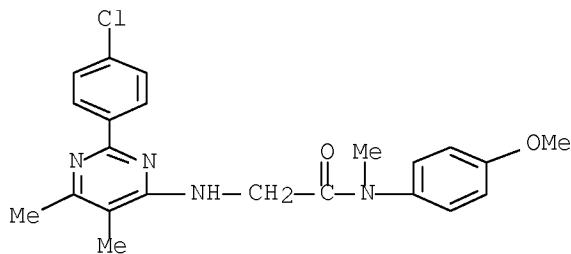


RN 184108-05-2 HCPLUS

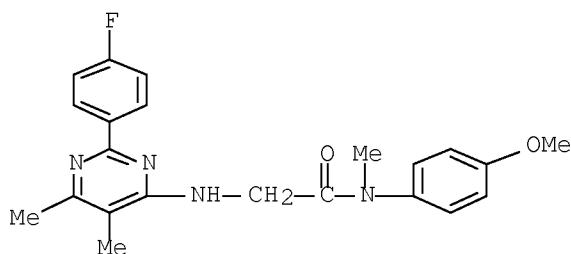
CN Acetamide, N-(4-bromophenyl)-2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-methyl- (CA INDEX NAME)



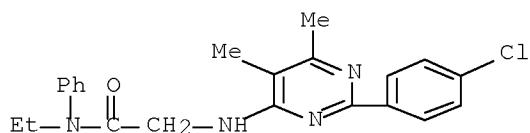
RN 184108-07-4 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (CA INDEX NAME)



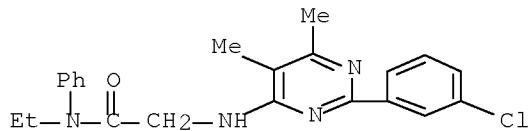
RN 184108-08-5 HCAPLUS  
 CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(4-methoxyphenyl)-N-methyl- (CA INDEX NAME)



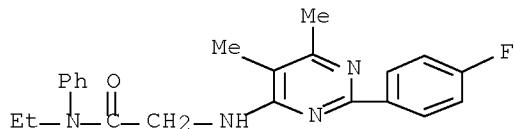
RN 184108-10-9 HCAPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



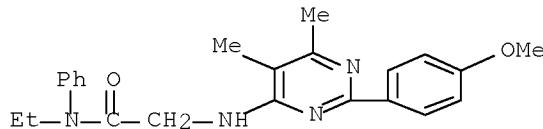
RN 184108-11-0 HCAPLUS  
 CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



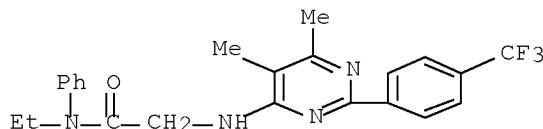
RN 184108-12-1 HCAPLUS  
 CN Acetamide, N-ethyl-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)



RN 184108-13-2 HCAPLUS  
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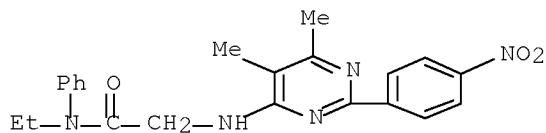


RN 184108-14-3 HCAPLUS  
 CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



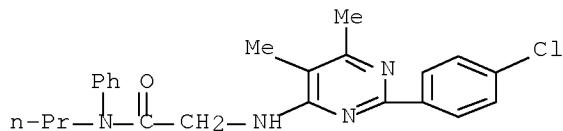
RN 184108-15-4 HCPLUS

CN Acetamide, 2-[ [5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]amino]-N-ethyl-N-phenyl- (CA INDEX NAME)



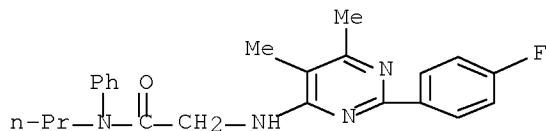
RN 184108-18-7 HCPLUS

CN Acetamide, 2-[ [2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)



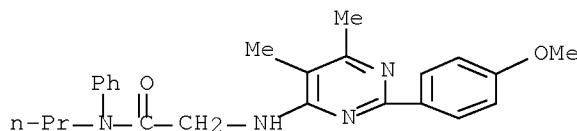
RN 184108-19-8 HCPLUS

CN Acetamide, 2-[ [2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)



RN 184108-20-1 HCPLUS

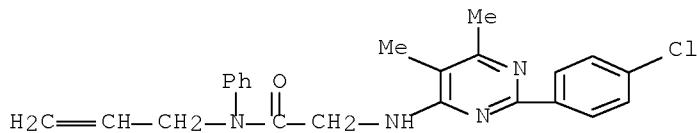
CN Acetamide, 2-[ [2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-propyl- (CA INDEX NAME)



RN 184108-24-5 HCPLUS

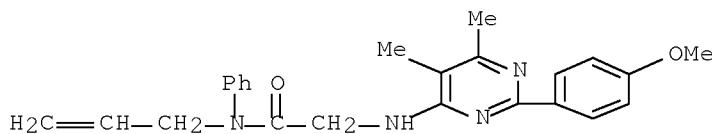
CN Acetamide, 2-[ [2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-

phenyl-N-2-propen-1-yl- (CA INDEX NAME)



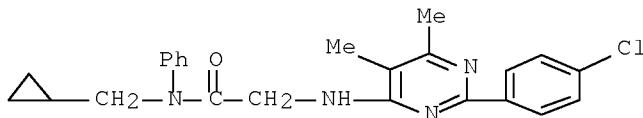
RN 184108-25-6 HCPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



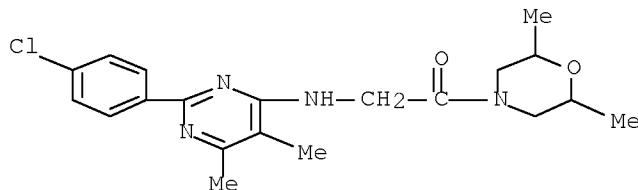
RN 184108-27-8 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-(cyclopropylmethyl)-N-phenyl- (CA INDEX NAME)



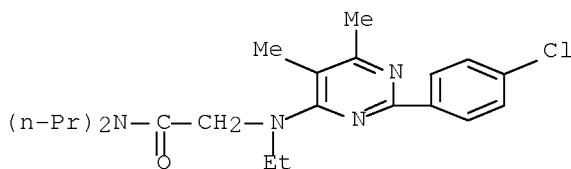
RN 184108-33-6 HCPLUS

CN Morpholine, 4-[[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]acetyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)

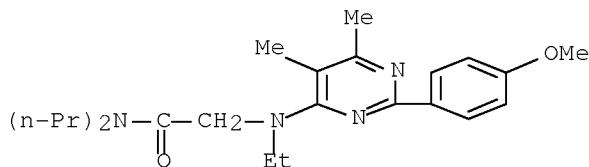


RN 184108-36-9 HCPLUS

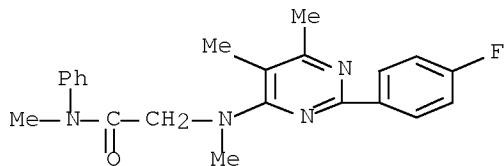
CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]ethylamino]-N,N-dipropyl- (CA INDEX NAME)



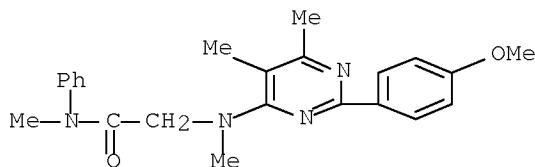
RN 184108-37-0 HCPLUS  
 CN Acetamide, 2-[ethyl[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N,N-dipropyl- (CA INDEX NAME)



RN 184108-39-2 HCPLUS  
 CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)

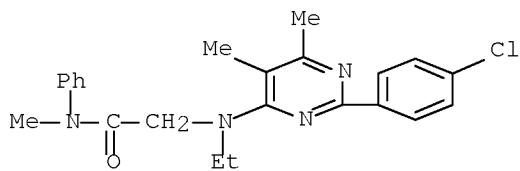


RN 184108-40-5 HCPLUS  
 CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)



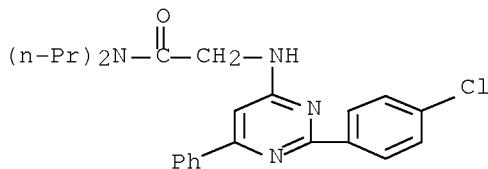
RN 184108-43-8 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]ethylamino]-N-

methyl-N-phenyl- (CA INDEX NAME)



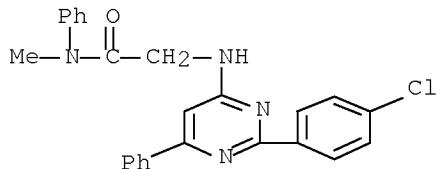
RN 184108-59-6 HCAPLUS

CN Acetamide, 2-[(2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl)amino]-N,N-dipropyl- (CA INDEX NAME)



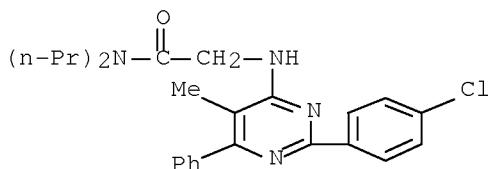
RN 184108-60-9 HCAPLUS

CN Acetamide, 2-[(2-(4-chlorophenyl)-6-phenyl-4-pyrimidinyl)amino]-N-methyl-N-phenyl- (CA INDEX NAME)



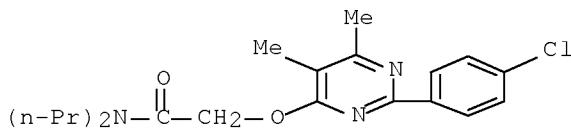
RN 184108-63-2 HCAPLUS

CN Acetamide, 2-[(2-(4-chlorophenyl)-5-methyl-6-phenyl-4-pyrimidinyl)amino]-N,N-dipropyl- (CA INDEX NAME)



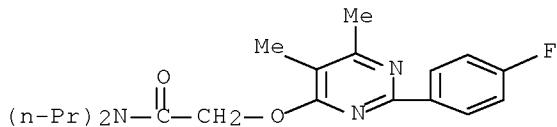
RN 184109-03-3 HCAPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



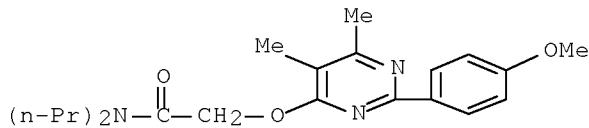
RN 184109-04-4 HCAPLUS

CN Acetamide, 2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



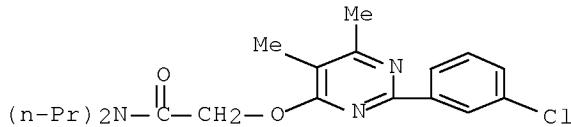
RN 184109-05-5 HCAPLUS

CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



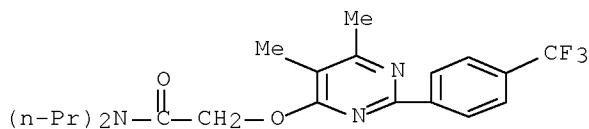
RN 184109-06-6 HCAPLUS

CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



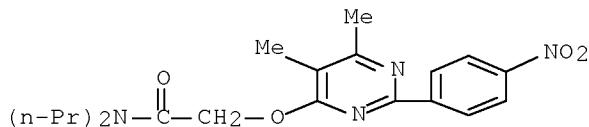
RN 184109-07-7 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



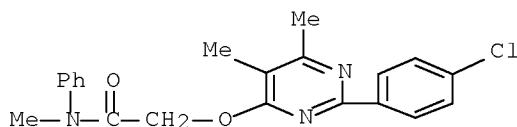
RN 184109-08-8 HCPLUS

CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N,N-dipropyl- (CA INDEX NAME)



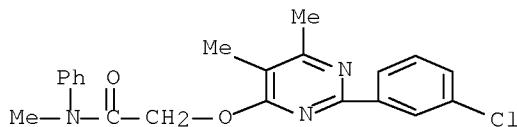
RN 184109-10-2 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



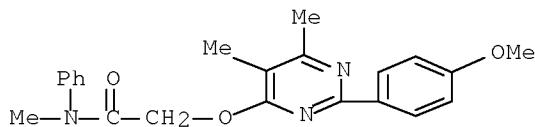
RN 184109-11-3 HCPLUS

CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)

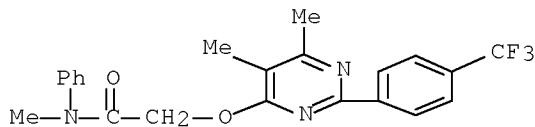


RN 184109-12-4 HCPLUS

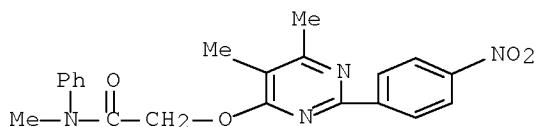
CN Acetamide, 2-[2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



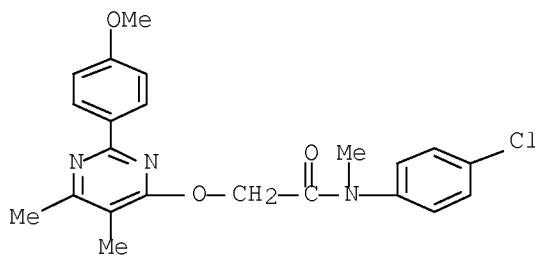
RN 184109-13-5 HCAPLUS  
 CN Acetamide, 2-[(5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl)oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



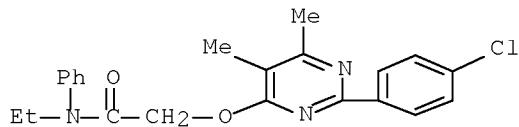
RN 184109-14-6 HCAPLUS  
 CN Acetamide, 2-[(5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl)oxy]-N-methyl-N-phenyl- (CA INDEX NAME)



RN 184109-16-8 HCAPLUS  
 CN Acetamide, N-(4-chlorophenyl)-2-[(2-(4-methoxyphenyl)-5,6-dimethyl-4-pyrimidinyl)oxy]-N-methyl- (CA INDEX NAME)

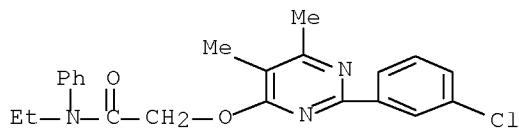


RN 184109-18-0 HCAPLUS  
 CN Acetamide, 2-[(2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl)oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



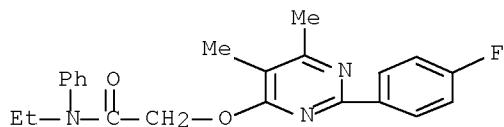
RN 184109-19-1 HCAPLUS

CN Acetamide, 2-[2-(3-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



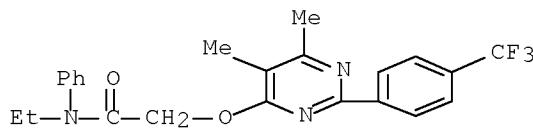
RN 184109-20-4 HCAPLUS

CN Acetamide, N-ethyl-2-[2-(4-fluorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-phenyl- (CA INDEX NAME)



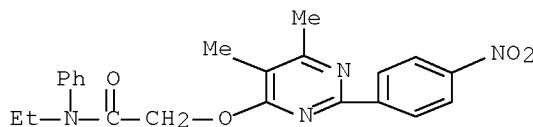
RN 184109-21-5 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



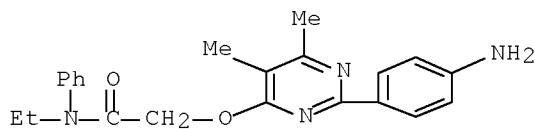
RN 184109-30-6 HCAPLUS

CN Acetamide, 2-[5,6-dimethyl-2-(4-nitrophenyl)-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



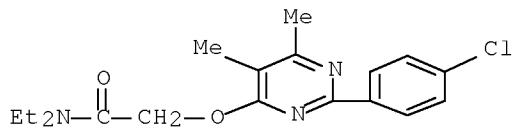
RN 184109-31-7 HCAPLUS

CN Acetamide, 2-[2-(4-aminophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N-ethyl-N-phenyl- (CA INDEX NAME)



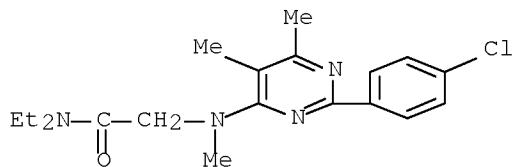
RN 184109-42-0 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]oxy]-N,N-diethyl- (CA INDEX NAME)



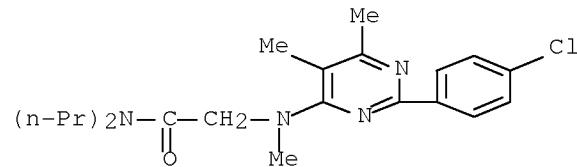
RN 184109-64-6 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-diethyl- (CA INDEX NAME)



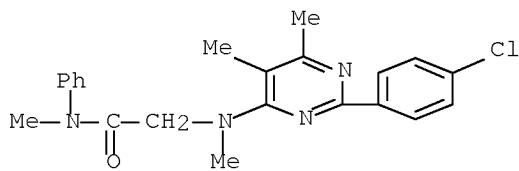
RN 184109-65-7 HCPLUS

CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N,N-dipropyl- (CA INDEX NAME)

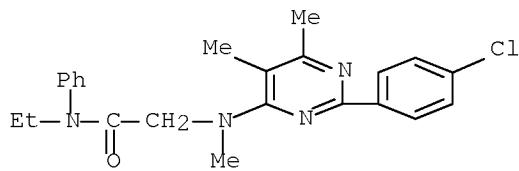


RN 184109-66-8 HCPLUS

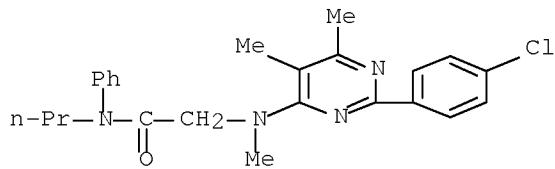
CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-methyl-N-phenyl- (CA INDEX NAME)



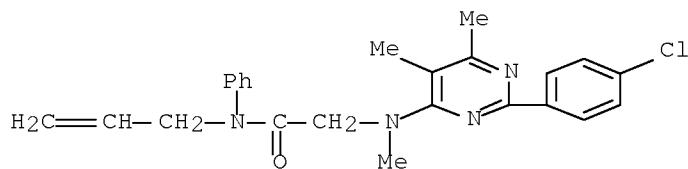
RN 184109-67-9 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-ethyl-N-phenyl- (CA INDEX NAME)



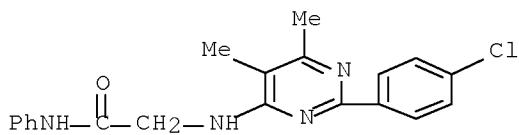
RN 184109-68-0 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-phenyl-N-propyl- (CA INDEX NAME)



RN 184109-69-1 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]methylamino]-N-phenyl-N-2-propen-1-yl- (CA INDEX NAME)



RN 184109-70-4 HCPLUS  
 CN Acetamide, 2-[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]-N-phenyl- (CA INDEX NAME)

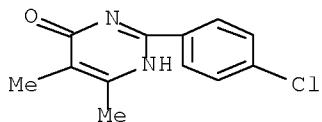


IT 19927-82-3P 36935-59-8P 92577-32-7P  
 180606-46-6P 184109-72-6P 184109-73-7P  
 184109-74-8P 184109-76-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of pyrimidine derivs. as agents with effect on peripheral benzodiazepine receptors)

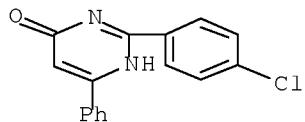
RN 19927-82-3 HCPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)



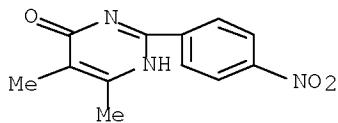
RN 36935-59-8 HCPLUS

CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-6-phenyl- (CA INDEX NAME)



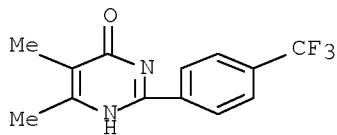
RN 92577-32-7 HCPLUS

CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-(4-nitrophenyl)- (CA INDEX NAME)

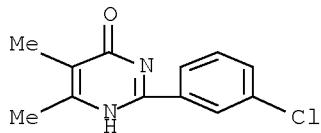


RN 180606-46-6 HCPLUS

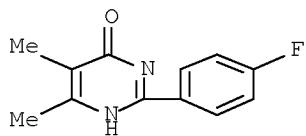
CN 4(3H)-Pyrimidinone, 5,6-dimethyl-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



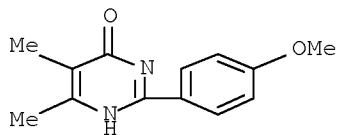
RN 184109-72-6 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(3-chlorophenyl)-5,6-dimethyl- (CA INDEX NAME)



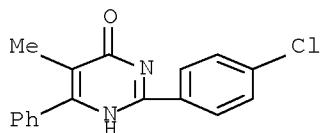
RN 184109-73-7 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-fluorophenyl)-5,6-dimethyl- (CA INDEX NAME)



RN 184109-74-8 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-methoxyphenyl)-5,6-dimethyl- (CA INDEX NAME)



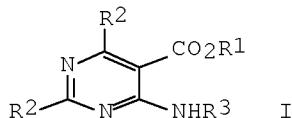
RN 184109-76-0 HCAPLUS  
 CN 4(3H)-Pyrimidinone, 2-(4-chlorophenyl)-5-methyl-6-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)  
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

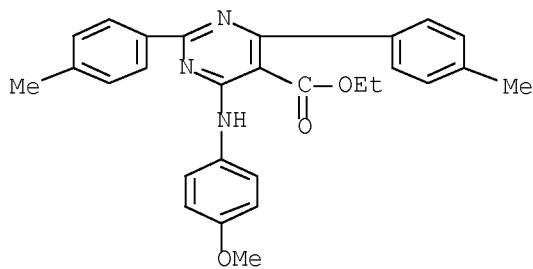
L55 ANSWER 37 OF 39 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1987:67341 HCPLUS Full-text  
 DOCUMENT NUMBER: 106:67341  
 ORIGINAL REFERENCE NO.: 106:11079a,11082a  
 TITLE: 2,6-Diaryl-(4-arylamino)-5-pyrimidinecarboxylic acid esters  
 INVENTOR(S): Briel, Detlef; Wagner, Guenther  
 PATENT ASSIGNEE(S): Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.  
 SOURCE: Ger. (East), 4 pp.  
 CODEN: GEXXA8  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 236310	A1	19860604	DD 1984-266541	19840823 <--
PRIORITY APPLN. INFO.:			DD 1984-266541	19840823 <--
OTHER SOURCE(S):	CASREACT 106:67341			
ED Entered STN:	07 Mar 1987			
GI				



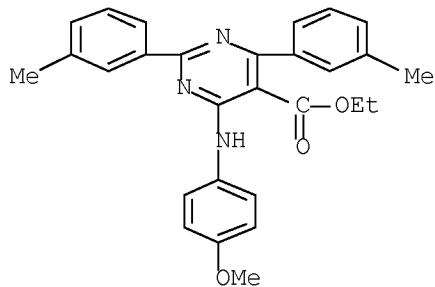
AB Pyrimidines I [R1 = C1-6 alkyl; R2, R3 = (un)substituted aryl], of pharmaceutical interest, were prepared by cyclization of NCC(CO2R1):CR2NHC(S)R2 (II) with H2NR3. A mixture of II (R1 = Et, R2 = Ph) 1 and PhNH2 0.28 part in MeCH(OH)CH2OH was kept 7 days at room temperature to give 52% I (R3 = Et, R2 = R3 = Ph).  
 IT 105849-70-5P 105849-71-6P 106393-89-9P  
 106393-90-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pharmaceutical)  
 IT 105849-70-5P 105849-71-6P 106393-89-9P  
 106393-90-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as pharmaceutical)  
 RN 105849-70-5 HCPLUS  
 CN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-2,6-bis(4-

methylphenyl)-, ethyl ester (CA INDEX NAME)



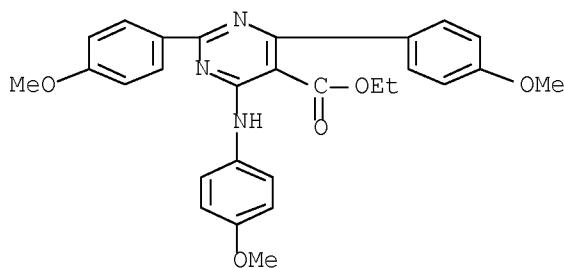
RN 105849-71-6 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[ (4-methoxyphenyl)amino]-2,6-bis(3-methylphenyl)-, ethyl ester (CA INDEX NAME)



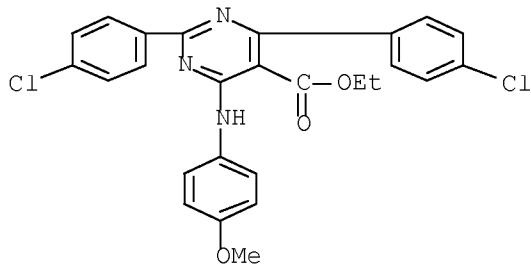
RN 106393-89-9 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-bis(4-methoxyphenyl)-6-[ (4-methoxyphenyl)amino]-, ethyl ester (CA INDEX NAME)



RN 106393-90-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-bis(4-chlorophenyl)-6-[ (4-methoxyphenyl)amino]-, ethyl ester (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L55 ANSWER 38 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 1975:443373 HCAPLUS Full-text  
DOCUMENT NUMBER: 83:43373  
ORIGINAL REFERENCE NO.: 83:6871a,6874a  
TITLE: (Phenylamino)pyrimidine pharmaceuticals  
INVENTOR(S): Fauran, Claude; Bourgery, Guy; Raynaud, Guy; Gouret, Claude  
PATENT ASSIGNEE(S): Delalande S. A., Fr.  
SOURCE: Ger. Offen., 49 pp.  
CODEN: GWXXBX  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2444426	A1	19750327	DE 1974-2444426	19740917 <--
FR 2244459	A1	19750418	FR 1973-33831	19730920 <--
FR 2265386	A2	19751024	FR 1974-10327	19740326 <--
FR 2265386	B2	19780929		
BE 819057	A1	19750221	BE 1974-147794	19740821 <--
CH 593266	A5	19771130	CH 1974-11401	19740821 <--
GB 1430729	A	19760407	GB 1974-37550	19740828 <--
US 3978055	A	19760831	US 1974-502285	19740903 <--
ZA 7405741	A	19751029	ZA 1974-5741	19740910 <--
JP 50088079	A	19750715	JP 1974-105900	19740913 <--
AU 7473441	A	19760325	AU 1974-73441	19740918 <--
CA 1008074	A1	19770405	CA 1974-209631	19740918 <--
SE 7411806	A	19750321	SE 1974-11806	19740919 <--
SE 410600	B	19791022		
NL 7412494	A	19750324	NL 1974-12494	19740920 <--
US 4025514	A	19770524	US 1976-714472	19760816 <--
US 4041030	A	19770809	US 1976-714473	19760816 <--
SU 698531	A3	19791115	SU 1977-2558803	19771228 <--
PRIORITY APPLN. INFO.:			FR 1973-33831	A 19730920 <--
			FR 1974-10327	A 19740326 <--
			US 1974-502285	A2 19740903 <--
			FR 1976-20775	A 19760707 <--

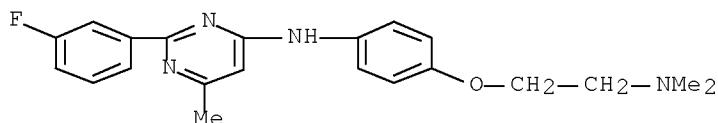
ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB Pyrimidines I (R = Ph, 4-ClC<sub>6</sub>H<sub>4</sub>, 3-FC<sub>6</sub>H<sub>4</sub>, 3-F3CC<sub>6</sub>H<sub>4</sub>, 3,4-methylenedioxyphenyl, 3,4,5-(MeO)3C<sub>6</sub>H<sub>2</sub>; R1 = 4-CONH<sub>2</sub>, 4-substituted carbamoyl, 2-carboxylic ester,

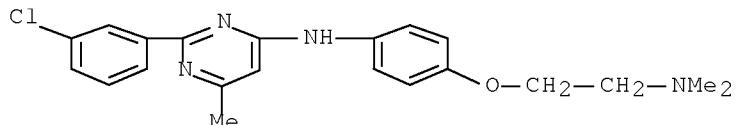
2-CONH<sub>2</sub>, 4-CO<sub>2</sub>Et, 4-aminoethoxy) (77 compds.) were prepared. Thus, I [R = 3,4,5-(MeO)<sub>3</sub>C<sub>6</sub>H<sub>2</sub>, R1 = 4-pyrrolidinylcarbonyl] was obtained by treating the 4-chloropyrimidine with 4-pyrrolidinocarbonylaniline. Various I demonstrated sedative, antihypotensive, antiulcer, vasodilator, bronchodilator, diuretic, antihypertensive, pos. inotropic, analgesic, muscle relaxant, and antiinflammatory activities.

- IT 56303-02-7P 56303-03-8P 56303-05-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and analgesic activity of)
- IT 56302-54-6P 56302-55-7P 56302-64-8P  
 56303-01-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antiinflammatory activity of)
- IT 56302-61-8P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and diuretic activity of)
- IT 56302-44-4P 56302-45-5P 56302-46-6P  
 56302-47-7P 56302-49-9P 56302-51-3P  
 56302-52-4P 56302-53-5P 56302-59-1P  
 56302-62-6P 56302-66-0P 56302-67-1P  
 56302-71-7P 56302-72-8P 56302-99-9P  
 56303-06-1P 56303-07-2P 56328-03-1P  
 56328-04-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and pharmacological activity of)
- IT 56302-43-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, with dioxolanemethanol)
- IT 56302-63-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and sedative activity of)
- IT 56302-65-9P 56302-73-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and vasodilator activity of)
- IT 56302-48-8P 56302-50-2P 56302-56-8P  
 56302-57-9P 56302-58-0P 56302-60-4P  
 56302-68-2P 56302-69-3P 56302-70-6P  
 56303-00-5P 56303-09-4P 56303-10-7P  
 56303-11-8P 56303-12-9P 56328-02-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)
- IT 56303-02-7P 56303-03-8P 56303-05-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and analgesic activity of)
- RN 56303-02-7 HCPLUS
- CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-2-(3-fluorophenyl)-6-methyl- (CA INDEX NAME)



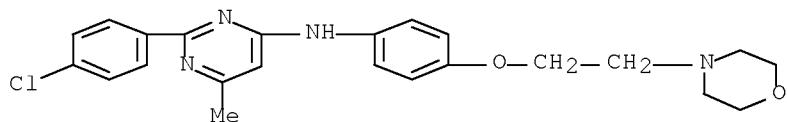
RN 56303-03-8 HCPLUS

CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)



RN 56303-05-0 HCPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



IT 56302-54-6P 56302-55-7P 56302-64-8P

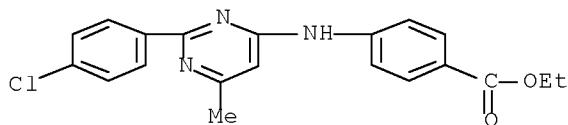
56303-01-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiinflammatory activity of)

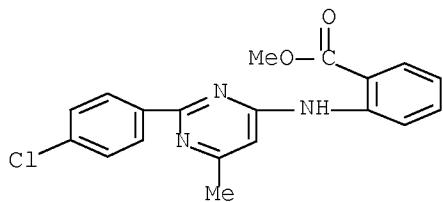
RN 56302-54-6 HCPLUS

CN Benzoic acid, 4-[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



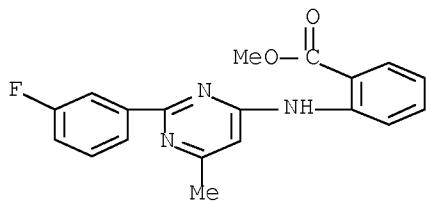
RN 56302-55-7 HCPLUS

CN Benzoic acid, 2-[2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



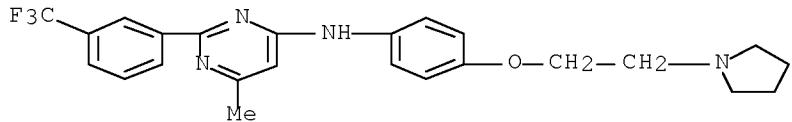
RN 56302-64-8 HCPLUS

CN Benzoic acid, 2-[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



RN 56303-01-6 HCPLUS

CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

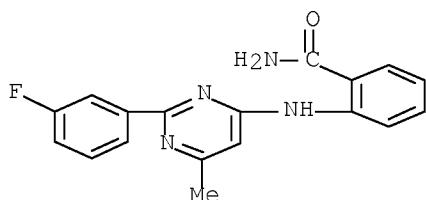


IT 56302-61-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); TAU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and diuretic activity of)

RN 56302-61-5 HCPLUS

CN Benzamide, 2-[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

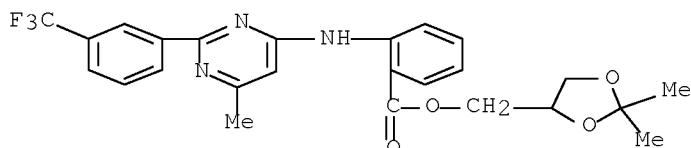


IT 56302-44-4P 56302-45-5P 56302-46-6P  
 56302-47-7P 56302-49-9P 56302-51-3P  
 56302-52-4P 56302-53-5P 56302-59-1P  
 56302-62-6P 56302-66-0P 56302-67-1P  
 56302-71-7P 56302-72-8P 56302-99-9P  
 56303-06-1P 56303-07-2P 56328-03-1P  
 56328-04-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and pharmacological activity of)

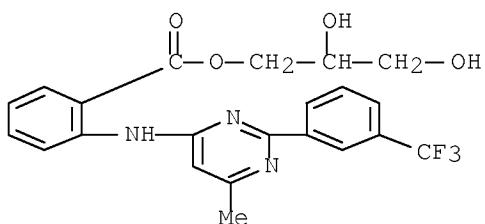
RN 56302-44-4 HCAPLUS

CN Benzoic acid, 2-[(6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)



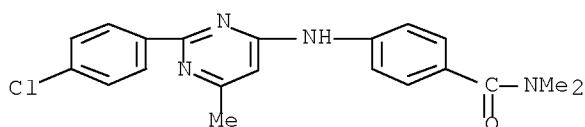
RN 56302-45-5 HCAPLUS

CN Benzoic acid, 2-[(6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)



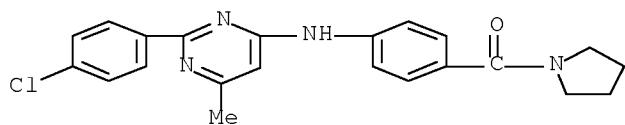
RN 56302-46-6 HCAPLUS

CN Benzamide, 4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]-N,N-dimethyl- (CA INDEX NAME)



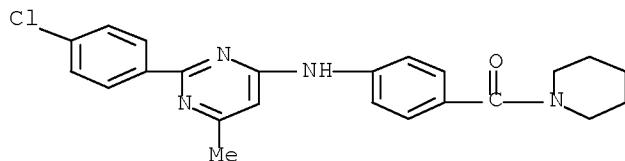
RN 56302-47-7 HCAPLUS

CN Methanone, [4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)



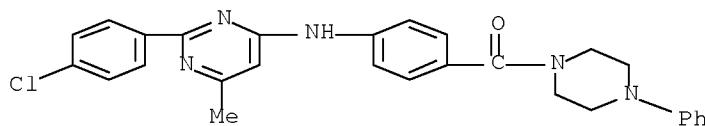
RN 56302-49-9 HCAPLUS

CN Methanone, [4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]phenyl]-1-piperidinyl- (CA INDEX NAME)



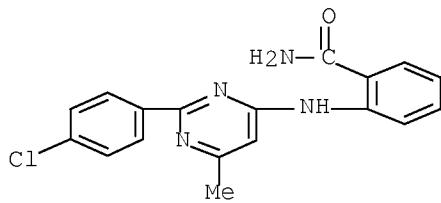
RN 56302-51-3 HCAPLUS

CN Methanone, [4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]phenyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)



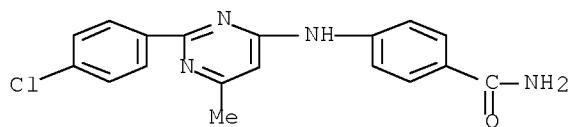
RN 56302-52-4 HCAPLUS

CN Benzamide, 2-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



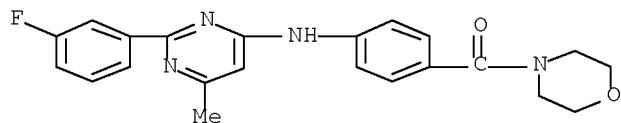
RN 56302-53-5 HCAPLUS

CN Benzamide, 4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



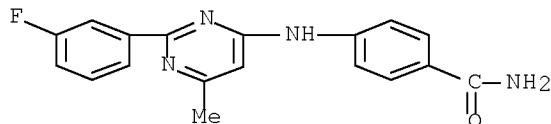
RN 56302-59-1 HCPLUS

CN Methanone, [4-[(2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl)amino]phenyl]-4-morpholinyl- (CA INDEX NAME)



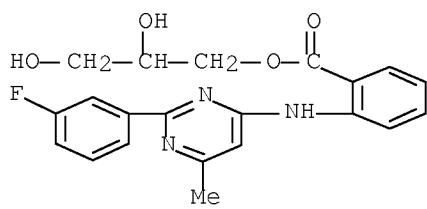
RN 56302-62-6 HCPLUS

CN Benzamide, 4-[(2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



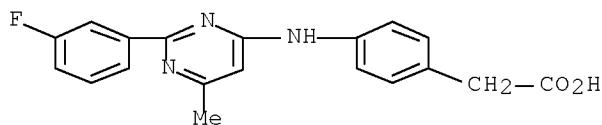
RN 56302-66-0 HCPLUS

CN Benzoic acid, 2-[(2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl)amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)



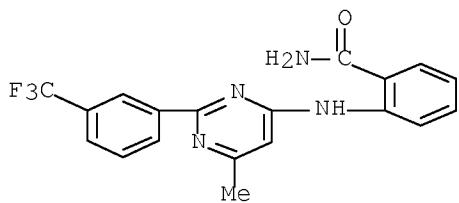
RN 56302-67-1 HCPLUS

CN Benzeneacetic acid, 4-[(2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



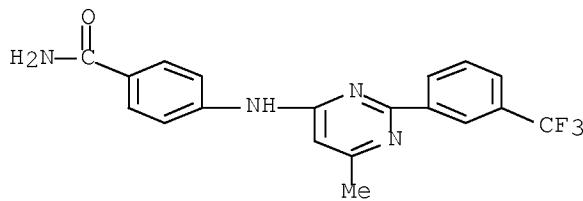
RN 56302-71-7 HCPLUS

CN Benzamide, 2-[6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-  
(CA INDEX NAME)



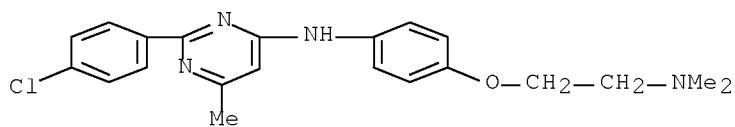
RN 56302-72-8 HCPLUS

CN Benzamide, 4-[6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]-  
(CA INDEX NAME)



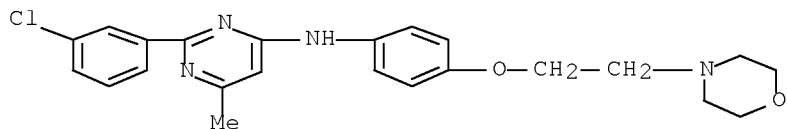
RN 56302-99-9 HCPLUS

CN 4-Pyrimidinamine, 2-(4-chlorophenyl)-N-[4-[2-(dimethylamino)ethoxy]phenyl]-  
6-methyl- (CA INDEX NAME)

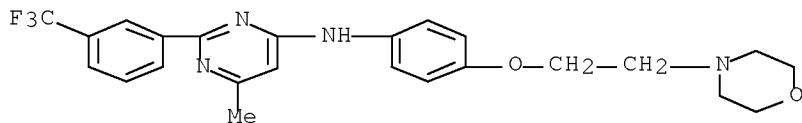


RN 56303-06-1 HCPLUS

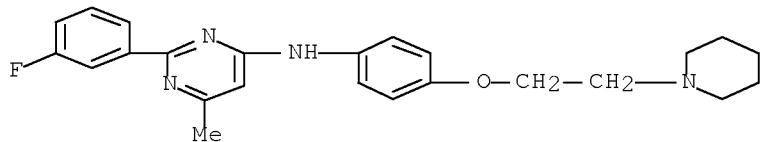
CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-6-methyl-N-[4-[2-(4-  
morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



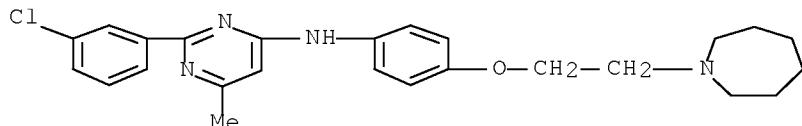
RN 56303-07-2 HCPLUS  
 CN 4-Pyrimidinamine, 6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



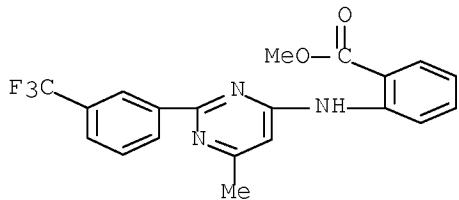
RN 56328-03-1 HCPLUS  
 CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(1-piperidinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 56328-04-2 HCPLUS  
 CN 4-Pyrimidinamine, 2-(3-chlorophenyl)-N-[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]-6-methyl- (CA INDEX NAME)



IT 56302-43-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
     (preparation and reaction of, with dioxolanemethanol)  
 RN 56302-43-3 HCPLUS  
 CN Benzoic acid, 2-[(6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]-, methyl ester (CA INDEX NAME)

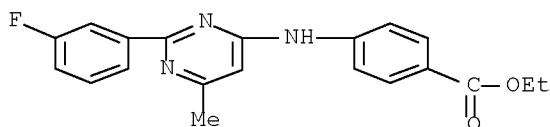


IT 56302-63-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and sedative activity of)

RN 56302-63-7 HCPLUS

CN Benzoic acid, 4-[(2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)

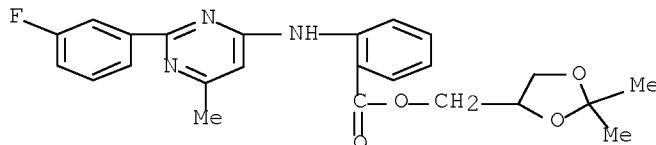


IT 56302-65-9P 56302-73-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and vasodilator activity of)

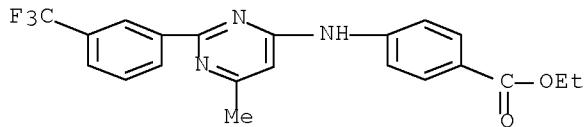
RN 56302-65-9 HCPLUS

CN Benzoic acid, 2-[(2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl)amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)



RN 56302-73-9 HCPLUS

CN Benzoic acid, 4-[(6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]-, ethyl ester (CA INDEX NAME)

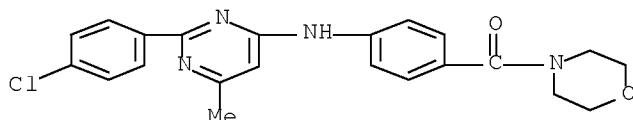


IT 56302-48-8P 56302-50-2P 56302-56-8P

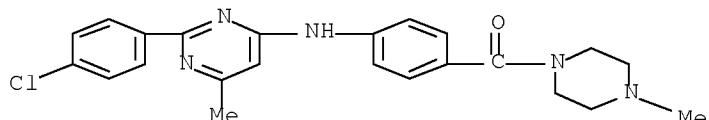
56302-57-9P    56302-58-0P    56302-60-4P  
 56302-68-2P    56302-69-3P    56302-70-6P  
 56303-00-5P    56303-09-4P    56303-10-7P  
 56303-11-8P    56303-12-9P    56328-02-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

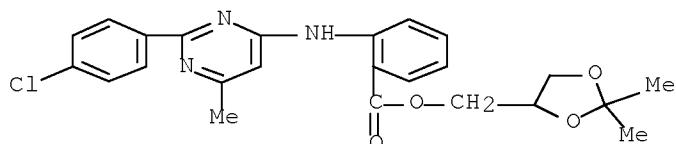
RN    56302-48-8    HCPLUS  
 CN    Methanone, [4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]phenyl]-4-morpholinyl- (CA INDEX NAME)



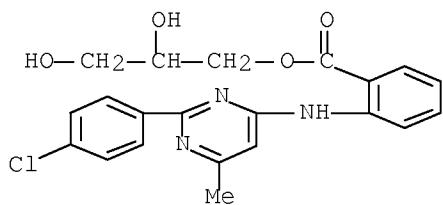
RN    56302-50-2    HCPLUS  
 CN    Methanone, [4-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



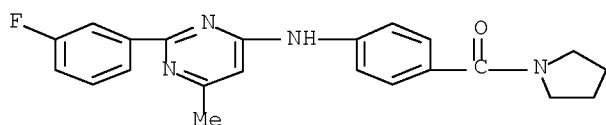
RN    56302-56-8    HCPLUS  
 CN    Benzoic acid, 2-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]-, (2,2-dimethyl-1,3-dioxolan-4-yl)methyl ester (CA INDEX NAME)



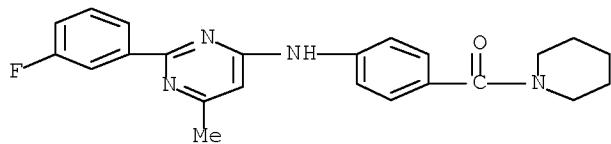
RN    56302-57-9    HCPLUS  
 CN    Benzoic acid, 2-[(2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl)amino]-, 2,3-dihydroxypropyl ester (CA INDEX NAME)



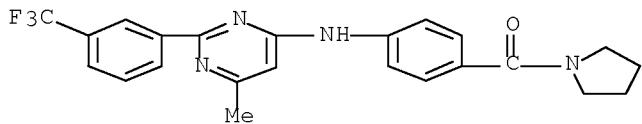
RN 56302-58-0 HCAPLUS  
 CN Methanone, [4-[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)



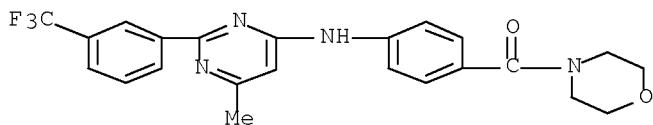
RN 56302-60-4 HCAPLUS  
 CN Methanone, [4-[2-(3-fluorophenyl)-6-methyl-4-pyrimidinyl]amino]phenyl]-1-piperidinyl- (CA INDEX NAME)



RN 56302-68-2 HCAPLUS  
 CN Methanone, [4-[6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]phenyl]-1-pyrrolidinyl- (CA INDEX NAME)

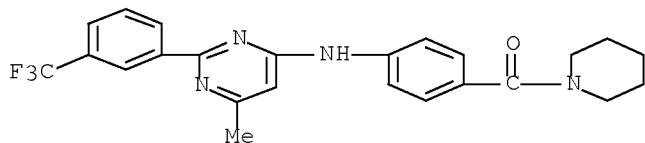


RN 56302-69-3 HCAPLUS  
 CN Methanone, [4-[6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl]amino]phenyl]-4-morpholinyl- (CA INDEX NAME)



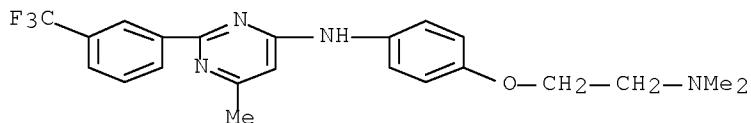
RN 56302-70-6 HCPLUS

CN Methanone, [4-[(6-methyl-2-[3-(trifluoromethyl)phenyl]pyrimidinyl)amino]phenyl]-1-piperidinyl- (CA INDEX NAME)



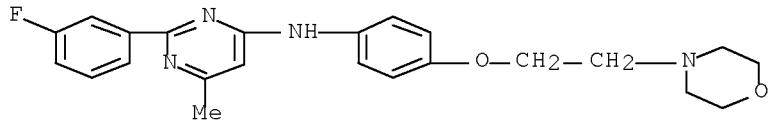
RN 56303-00-5 HCPLUS

CN 4-Pyrimidinamine, N-[4-[2-(dimethylamino)ethoxy]phenyl]-6-methyl-2-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



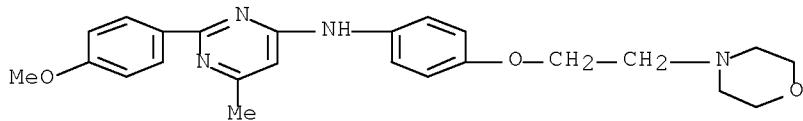
RN 56303-09-4 HCPLUS

CN 4-Pyrimidinamine, 2-(3-fluorophenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



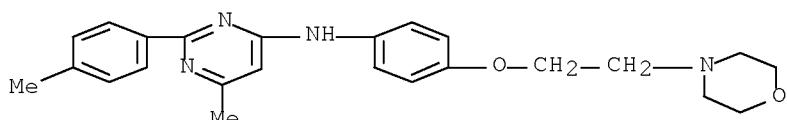
RN 56303-10-7 HCPLUS

CN 4-Pyrimidinamine, 2-(4-methoxyphenyl)-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



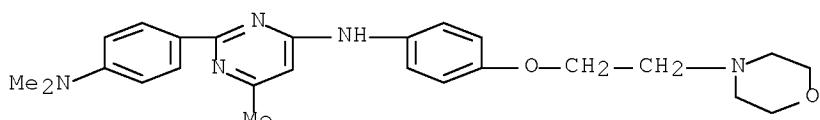
RN 56303-11-8 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-2-(4-methylphenyl)-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



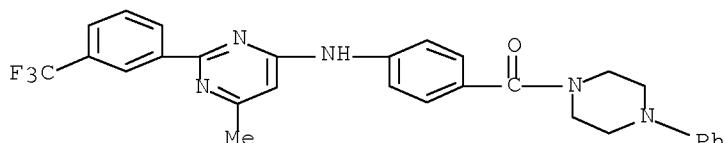
RN 56303-12-9 HCAPLUS

CN 4-Pyrimidinamine, 2-[4-(dimethylamino)phenyl]-6-methyl-N-[4-[2-(4-morpholinyl)ethoxy]phenyl]- (CA INDEX NAME)



RN 56328-02-0 HCAPLUS

CN Methanone, [4-[(6-methyl-2-[3-(trifluoromethyl)phenyl]-4-pyrimidinyl)amino]phenyl](4-phenyl-1-piperazinyl)- (CA INDEX NAME)

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

L55 ANSWER 39 OF 39 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1973:12020 HCAPLUS Full-text

DOCUMENT NUMBER: 78:12020

ORIGINAL REFERENCE NO.: 78:1911a,1914a

TITLE: Metabolism of 2-(4-chlorophenyl)thiazol-4-ylacetic acid (fencloxic acid) and related compounds by microorganisms

AUTHOR(S): Howe, Ralph; Moore, Ronald H.; Rao, Balbir S.; Wood, Alan H.

CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. Ltd., Alderley Park/Macclesfield/Cheshire, UK

SOURCE: Journal of Medicinal Chemistry (1972), 15(10), 1040-5

DOCUMENT TYPE:

Journal

LANGUAGE:

English

ED Entered STN: 12 May 1984

AB Microorganisms (mostly fungi) generally attacked the acetic acid side chain of fenclozic acid (I) [17969-20-9], in contrast to mammals, which hydroxylated the 4-chlorophenyl ring. The most common microbial metabolite was 2-(4-chlorophenyl)-4-thiazoleethanol (II) [27473-03-6] which had similar antiinflammatory activity to I. Some I amides formed as metabolites were also active. Penicillium duclauxi converted II stereospecifically to the 1,2-diol. The structures of the metabolites were confirmed by synthesis.

IT 27473-04-7

RL: PRP (Properties)

(as methyl 2-(4-chlorophenyl)-6-methoxypyrimidin-4-ylacetate metabolite)

IT 19899-98-0

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(metabolism of, by microorganisms)

IT 40361-67-9P

RL: PREP (Preparation)  
(preparation of)

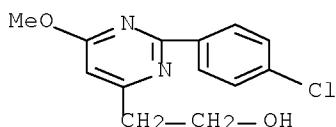
IT 27473-04-7

RL: PRP (Properties)

(as methyl 2-(4-chlorophenyl)-6-methoxypyrimidin-4-ylacetate metabolite)

RN 27473-04-7 HCPLUS

CN 4-Pyrimidineethanol, 2-(4-chlorophenyl)-6-methoxy- (CA INDEX NAME)

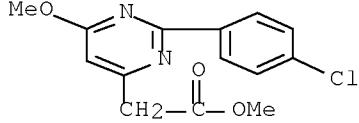


IT 19899-98-0

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(metabolism of, by microorganisms)

RN 19899-98-0 HCPLUS

CN 4-Pyrimidineacetic acid, 2-(4-chlorophenyl)-6-methoxy-, methyl ester (CA INDEX NAME)



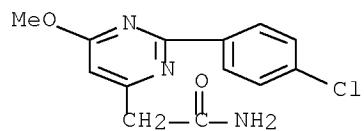
IT 40361-67-9P

RL: PREP (Preparation)  
(preparation of)

RN 40361-67-9 HCPLUS

10/595,734

CN 4-Pyrimidineacetamide, 2-(4-chlorophenyl)-6-methoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

Inventor search history

=> d his L65

(FILE 'HCAPLUS' ENTERED AT 12:15:55 ON 12 AUG 2010)  
 L65 24 S L63-L64

=> d que L65

L56 7664 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MARTIN R?/AU  
 L57 849 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MOHAN R?/AU  
 L58 36 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ORDENTLICH P?/AU  
 L59 5 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L56 AND L57 AND L58  
 L60 21 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L56 AND (L57 OR L58)  
 L61 5 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L57 AND L58  
 L62 18 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L56 OR L57 OR L58)  
     AND EXELIXIS?/CO,CS,PA,SO  
 L63 22 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L59 OR L62  
 L64 9 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L59 OR L60 OR L61 OR  
     L62 OR L63) AND ("TNF" OR "TNF ALPHA" OR TNF(W)ALPHA? OR  
     "COX-1" OR "COX-2" OR INFLAMMAT? OR ANTI(W)(INFLAM? OR  
     PYRET?))  
 L65 24 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L63 OR L64)

=> d his L69

(FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 12:31:41 ON 12 AUG 2010)  
 L69 22 S L66-L67

=> d que L69

L56 7664 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MARTIN R?/AU  
 L57 849 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON MOHAN R?/AU  
 L58 36 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON ORDENTLICH P?/AU  
 L59 5 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L56 AND L57 AND L58  
 L62 18 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON (L56 OR L57 OR L58)  
     AND EXELIXIS?/CO,CS,PA,SO  
 L66 11 SEA L59  
 L67 13 SEA L62  
 L69 22 SEA (L66 OR L67)

=> dup rem L65 L69

FILE 'HCAPLUS' ENTERED AT 13:47:20 ON 12 AUG 2010  
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 PROCESSING COMPLETED FOR L69

L72 30 DUP REM L65 L69 (16 DUPLICATES REMOVED)  
ANSWERS '1-24' FROM FILE HCAPLUS  
ANSWERS '25-30' FROM FILE BIOSIS

Inventor search results

=&gt; d L72 1-30 ibib ab

L72 ANSWER 1 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1  
 ACCESSION NUMBER: 2009:104320 HCPLUS Full-text  
 DOCUMENT NUMBER: 150:229205  
 TITLE: Discovery of XL335 (WAY-362450), a Highly Potent, Selective, and Orally Active Agonist of the Farnesoid X Receptor (FXR)  
 AUTHOR(S): Flatt, Brenton; Martin, Richard; Wang, Tie-Lin; Mahaney, Paige; Murphy, Brett; Gu, Xiao-Hui; Foster, Paul; Li, Jiali; Pircher, Parinaz; Petrowski, Mary; Schulman, Ira; Westin, Stefan; Wrobel, Jay; Yan, Grace; Bischoff, Eric; Daige, Chris; Mohan, Raju  
 CORPORATE SOURCE: Departments of Medicinal Chemistry, Structural Biology, Molecular Biology, Lead Discovery and Pharmacology, Exelixis Inc., San Diego, CA, 92121, USA  
 SOURCE: Journal of Medicinal Chemistry (2009), 52(4), 904-907  
 CODEN: JMCMAR; ISSN: 0022-2623  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 150:229205  
 AB Azepino[4,5-b]indoles have been identified as potent agonists of the farnesoid X receptor (FXR). In vitro and in vivo optimization has led to the discovery of 6m (XL335, WAY-362450) as a potent, selective, and orally bioavailable FXR agonist (EC50 = 4 nM, Eff = 149%). Oral administration of 6m to LDLR-/- mice results in lowering of cholesterol and triglycerides. Chronic administration in an atherosclerosis model results in significant reduction in aortic arch lesions.  
 OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
 REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 2 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2  
 ACCESSION NUMBER: 2005:689233 HCPLUS Full-text  
 DOCUMENT NUMBER: 143:259283  
 TITLE: FXR, a therapeutic target for bile acid and lipid disorders  
 AUTHOR(S): Westin, Stefan; Heyman, Richard A.; Martin, Richard  
 CORPORATE SOURCE: Exelixis Inc., San Diego, CA, 92121, USA  
 SOURCE: Mini-Reviews in Medicinal Chemistry (2005), 5(8), 719-727  
 CODEN: MMCIAE; ISSN: 1389-5575  
 PUBLISHER: Bentham Science Publishers Ltd.  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review. The farnesoid X receptor (FXR) is a nuclear receptor expressed in tissues exposed to high concns. of bile acids such as the liver, kidney and intestine and functions as a bile acid sensor. FXR regulates the expression of various transport proteins and biosynthetic enzymes crucial to the physiol. maintenance of lipids, cholesterol and bile acid homeostasis. The concept of reverse endocrinol., whereby the receptor is identified first, followed by the identification of ligands and the sequential elucidation of the physiol. role of the receptor has been widely used for a number of orphan nuclear receptors. The

design of synthetic high affinity ligands acting via these receptors not only helps to decipher the function of the receptor, but also should lead to the development of novel and highly specific drugs. The bile acid receptor FXR is a perfect example where this strategy helped with understanding the role of this receptor in cholesterol and bile acid homeostasis. Regulation of FXR through small-mol. drugs represents a promising therapy for diseases resulting from lipid, cholesterol and bile acid abnormalities.

OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)  
 REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 3 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3  
 ACCESSION NUMBER: 2004:531903 HCPLUS Full-text  
 DOCUMENT NUMBER: 141:134344  
 TITLE: Regulation of PPAR $\gamma$  coactivator 1 $\alpha$  (PGC-1 $\alpha$ ) signaling by an estrogen-related receptor  $\alpha$  (ERR $\alpha$ ) ligand  
 AUTHOR(S): Willy, Patricia J.; Murray, Ian R.; Qian, Jing; Busch, Brett B.; Stevens, William C., Jr.; Martin, Richard; Mohan, Raju; Zhou, Sihong; Ordentlich, Peter; Wei, Ping; Sapp, Douglas W.; Horlick, Robert A.; Heyman, Richard A.; Schulman, Ira G.  
 CORPORATE SOURCE: Department of Biology, X-Ceptor Therapeutics, Inc., San Diego, CA, 92121, USA  
 SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2004), 101(24), 8912-8917  
 CODEN: PNASA6; ISSN: 0027-8424  
 PUBLISHER: National Academy of Sciences  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Peroxisome proliferator-activated receptor  $\gamma$  (PPAR $\gamma$ ) coactivator 1 $\alpha$  (PGC-1 $\alpha$ ) is a transcriptional coactivator that is a key component in the regulation of energy production and utilization in metabolic tissues. Recent work has identified PGC-1 $\alpha$  as a strong coactivator of the orphan nuclear receptor estrogen-related receptor  $\alpha$  (ERR $\alpha$ ), implicating ERR $\alpha$  as a potential mediator of PGC-1 $\alpha$  action. To understand the role of ERR $\alpha$  in PGC-1 $\alpha$  signaling, a parallel approach of high-throughput screening and gene-expression anal. was used to identify ERR $\alpha$  small-mol. regulators and target genes. We report here the identification of a potent and selective ERR $\alpha$  inverse agonist that interferes effectively with PGC-1 $\alpha$ /ERR $\alpha$ -dependent signaling. This inverse agonist inhibits the constitutive activity of ERR $\alpha$  in both biochem. and cell-based assays. Also, we demonstrate that monoamine oxidase B is an ERR $\alpha$  target gene whose expression is regulated by PGC-1 $\alpha$  and ERR $\alpha$  and inhibited by the ERR $\alpha$  inverse agonist. The discovery of potent and selective ERR $\alpha$  modulators and their effect on PGC-1 $\alpha$  signaling provides mechanistic insight into gene regulation by PGC-1 $\alpha$ . These findings validate ERR $\alpha$  as a promising therapeutic target in the treatment of metabolic disorders, including diabetes and obesity.

OS.CITING REF COUNT: 62 THERE ARE 62 CAPLUS RECORDS THAT CITE THIS RECORD (62 CITINGS)  
 REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 4 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 4  
 ACCESSION NUMBER: 2004:800209 HCPLUS Full-text  
 DOCUMENT NUMBER: 141:424151  
 TITLE: Identification of a Selective Inverse Agonist for the

Orphan Nuclear Receptor Estrogen-Related Receptor

 $\alpha$ 

AUTHOR(S): Busch, Brett B.; Stevens, William C., Jr.;

Martin, Richard; Ordentlich, Peter;

Zhou, Sihong; Sapp, Douglas W.; Horlick, Robert A.;

Mohan, Raju

CORPORATE SOURCE: Departments of Medicinal Chemistry and Lead Discovery,  
X-Ceptor Therapeutics Inc., San Diego, CA, 92121, USASOURCE: Journal of Medicinal Chemistry (2004), 47(23),  
5593-5596

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:424151

AB The estrogen-related receptor  $\alpha$  (ERR $\alpha$ ) is an orphan receptor belonging to the nuclear receptor superfamily. The physiol. role of ERR $\alpha$  has yet to be established primarily because of lack of a natural ligand. Herein, we describe the discovery of the first potent and selective inverse agonist (I) of ERR $\alpha$ . Through in vitro and in vivo studies, these ligands will elucidate the endocrine signaling pathways mediated by ERR $\alpha$  including association with human disease states. OS.CITING REF COUNT: 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS

RECORD (35 CITINGS)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 5 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:474402 HCAPLUS Full-text

DOCUMENT NUMBER: 152:476951

TITLE: 1-Phenylpyrrole compounds as mineralocorticoid receptor antagonists and their preparation and use in the treatment of cardiovascular diseases

INVENTOR(S): Nuss, John; Williams, Matthew; Mohan, Raju;  
Martin, Richard; Wang, Tie-Lin; Tsuruoka, Hiroyuki; Aoki, Kazumasa; Honzumi, Masatoshi; Asoh, Yusuke; Saito, Keiji; Homma, Tsuyoshi

PATENT ASSIGNEE(S): Exelixis, Inc., USA; Daiichi Sankyo Co. , Ltd.

SOURCE: PCT Int. Appl., 168pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010042626	A1	20100415	WO 2009-US59852	20091007
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG,				

ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2008-103804P P 20081008

OTHER SOURCE(S): MARPAT 152:476951

AB The invention comprises a compound of formula I N-oxide, atropisomer of the foregoing, or pharmaceutically acceptable salt, for the prevention and/or treatment of cardiovascular diseases, nephropathy, fibrosis, primary aldosteronism or edema. Compds. of formula I wherein R1 is H and C1-3 alkyl; R2 is C1-4 hydroxyalkyl, C1-4 fluoroalkyl, C1-2 carbamoylalkyl, etc.; R3 is halo, C1-3 alkyl, C1-3 alkoxy, C1-3 haloalkyl, C1-3 haloalkoxy, etc.; R4 is H, halo and C1-3 alkyl; R5 is sulfamoyl and C1-3 alkylsulfonyl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; and N-oxides, diastereoisomers, racemates, enriched in a diastereoisomer, atropisomers, equal mixts. of atropisomers and enriched in one atropisomer thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given) and the atropisomers were separated by chiral HPLC (absolute stereo not determined). All the invention compds. were evaluated for their mineralocorticoid receptor antagonistic activity. From the assay, it was determined that compound II exhibited an IC<sub>max</sub>50 value of 11 nM and I<sub>max</sub> of 110 %. Isomer A of II exhibited an IC<sub>max</sub>50 value of 3.7 nM and I<sub>max</sub> of 87 %, while isomer B showed an IC<sub>max</sub>50 value of > 1000 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 6 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2010:474394 HCPLUS Full-text

DOCUMENT NUMBER: 152:453941

TITLE: Atropisomers of (hydroxyalkyl)pyrrole derivatives as mineralocorticoid receptor antagonists and their preparation, pharmaceutical compositions and use in the treatment of cardiovascular diseases

INVENTOR(S): Nuss, John; Williams, Matthew; Mohan, Raju; Martin, Richard; Wang, Tie-Lin; Aoki, Kazumasa; Tsuruoka, Hiroyuki; Hayashi, Noriyuki; Homma, Tsuyoshi

PATENT ASSIGNEE(S): Exelixis, Inc., USA; Daiichi Sankyo Co., Ltd.

SOURCE: PCT Int. Appl., 42pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010042622	A1	20100415	WO 2009-US59847	20091007
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2008-103715P P 20081008

OTHER SOURCE(S): MARPAT 152:453941

AB The invention comprises atropisomers of (hydroxyalkyl)pyrrole derivs. of formula I, which are mineralocorticoid receptor antagonists and useful in the prevention and/or treatment of cardiovascular diseases. Atropisomers of the formula I wherein R1 and R5 are independently C1-3 alkyl; R2 is C4-6 hydroxyalkyl; R3 is halo, C1-3 (halo)alkyl and C1-3 (halo)alkoxy; R4 is H, halo and C1-3 alkyl; R6 is H, halo, C1-3 alkyl and C1-3 alkoxy; and their N-oxides, diastereomers, racemates, atropisomers and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared via ring-opening of (4S,5S)-4,5-dimethyl-1,3,2-dioxathiolane 2,2-dioxide with 4-methyl-N-[4-(methylsulfonyl)phenyl]-5-[2-(trifluoromethyl)phenyl]-1H-pyrrole-3-carboxamide followed by hydrolysis and resolution All the invention compds. were evaluated for their mineralocorticoid receptor antagonistic activity.

From the assay, one of the atropisomers II exhibited the IC50 value of 2.9 nM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 7 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1138805 HCPLUS Full-text

DOCUMENT NUMBER: 151:381190

TITLE: Preparation of azabicyclo[3.2.1]octyl derivatives for use as 11 beta-HSD1 modulators

INVENTOR(S): Martin, Richard; Flatt, Brenton T.; Dalgard, Jackline Eve; Bollu, Venkataiah; Huang, Ping; Mohan, Raju; Schweiger, Edwin; Wang, Tie Lin

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 387pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

#### PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009114173	A1	20090917	WO 2009-US1591	20090313
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090247515	A1	20091001	US 2009-381682	20090313
US 20100105675	A2	20100429		

PRIORITY APPLN. INFO.: US 2008-69648P P 20080314  
US 2008-203720P P 20081223

#### ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 151:381190

AB Title compds. I [L = CR12R13, CR12R13O, CR12R13CH2O, CR12R13S, or CR12R13S(O)2; X = O or S; R1 = (un)substituted Ph, 2-pyridinyl, naphthyl, etc; R2 = (un)substituted Ph, C(O)Ph, benzyl, or heteroaryl; R11 = H, alkyl, alkenyl, or alkynyl; R12 = H, halo, alkyl, alkenyl, or alkynyl; R13 = halo, alkyl, alkenyl, or alkynyl; or R12 and R13 together with the carbon to which they are attached form cycloalkyl], and their pharmaceutically acceptable salts, are prepared and disclosed as 11 β-hydroxysteroid dehydrogenase type 1

(11 β-HSD1) modulators. Thus, e.g., II was prepared by protection of 8-methyl-8-azabicyclo[3.2.1]octan-3-endo-amine with di-tert-Bu dicarbonate followed by carboxylation with 2,2,2-trichloroethyl chloroformate, deprotection, heteroarylation with Me 6-chloronicotinate, deprotection, and amidation with 1-(4-chlorophenyl)cyclopropanecarboxylic acid. Select I were evaluated in human 11 β-HSD1 inhibition assays, e.g., II demonstrated an IC<sub>50</sub> value of <200 nM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 8 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2008:736475 HCPLUS Full-text  
 DOCUMENT NUMBER: 149:79594  
 TITLE: Pyrazole derivatives as LXR and FXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases  
 INVENTOR(S): Boren, Brant Clayton; Busch, Brett B.; Gu, Xiao-Hui; Jammalamadaka, Vasu; Lu, Shao-Po; Martin, Richard; Mohan, Raju; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie-Lin; Xie, Yinong; Xu, Wei  
 PATENT ASSIGNEE(S): Exelixis, Inc., USA  
 SOURCE: PCT Int. Appl., 355pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008073825	A1	20080619	WO 2007-US86787	20071207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2007333194	A1	20080619	AU 2007-333194	20071207
KR 2009094125	A	20090903	KR 2009-713701	20071207
EP 2121621	A1	20091125	EP 2007-865385	20071207
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2010512342	T	20100422	JP 2009-540497	20071207
IN 2009KN01978	A	20090619	IN 2009-KN1978	20090526
NO 2009002587	A	20090831	NO 2009-2587	20090707
CN 101679297	A	20100324	CN 2007-80051148	20090810
US 20100069367	A1	20100318	US 2009-517800	20091021
PRIORITY APPLN. INFO.:			US 2006-869198P	P 20061208
			WO 2007-US86787	W 20071207

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:79594

AB Compds. of the invention are disclosed, such as compds. of formula I, and

pharmaceutically acceptable salts, isomers, or prodrugs thereof, which are useful as modulators of the activity of liver X receptors (LXR) and Farnesoid X receptors (FXR). Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formula I wherein J1 is N and J2 is CR4; J1 is CR5 and J2 is N; R1, R3 and R5 are independently (un)substituted biaryl, (un)substituted heterobiaryl, (un)substituted aryl-heteroaryl, (un)substituted (hetero)aryl, etc.; R2 and R4 are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted alkoxyalkyl, (un)substituted C3-6 cycloalkyl, (un)substituted heteroaryl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by cyanation of 5-(bromomethyl)-1-(2-chlorophenyl)-3-trifluoromethyl-1H-pyrazole; the resulting (1-(2-chlorophenyl)-3-trifluoromethyl-1H-pyrazol-5-yl)acetonitrile underwent hydrolysis to give (1-(2-chlorophenyl)-3-trifluoromethyl-1H-pyrazol-5-yl)acetic acid, which underwent amidation with quinolin-6-ylamine to give compound II. All the invention compds. were evaluated for their LXR and FXR modulatory activity. From the assay, it was determined that compound II exhibited EC<sub>50</sub> value < 1 μM.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 9 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:389735 HCPLUS Full-text

TITLE: Indoleazepines as a new class of nonsteroidal agonists of the farnesoid X receptor: Identification of WAY-362450 (FXR-450) as a clinical candidate for the treatment of dyslipidemia

AUTHOR(S): Mahaney, Paige E.; Harnish, Douglas C.; Abou-Gharbia, Magid A.; Bischoff, Eric; Borges-Marcucci, Lisa; Evans, Mark J.; Flatt, Brenton T.; Gantan, Elizabeth; Gardell, Stephen J.; Gu, Xiao-Hui; Lai, KehDeh; Magolda, Ronald L.; Martin, Richard; Mohan, Raju; Ordentlich, Peter; Schulman, Ira; Unwalla, Raymand J.; Vlasuk, George P.; Wang, Shuguang; Wang, Tie-Lin; Westin, Stefan; Wrobel, Jay E.; Xu, Weixin; Yan, Grace; Zhang, Songwen

CORPORATE SOURCE: Department of Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426, USA

SOURCE: Abstracts of Papers, 235th ACS National Meeting, New Orleans, LA, United States, April 6-10, 2008 (2008), MEDI-181. American Chemical Society: Washington, D. C.

CODEN: 69KNN3

DOCUMENT TYPE: Conference; Meeting Abstract; (computer optical disk)

LANGUAGE: English

AB The nuclear hormone receptor farnesoid X receptor (FXR) plays a critical role in the regulation of bile acid synthesis and triglyceride and cholesterol homeostasis. Synthetic agonists of FXR that are potent *in vitro*, including GW4064, fexaramine, and 6-Et chenodeoxycholic acids (6-ECDCAs) have been previously described; however, they have limited clin. utility due to poor physiochem., pharmacokinetic, and/or toxicol. profiles. Here we report the identification of a new structural scaffold of FXR agonists, namely the indoleazepines which were identified as weak, partial agonists via high-throughput screening. SAR investigations led to the identification of two important interactions within the ligand-binding domain, a lipophilic interaction made with a geminal di-Me group, and a hydrogen-bonding interaction formed with a carbonyl group on a pendant amide. These interactions were confirmed using X-ray structural information. Based on these observations, a highly potent FXR agonist, WAY-362450 was identified

having an EC<sub>50</sub> value of 5 nM in a co-transfection functional assay with 149% efficacy when compared to the endogenous ligand, CDCA. In addition, WAY-362450 had an EC<sub>50</sub> value of 16 nM in an alternate functional assay using the FXR-LBD with a Gal4-DBD in HEK293 cells, exhibiting 179% efficacy vs. GW4064. WAY-392450 also activated known FXR target genes following treatment of primary human hepatocytes. In LDLRKO mice consuming a western diet or in KKAY mice predisposed to dyslipidemia, WAY-362450 decreased serum triglyceride levels comparable to the PPARalpha ligand, fenofibrate. Gene expression anal. clearly demonstrated that WAY-362450 modulates genes distinct from fenofibrate involved in both triglyceride clearance and triglyceride synthesis; however, unlike fenofibrate, WAY-362450 also decreased total cholesterol levels in both models. Taken together, these and other data, support the clin. evaluation of WAY-362450 as a treatment for dyslipidemia.

L72 ANSWER 10 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:670485 HCPLUS Full-text  
 DOCUMENT NUMBER: 147:95645  
 TITLE: Azepinoindole derivatives as farnesoid X receptor modulators and their preparation, pharmaceutical compositions and use as pharmaceutical agents  
 INVENTOR(S): Baik, Taegon; Buhr, Chris A.; Busch, Brett B.; Chan, Diva Sze-Ming; Flatt, Brenton T.; Gu, Xiao Hui; Jammalamadaka, Vasu; Khouri, Richard George; Lara, Katherine; Ma, Sunghoon; Martin, Richard; Mohan, Raju; Nuss, John M.; Parks, Jason Jevious  
 PATENT ASSIGNEE(S): Exelixis, Inc., USA  
 SOURCE: PCT Int. Appl., 244pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007070796	A1	20070621	WO 2006-US61928	20061212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006325815	A1	20070621	AU 2006-325815	20061212
CA 2633243	A1	20070621	CA 2006-2633243	20061212
EP 1963331	A1	20080903	EP 2006-846570	20061212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009519964	T	20090521	JP 2008-545937	20061212
IN 2008DN04896	A	20080808	IN 2008-DN4896	20080606
MX 2008007811	A	20080703	MX 2008-7811	20080613
CN 101374842	A	20090225	CN 2006-80052924	20080815
US 20090203577	A1	20090813	US 2009-96961	20090213

PRIORITY APPLN. INFO.: US 2005-750634P P 20051215  
                           US 2005-750679P P 20051215  
                           WO 2006-US61928 W 20061212

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 147:95645

AB The invention relates to compds. of formula I, which exhibit affinity for the farnesoid X receptor (FXR). Compds. of formula I wherein R1 is CJR11, CJOR11, and CJNH2 and derivs.; J is a bond, O, and NH and derivs.; n is 0 to 4; R3 is H, acyl, and NH2 and derivs.; R6 and R7 are independently (un)substituted alkyl, (un)substituted cycloalkyl, and (un)substituted cycloalkylalkyl; R8 is OH, (un)substituted alkyl, (un)substituted cycloalkenyl, (un)substituted alkynyl, halo, haloalkyl, etc.; R11 is H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, etc.; and their pharmaceutically acceptable derivs. thereof, are claimed. Example compound II was prepared by acylation of iso-Pr 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate with benzoyl chloride. All the invention compds. were evaluated for their farnesoid X modulatory activity (data given). OS.CITING REF COUNT: 1

THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 11 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:227924 HCAPLUS Full-text

DOCUMENT NUMBER: 146:295926

TITLE: Preparation of heterocyclic carboxamide compounds as pharmaceutical agents

INVENTOR(S): Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Murphy, Brett; Nyman, Michael Charles; Stevens, William C.; Wang, Tie Lin; Bannen, Lynne Canne

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007024744	A2	20070301	WO 2006-US32459	20060818
WO 2007024744	A3	20070607		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

PRIORITY APPLN. INFO.: US 2005-710273P P 20050821

OTHER SOURCE(S): CASREACT 146:295926; MARPAT 146:295926

AB Title compds. represented by the formula I & II [wherein R1, R2 = independently H, CN, (un)substituted alkyl, etc.; R4, R7 = independently (un)substituted alkyl, alkenyl or alkynyl; R5 = H, (un)substituted alkyl, alkenyl,

etc.; R6 = H; with the proviso; and isomers, solvates or polymorphs; or prodrugs or metabolites; or pharmaceutically acceptable salts thereof] were prepared in modulating the activity of steroid nuclear receptors. For example, amidation of 2-ethyl-5-methyl-1-(2-trifluoromethylphenyl)-1H-imidazole-4-carboxylic acid with 4-methylsulfonylaniline gave III in 66% yield. OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD

(3 CITINGS)

L72 ANSWER 12 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:11808 HCAPLUS Full-text  
 DOCUMENT NUMBER: 146:121964  
 TITLE: Imidazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases  
 INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Lu, Shao Po; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong **Xelixis, Inc., USA**  
 PATENT ASSIGNEE(S):  
 SOURCE: PCT Int. Appl., 268 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002563	A1	20070104	WO 2006-US24757	20060626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006261845	A1	20070104	AU 2006-261845	20060626
CA 2613522	A1	20070104	CA 2006-2613522	20060626
EP 1910308	A1	20080416	EP 2006-785562	20060626
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2008543971	T	20081204	JP 2008-519445	20060626
SG 162803	A1	20100729	SG 2010-4272	20060626
SG 162804	A1	20100729	SG 2010-4273	20060626
AR 54521	A1	20070627	AR 2006-102761	20060627
AR 54522	A1	20070627	AR 2006-102762	20060627
ZA 2007010582	A	20081231	ZA 2007-10582	20071205
MX 2008000141	A	20080407	MX 2008-141	20071219
IN 2007DN10015	A	20080620	IN 2007-DN10015	20071224
KR 2008039381	A	20080507	KR 2008-701879	20080124
CN 101248049	A	20080820	CN 2006-80030791	20080222
US 20100075964	A1	20100325	US 2009-993529	20091204
PRIORITY APPLN. INFO.:			US 2005-694372P	P 20050627
			US 2005-736120P	P 20051110

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:121964; MARPAT 146:121964

AB Compds. of the invention, such as compds. of formulas I, II, III and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted C3-8 cycloalkyl, (un)substituted alkyl, (un)substituted acyl, (un)substituted thioacyl, sulfonyl, ether, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkyldiyl, H, halo, NO<sub>2</sub>, (hetero)aryl, etc.; R3 is (un)substituted alkyl, (un)substituted alkyldiyl, (un)substituted (hetero)aryl, CN, etc.; G is (un)substituted (hetero)aryl, (un)substituted (hetero)biaryl, (un)substituted alkylaryl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof are claimed. Example compound V was prepared by addition of 2,5-dichloroaniline to 5-bromothiophene-2-carbonitrile; the resulting 5-bromo-N-(2,5-dichlorophenyl)thiophene-2-carboxamide underwent cyclization with 1-bromo-3,3,3-trifluoroacetone to give 2-(5-bromothien-2-yl)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-4,5-dihydro-1H-imidazol-4-ol, which underwent dehydration to give 2-(5-bromothien-2-yl)-1-(2,5-dichlorophenyl)-4-trifluoromethyl-1H-imidazole, which underwent Suzuki cross-coupling with 3-methylsulfonylphenylboronic acid to give compound V. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compound exhibited IC<sub>50</sub> values of < 1 μM. Compds. of the invention, such as compds. of Formulas Ia, Ib, Ic, or Id and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors, where R1, R2, R21, R3, and G are defined herein. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 13 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:14431 HCPLUS Full-text

DOCUMENT NUMBER: 146:121962

TITLE: Pyrazole based LXR modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Busch, Breet B.; Flatt, Brenton T.; Gu, Xiao Hui; Martin, Richard; Mohan, Raju; Nyman, Michael Charles; Schweiger, Edwin; Stevens, William C., Jr.; Wang, Tie Lin; Xie, Yinong Exelixis, Inc., USA

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 533 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007002559	A1	20070104	WO 2006-US24749	20060626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,				

US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 AU 2006261841 A1 20070104 AU 2006-261841 20060626  
 CA 2613517 A1 20070104 CA 2006-2613517 20060626  
 EP 1910307 A1 20080416 EP 2006-785558 20060626  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, RS  
 JP 2008543970 T 20081204 JP 2008-519444 20060626  
 SG 162803 A1 20100729 SG 2010-4272 20060626  
 SG 162804 A1 20100729 SG 2010-4273 20060626  
 AR 54521 A1 20070627 AR 2006-102761 20060627  
 AR 54522 A1 20070627 AR 2006-102762 20060627  
 ZA 2007010582 A 20081231 ZA 2007-10582 20071205  
 MX 2008000138 A 20080522 MX 2007-2008000138 20071219  
 IN 2007DN10016 A 20080620 IN 2007-DN10016 20071224  
 NO 2008000391 A 20080319 NO 2008-391 20080121  
 KR 2008028964 A 20080402 KR 2008-701957 20080124  
 CN 101248048 A 20080820 CN 2006-80030647 20080222  
 PRIORITY APPLN. INFO.: US 2005-694372P P 20050627  
 US 2005-736120P P 20051110  
 WO 2006-US24749 W 20060626

OTHER SOURCE(S): MARPAT 146:121962  
 AB Compds. of the invention, such as compds. of formulas I, II, III, and IV and pharmaceutically acceptable salts, isomers, and prodrugs thereof, which are useful as modulators of the activity of liver X receptors. Pharmaceutical compns. containing the compds. and methods of using the compds. are also disclosed. Compds. of formulas I - IV wherein R1 is (un)substituted (hetero)aryl, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (thio)ethers, etc.; R2 and R21 are independently (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkyldiyl, H, halo, NO<sub>2</sub>, CN, (hetero)aryl, etc.; R3 is(un)substituted alkyl, (un)substituted alkyldiyl, (un)substituted alkenyl, (un)substituted acetyl, (un)substituted thioacetyl, etc.; G is (un)substituted (hetero)aryl, (un)substituted biaryl, (un)substituted alkenoyl, etc.; and their pharmaceutically acceptable salts, isomers, and prodrugs thereof, are claimed. Example compound V was prepared by acylation of 2-acetyl-5-bromothiophene with Et trifluoroacetate; the resulting 1-(5-bromothien-2-yl)-4,4,4-trifluorobutane-1,3-dione underwent cyclization with 2,5-dichlorophenylhydrazine hydrochloride to give 5-(5-bromothien-2-yl)-1-(2,5-dichlorophenyl)-3-trifluoromethyl-1H-pyrazole, which underwent Suzuki cross-coupling with 3-amino sulfonylphenylboronic acid to give compound II. All the invention compds. were evaluated for their LXR modulatory activity. From the assay, it was determined that several of the tested compds. exhibited IC<sub>50</sub> values of < 1 μM.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
 (4 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 14 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:699903 HCPLUS Full-text  
 DOCUMENT NUMBER: 145:145709  
 TITLE: Preparation of heterocyclic carboxamide compounds as steroid nuclear receptors ligands  
 INVENTOR(S): Flatt, Brenton; Gu, Xiao-Hui; Martin, Richard  
 ; Mohan, Raju; Murphy, Brett; Nyman, Michael  
 C.; Stevens, William C., Jr.; Wang, Tie-Lin

PATENT ASSIGNEE(S): **Exelixis, Inc., USA**  
 SOURCE: PCT Int. Appl., 196 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006076202	A1	20060720	WO 2006-US319	20060106
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006205220	A1	20060720	AU 2006-205220	20060106
CA 2593156	A1	20060720	CA 2006-2593156	20060106
EP 1844020	A1	20071017	EP 2006-717506	20060106
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008526869	T	20080724	JP 2007-550462	20060106
PRIORITY APPLN. INFO.:			US 2005-642839P	P 20050110
			WO 2006-US319	W 20060106

OTHER SOURCE(S): CASREACT 145:145709; MARPAT 145:145709  
 AB Imidazole-4-carboxamides (I) and imidazole-2-carboxamide (II) [R1, R2 = H, cyano, halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R5 = H, each alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R4 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R6 = H; R7 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl] as single isomers, mixture of isomers, or as racemic mixts. of isomers or as solvates or polymorphs or as prodrugs or metabolites or as pharmaceutically acceptable salts thereof are prepared. These compds. are useful in modulating the activity of steroid nuclear receptors and thereby for the treatment of a disease, or disorder mediated by, or otherwise affected by one or more steroid nuclear receptors (in particular mineralocorticoid receptor), or in which steroid nuclear receptor activity is implicated. The above disease or disorder is related to cancer, infertility, one or more metabolic syndromes, bone or cartilage dysfunction, immune dysfunction, cognitive dysfunction, high blood pressure, heart disease, renal disease, fibrosis, epidermal dysfunction, or muscle wasting. Thus, to a stirred mixture of 1,4-dimethyl-5-(2-phenoxyphenyl)-1H-imidazole-2-carboxylic acid Et ester (202 mg, 0.60 mmol) and 4-methanesulfonylaniline (136 mg, 0.80 mmol) in toluene (5 mL, anhydrous) was added dropwise Me3Al (2.0 M in toluene, 0.4 mL, 0.8 mmol) under N at ambient temperature and the resulting mixture was stirred at 100° in a sealed vial for 10 h to give, after silica gel chromatog., 1,4-dimethyl-5-(2-phenoxyphenyl)-1H-imidazole-2-carboxylic acid (4-methanesulfonylphenyl)amide (III). III showed antagonist activity against mineralocorticoid receptor with IC50 of <0.5 μM which was ten-fold greater than the antagonist activity against androgen receptor (AR), estrogen receptor α (ERα), glucocorticoid receptor (GR), and

progesterone receptor (PR).

OS.CITING REF COUNT: 3

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

REFERENCE COUNT: 14

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 15 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:411688 HCAPLUS Full-text  
 DOCUMENT NUMBER: 144:450700  
 TITLE: Preparation of benzylidene thiazolones as  
 $\alpha$ -estrogen receptors modulators  
 INVENTOR(S): Martin, Richard; Mohan, Raju;  
 Busch, Brett B.; Nyman, Michael Charles; Stevens,  
 William C., Jr.  
 PATENT ASSIGNEE(S): Exelixis, Inc., USA  
 SOURCE: PCT Int. Appl., 135 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047269	A2	20060504	WO 2005-US37853	20051021
WO 2006047269	A3	20060720		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005299829	A1	20060504	AU 2005-299829	20051021
CA 2583271	A1	20060504	CA 2005-2583271	20051021
EP 1805154	A2	200707011	EP 2005-812411	20051021
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008517925	T	20080529	JP 2007-538058	20051021
US 20090197870	A1	20090806	US 2007-577611	20070420
PRIORITY APPLN. INFO.:			US 2004-621296P	P 20041022
			WO 2005-US37853	W 20051021

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:450700; MARPAT 144:450700

AB Title compds. represented by the formula I [wherein R<sub>1</sub>, R<sub>2</sub> = independently (un)substituted (cyclo)alkyl, alkenyl, alkynyl, etc.; or R<sub>1</sub>R<sub>2</sub>N = (un)substituted heterocyclyl or heteroaryl; R<sub>3</sub> = H, halo or (un)substituted alkyl; R<sub>4</sub> = independently halo, cyano, (un)substituted alkyl, etc.; m = 1 or 2; n = 0-4; X, Y = independently O, NR<sub>8</sub>, SOp or a direct bond; p = 0-2; R<sub>8</sub> = H or (un)substituted alkyl; L = (un)substituted alkylene, cycloalkyl, alkenylene or alkynylene; A = (un)substituted (hetero)aryl; and pharmaceutically acceptable salts thereof] were prepared as  $\alpha$ -estrogen receptors (ERR $\alpha$ ) modulators. For example, II was provided in a multi-step synthesis starting from reaction of 1-bromomethyl-2,4-bis(trifluoromethyl)benzene with vanillin. II showed inverse agonist activity in

the GAL4-ERR $\alpha$  assay with IC<sub>50</sub> value of less than 0.5  $\mu$ M and 100-120% control rate. Thus, I are useful for the treatment of ERR $\alpha$  related diseases, disorders or conditions, such as cancer (no data). OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD

(1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 16 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2006:167017 HCAPLUS Full-text  
 DOCUMENT NUMBER: 144:254119  
 TITLE: Preparation of thiazolidinones and related heterocyclic compounds as farnesoid X receptor agonists with therapeutic uses  
 INVENTOR(S): Martin, Richard; Flatt, Brenton Todd; Kahl, Jeffrey Dean  
 PATENT ASSIGNEE(S): Exelixis, Inc., USA  
 SOURCE: PCT Int. Appl., 146 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020680	A2	20060223	WO 2005-US28357	20050809
WO 2006020680	A3	20061228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005272916	A1	20060223	AU 2005-272916	20050809
CA 2574279	A1	20060223	CA 2005-2574279	20050809
EP 1776112	A2	20070425	EP 2005-786284	20050809
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101010078	A	20070801	CN 2005-80027253	20050809
JP 2008509912	T	20080403	JP 2007-525749	20050809
BR 2005014269	A	20080610	BR 2005-14269	20050809
IN 2007KN00020	A	20070629	IN 2007-KN20	20070103
MX 2007001539	A	20070423	MX 2007-1539	20070207
US 20080132519	A1	20080605	US 2007-573614	20070803
PRIORITY APPLN. INFO.:			US 2004-600239P	P 20040810
			WO 2005-US28357	W 20050809

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:254119; MARPAT 144:254119

AB Thiazolidinones and related heterocyclic compds. (shown as I; variables defined below; e.g. 3-[[3-benzyl-5-[(N-methyl-N-(phenyl)amino)methylene]-4-oxothiazolidin-2-ylidene]amino]-4-ethylaminobenzonitrile (shown as II)), compns. and methods for modulating the activity of receptors are provided. In particular,

compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥1 symptoms of the disease or disorder directly or indirectly related to the activity of the receptors. For I: bond a is a single or double bond; X1 is NR6, O or S(O)t (t = 0-2); X2 is S or O; R1 is (un)substituted alkyl, alkenyl or alkynyl; or R1 is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; or R1 is -R9N(R11)(R12), -R9C(J)R13, -R9C(J)OR10, -R9C(J)N(R11)(R12), -R9N(R10)C(J)R13, -R9N(R10)C(J)OR10, -R9S(O)tR15 or -R9N(R10)C(J)N(R11)(R12). R2 is (un)substituted alkyl, alkenyl, alkynyl; or R2 is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl or aryl; or R2 is -R9C(J)R13, -R9C(J)OR10, -R9C(J)N(R11)(R12), -R9C(J)N(R10)N(R11)(R12), -R9N(R10)C(J)R13, -R9N(R10)C(J)OR10, -R9N(R10)C(J)N(R11)(R12), -R9N(R11)(R12) or -R9S(O)tR15; R3, R4 and R5 = (un)substituted alkyl, alkenyl or alkynyl; or R3, R4 and R5 = (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R3, R4 and R5 = H, halo, -N(R7)(R8), -N(R10)C(J)R13, -N(R10)C(J)OR10, -R9C(J)R13, -N(R10)C(J)N(R11)(R12) and -N(R10)S(O)tR15; each J = O or S; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for >10 examples of I are included. For example, II was prepared in 5 steps (82, 100, 93, 87, 58, resp.) starting with substitution of 4-fluoro-3-nitrobenzonitrile by ethylamine to give 4-ethylamino-3-nitrobenzonitrile, which was reduced to 3-amino-4-ethylaminobenzonitrile, which was added to benzyl isothiocyanate to give 1-benzyl-3-(5-cyano-2-ethylaminophenyl)thiourea, which was cyclocondensed with Et chloroacetate to give 3-[(3-benzyl-4-oxothiazolidin-2-ylidene)amino]-4-ethylaminobenzonitrile, which was condensed with tri-Me orthoformate and N-methylaniline to give II.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 17 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN  
ACCESSION NUMBER: 2006:332235 HCPLUS Full-text  
DOCUMENT NUMBER: 144:350539  
TITLE: Preparation of pyrrolecarboxamide derivatives as mineralocorticoid receptor antagonists for use against cancer and other disorders  
INVENTOR(S): Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa Esther; Flatt, Brenton T.; Forsyth, Timothy Patrick; Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann, Grace; Martin, Richard; Mohan, Raju ; Murphy, Brett; Nyman, Michael Charles; Stevens, William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason H.  
PATENT ASSIGNEE(S): Exelixis, Inc., USA  
SOURCE: PCT Int. Appl., 477 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012642	A2	20060202	WO 2005-US26916	20050730
WO 2006012642	A3	20060727		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,				

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
AU 2005266890	A1 20060202	AU 2005-266890	20050730
CA 2573426	A1 20060202	CA 2005-2573426	20050730
EP 1773768	A2 20070418	EP 2005-803281	20050730
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101006052	A 20070725	CN 2005-80026842	20050730
BR 2005013677	A 20071127	BR 2005-13677	20050730
JP 2008508308	T 20080321	JP 2007-523832	20050730
SG 155188	A1 20090930	SG 2009-5207	20050730
ZA 2007000352	A 20090527	ZA 2007-352	20070112
IN 2007DN00605	A 20070817	IN 2007-DN605	20070123
MX 2007001201	A 20080828	MX 2007-1201	20070129
NO 2007000910	A 20070426	NO 2007-910	20070216
KR 2007045283	A 20070502	KR 2007-704302	20070223
US 20080234270	A1 20080925	US 2007-572962	20071203
JP 2010077166	A 20100408	JP 2010-7511	20100115
PRIORITY APPLN. INFO.:			
		US 2004-592439P	P 20040730
		US 2004-592469P	P 20040730
		JP 2007-523832	A3 20050730
		WO 2005-US26916	W 20050730

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 144:350539

AB Pyrrolecarboxamide derivs. (shown as I; other Markush structures for pyrrolecarboxamides are defined in the claims; variables defined below; e.g. 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid N-[4-(sulfamoyl)phenyl]amide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquant. IC<sub>50</sub> values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For I: R<sub>1</sub> and R<sub>2</sub> = H, halo, cyano, or (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl, or -OR<sub>9</sub>, -SR<sub>9</sub>, -N(R<sub>9</sub>)<sub>2</sub>, -C(O)OR<sub>9</sub> or -C(O)N(R<sub>9</sub>)<sub>2</sub>; R<sub>3</sub> = H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or (un)substituted alkynyl; R<sub>4</sub> is H, -C(O)R<sub>9</sub>, -S(O)<sub>2</sub>R<sub>9</sub>, or (un)substituted alkyl, alkenyl or alkynyl, or R<sub>4</sub> is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R<sub>6</sub> is H or (un)substituted alkyl; R<sub>7</sub> is (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for many examples of I are included. For example, II was prepared in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with preparation of 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by preparation of the following intermediates: 1-(4-fluoro-2-trifluoromethylphenyl)-2,5-dimethyl-1H-pyrrole-3-carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carbonyl chloride and finally amide formation with

sulfanilamide.

OS.CITING REF COUNT: 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

L72 ANSWER 18 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:476293  
 TITLE: Substituted pyrimidine compositions and methods using them for the treatment of NGFI-B-related diseases  
 INVENTOR(S): Martin, Richard; Mohan, Raju;  
 Ordentlich, Peter  
 PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109
WO 2005047268	A3	20050721		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070293464	A1	20071220	US 2007-595734	20070522
PRIORITY APPLN. INFO.:			US 2003-519030P	P 20031110
			WO 2004-US37642	W 20041109

#### ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:476293

AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 19 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2005:371199 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:430010  
 TITLE: Preparation of diphenylmethane derivatives as vitamin D receptor modulators  
 INVENTOR(S): Flatt, Brenton T.; Martin, Richard;  
 Mohan, Raju; Murphy, Brett  
 PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 116 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037755	A2	20050428	WO 2004-US33666	20041013
WO 2005037755	A3	20050818		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004282162	A1	20050428	AU 2004-282162	20041013
CA 2542650	A1	20050428	CA 2004-2542650	20041013
EP 1675812	A2	20060705	EP 2004-794900	20041013
EP 1675812	B1	20100120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007509847	T	20070419	JP 2006-535596	20041013
US 20070225377	A1	20070927	US 2004-576228	20041013
AT 455749	T	20100215	AT 2004-794900	20041013
PRIORITY APPLN. INFO.::			US 2003-511457P	P 20031014
			WO 2004-US33666	W 20041013

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:430010; MARPAT 142:430010

AB Title compds. I [R1, R2 = halo, haloalkyl, pseudohalo, etc.; R3, R4 = H, alkyl, alkenyl, etc.; R5, R6, R7, R8, R9, R10 = H, halo, hydroxy, etc.; X = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.] and their pharmaceutically acceptable salts were prepared For example, reaction of 4-{1-ethyl-1-[4-(3-hydroxy-3-methylbutyl)-3- methylphenyl]propyl}-2-methylphenol, e.g., prepared from o-cresol in 6 steps, with (S)-glycidol afforded compound II in 47% yield. In assays to determine vitamin D receptor (VDR) agonist activity, compound II possessed the EC50 value of <10  $\mu$ M. Compds. I are claimed useful for the treatment of Alzheimer's disease, cancer, etc. OS.CITING REF COUNT: 2

THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 20 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:220132 HCPLUS Full-text

DOCUMENT NUMBER: 142:298092

TITLE: Preparation of azepino[4,5-b]indole derivatives as modulators of nuclear receptors

INVENTOR(S): Busch, Brett; Flatt, Brenton T.; Gu, Xiao-Hui;  
Martin, Richard; Mohan, Raju; Wang, Tie-Lin; Wu, Jason H.

PATENT ASSIGNEE(S): X-Ceptor Therapeutics Inc., USA; Exelixis, Inc.

SOURCE: U.S. Pat. Appl. Publ., 106 pp., Cont.-in-part of U.S. Ser. No. 447,302.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050054634	A1	20050310	US 2003-895431	20031202
US 7595311	B2	20090929		
US 20040023947	A1	20040205	US 2003-447302	20030527
US 7485634	B2	20090203		
AU 2004297198	A1	20050623	AU 2004-297198	20041201
CA 2555279	A1	20050623	CA 2004-2555279	20041201
WO 2005056554	A2	20050623	WO 2004-US40352	20041201
WO 2005056554	A3	20050818		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1692136	A2	20060823	EP 2004-812795	20041201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1914207	A	20070214	CN 2004-80041235	20041201
BR 2004017260	A	20070306	BR 2004-17260	20041201
JP 2007513168	T	20070524	JP 2006-542742	20041201
NZ 548179	A	20091127	NZ 2004-548179	20041201
ZA 2006004352	A	20081231	ZA 2006-4352	20060529
MX 2006006140	A	20061110	MX 2006-6140	20060531
IN 2006KN01497	A	20070504	IN 2006-KN1497	20060601
KR 2006124662	A	20061205	KR 2006-713217	20060630
NO 2006003080	A	20060823	NO 2006-3080	20060703
US 20090326218	A1	20091231	US 2009-362269	20090129
US 20100173824	A1	20100708	US 2009-535453	20090804
PRIORITY APPLN. INFO.:			US 2002-383574P	P 20020524
			US 2003-447302	A2 20030527
			US 2003-895431	A 20031202
			WO 2004-US40352	W 20041201

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:298092; MARPAT 142:298092

AB The title compds. (I) [R1 = -C(J)OR14, -C(J)SR14, (un)substituted -C(J)NH2; J = O, S, (un)substituted NH; R2 = H, halo, (un)substituted alkyl; R3 = -C(O)R9; R4, R5, R6 and R7 are together selected from (a), (b), etc. below: (a) R4, R5 = H or halo and R6, R7 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; or R6 and R7, together with the carbon atom to which they are attached, form each (un)substituted cycloalkyl, heterocyclyl, cycloalkenyl, alkylidene, cycloalkylidene, heterocyclidene, aralkylidene or substituted heteroaralkylidene; (b) R4, R5 = halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, heteroaryl, or heteroaralkyl, etc.; or R4 and R5, together with the carbon atom to which they are attached, form (un)substituted cycloalkyl, heterocyclyl, cycloalkenyl, alkylidene, cycloalkylidene, heterocyclidene, aralkylidene or heteroaralkylidene, and R6, R7 = H or halo; R8a, R8b, R8c, R8d = H, halo, pseudohalo, cyano, azido, amidino, guanidino, each (un)substituted alkyl, alkenyl,

alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, etc.; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, etc.] are prepared. These compds. modulate nuclear receptors, in particular farnesoid X receptor and are agonists, partial agonists, inverse agonists, partial antagonists, or antagonists of farnesoid X receptor. They are useful for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the above receptors, including hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, dyslipidemia, lipodystrophy, atherosclerosis, atherosclerotic disease, atherosclerotic disease events, atherosclerotic cardiovascular disease, Syndrome X, diabetes mellitus, type II diabetes, insulin insensitivity, hyperglycemia, cholestasis and obesity. Thus, to a solution of Et 1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate (52 mg, 0.2 mmol) in CH<sub>2</sub>C<sub>12</sub> was added 4-fluorobenzoyl chloride (36 μL, 0.2 mmol) and TEA (56 μL, 0.4 mmol) and the mixture was shaken overnight at 20°, treated with Trisamine resin (50 mg), and shaken for 2 h at 20°. The resin was removed by filtration through a Florisil cartridge. Evaporation of solvent gave a crude product, which was purified by trituration with methanol to give Et 3-(4-fluorobenzoyl)-1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate. Et 3-(3,4-difluorobenzoyl)-1-methyl-1,2,3,6-tetrahydroazepino[4,5-b]indole-5-carboxylate was administered daily by oral gage for 7 days to young adult male mice. Plasma total cholesterol and triglyceride levels were significantly lowered. OS.CITING REF COUNT: 7

THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD

(8 CITINGS)

L72 ANSWER 21 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:191522 HCAPLUS Full-text

TITLE: SAR of highly potent full-range modulators of the farnesoid X receptor

AUTHOR(S): Flatt, Brenton T.; Kahl, Jeffrey D.; Busch, Brett B.; Boman, Erik; Liu, Amy; Ordentlich, Peter; Yan, Grace; Mohan, Raju; Martin, Richard

CORPORATE SOURCE: Department of Chemistry, Exelixis, Inc, San Diego, CA, 92121, USA

SOURCE: Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005), MEDI-189. American Chemical Society: Washington, D. C.

CODEN: 69GQMP

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB The farnesoid X receptor (FXR) is a nuclear receptor expressed in tissues exposed to high concns. of bile acids such as the liver, kidney and intestine and functions as a bile acid sensor. FXR regulates the expression of various transport proteins and biosynthetic enzymes crucial to the physiol. maintenance of lipids, cholesterol and bile acid homeostasis. Regulation of FXR through small-mol. drugs represents a promising therapy for diseases resulting from lipid, cholesterol and bile acid abnormalities. We identified a series of novel small mol. heterocycles by high throughput screening and optimized these leads into potent and efficacious FXR modulators that display a range of efficacies in FXR-functional cell based assays from full agonists to partial agonists and full antagonists.

L72 ANSWER 22 OF 30 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:1006962 HCAPLUS Full-text

DOCUMENT NUMBER: 140:59652

TITLE: Preparation of fused-ring pyrimidin-4(3H)-one derivatives as LXR modulators

INVENTOR(S): Kaneko, Satoru; Watanabe, Tsuyoshi; Oda, Kozo;  
 Mohan, Raju; Schweiger, Edwin J.; Martin, Richard

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan; X-Ceptor Therapeutics, Inc.

SOURCE: PCT Int. Appl., 465 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106435	A1	20031224	WO 2003-JP7677	20030617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003238157	A1	20031231	AU 2003-238157	20030617
PRIORITY APPLN. INFO.:			US 2002-389662P	P 20020618
			WO 2003-JP7677	W 20030617

OTHER SOURCE(S): MARPAT 140:59652

AB The title compds. [I; A = aryl or heteroaryl; R1-R3 = H, OH, NO<sub>2</sub>, CN, etc.; or R1 and R2 together = alkylenedioxy; R4, R5 = H, OH, NH<sub>2</sub>, halo, etc.; X = H, OH, halo, alkoxy, haloalkoxy; Y = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, cycloalkylalkyl, heterocyclylalkyl or aralkyl] which can modulate LXR function and as a result show excellent anti-arteriosclerotic and anti-inflammatory activity, were prepared and formulated. Thus, reacting anthranilic acid with phenylacetic acid in the presence of PPh<sub>3</sub> in pyridine followed by addition of 2-(4-aminophenyl)-1,1,1,3,3-hexafluoro-2-propanol afforded 76% 2-benzyl-3-{4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl}-4(3H)-quinazolinone. The compds. I showed excellent binding affinity against LXR (biol. data were given).

OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD  
 (10 CITINGS)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 23 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:294270 HCPLUS Full-text  
 DOCUMENT NUMBER: 136:305229  
 TITLE: Raspberry Bushy Dwarf Virus coat and movement protein variants and their use in conferring resistance to transgenic plants  
 INVENTOR(S): Martin, Robert R.; Mathews, Helena; Keller, Karen; Kellogg, Jill A.; Wagner, Ry Exelixis, Inc., USA  
 PATENT ASSIGNEE(S):  
 SOURCE: U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of U.S. Ser. No. 737,719.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020046417	A1	20020418	US 2001-784508	20010214
US 6548742	B2	20030415		
US 20030188338	A1	20031002	US 2003-389177	20030313
PRIORITY APPLN. INFO.:			US 1999-171018P	P 19991215
			US 2000-737719	A2 20001215
			US 2001-784508	A3 20010214

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention relates to isolated Raspberry Bushy Dwarf Virus (RBDV) nucleic acid sequences which encode RBDV coat and movement proteins or polypeptides and movement protein variants. The invention further relates to heterologous nucleic acid constructs, vectors, transformation methods, plant cells and plants comprising such RBDV-encoding nucleic acids. Methods for inducing resistance to RBDV by transforming plants with a nucleic acid construct encoding RBDV protein or polypeptide-encoding nucleic acid sequences are provided.

L72 ANSWER 24 OF 30 HCPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:453103 HCPLUS Full-text

DOCUMENT NUMBER: 135:56926

TITLE: Isolation of Raspberry Bushy Dwarf Virus coat and movement protein genes and their use to develop resistant transgenic plants

INVENTOR(S): Martin, Robert R.; Mathews, Helena; Keller, Karen; Kellogg, Jill A.; Wagner, Ry

PATENT ASSIGNEE(S): Excelixis Plant Sciences, Inc., USA; U.S. Department of Agriculture

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044285	A2	20010621	WO 2000-US34188	20001215
WO 2001044285	A3	20020124		

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: US 1999-171018P P 19991215

AB The present invention relates to isolated Raspberry Bushy Dwarf Virus (RBDV) genes which encode RBDV coat and movement proteins or polypeptides and mutant or modified forms thereof. The invention further relates to heterologous nucleic acid constructs, vectors, transformation methods, plant cells and plants comprising such RBDV-encoding nucleic acids and methods for inducing resistance to RBDV by transforming plants with a nucleic acid construct comprising RBDV protein or polypeptide-encoding nucleic acid sequences.

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L72 ANSWER 25 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN

ACCESSION NUMBER: 2009:599356 BIOSIS Full-text

DOCUMENT NUMBER: PREV200900600459

TITLE: Azepinoindole derivatives as pharmaceutical agents.  
 AUTHOR(S): Busch, Brett [Inventor]; Anonymous; Flatt, Brenton T. [Inventor]; Gu, Xiao-Hui [Inventor]; Martin, Richard [Inventor]; Mohan, Raju [Inventor]; Wang, Tie-Lin [Inventor]; Wu, Jason H. [Inventor]  
 CORPORATE SOURCE: San Diego, CA USA  
 ASSIGNEE: Exelixis Inc  
 PATENT INFORMATION: US 07595311 20090929  
 SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (SEP 29 2009)  
 CODEN: OGUPE7. ISSN: 0098-1133.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 28 Oct 2009  
                   Last Updated on STN: 28 Oct 2009

**AB** Compounds, compositions and methods for modulating the activity of receptors are provided. In particular, compounds and compositions are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the receptors.

L72 ANSWER 26 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2009:217429 BIOSIS [Full-text](#)  
 DOCUMENT NUMBER: PREV200900217429  
 TITLE: Azepinoindole and pyridoindole derivatives as pharmaceutical agents.  
 AUTHOR(S): Martin, Richard [Inventor]; Anonymous; Wang, Tie-Lin [Inventor]; Flatt, Brenton T. [Inventor]; Gu, Xiao-Hui [Inventor]; Griffith, Ronald [Inventor]  
 CORPORATE SOURCE: San Diego, CA USA  
 ASSIGNEE: Exelixis Inc  
 PATENT INFORMATION: US 07485634 20090203  
 SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (FEB 3 2009)  
 CODEN: OGUPE7. ISSN: 0098-1133.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 25 Mar 2009  
                   Last Updated on STN: 25 Mar 2009

**AB** Compounds, compositions and methods for modulating the activity of receptors are provided. In particular compounds and compositions are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of one or more symptoms of disease or disorder directly or indirectly related to the activity of the receptors.

L72 ANSWER 27 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN  
 ACCESSION NUMBER: 2010:390284 BIOSIS [Full-text](#)  
 DOCUMENT NUMBER: PREV201000390284  
 TITLE: MEDI 181-Indoleazepines as a new class of nonsteroidal agonists of the farnesoid X receptor: Identification of WAY-362450 (FXR-450) as a clinical candidate for the treatment of dyslipidemia.  
 AUTHOR(S): Mahaney, Paige E. [Reprint Author]; Harnish, Douglas C.; Abou-Gharbia, Magid A.; Bischoff, Eric; Borges-Marcucci, Lisa; Evans, Mark J.; Flatt, Brenton T.; Gantan, Elizabeth; Gardel, Stephen J.; Gu, Xiao-Hui; Lai, KehDeh; Magolda,

CORPORATE SOURCE: Ronald L.; Martin, Richard; Mohan, Raju  
 ; Ordentlich, Peter; Schulman, Ira; Unwalla,  
 Rayomand J.; Vlasuk, George P.; Wang, Shuguang; Wang,  
 Tie-Lin; Westin, Stefan; Wrobel, Jay E.; Xu, Weixin; Yan,  
 Grace; Zhang, Songwen  
 Wyeth Res, Dept Chem and Screening Sci, Collegeville, PA  
 19426 USA  
 mahanep@wyeth.com; bflatt@exelixis.com;  
 rmohan@exelixis.com

SOURCE: Abstracts of Papers American Chemical Society, (APR 6 2008)  
 Vol. 235, pp. 181-MEDI.  
 Meeting Info.: 235th American-Chemical-Society National  
 Meeting. New Orleans, LA, USA. April 06 -10, 2008. Amer  
 Chem Soc.

DOCUMENT TYPE: CODEN: ACSRAL. ISSN: 0065-7727.  
 Conference; (Meeting)

LANGUAGE: Conference; Abstract; (Meeting Abstract)  
 English

ENTRY DATE: Entered STN: 7 Jul 2010  
 Last Updated on STN: 7 Jul 2010

L72 ANSWER 28 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2008:393068 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200800393067  
 TITLE: Isoquinolinone derivatives and their use as therapeutic  
agents.  
 AUTHOR(S): Anonymous; Johnson, Alan T. [Inventor]; Kaneko, Satoru  
[Inventor]; Mohan, Raju [Inventor]; Oda, Kozo  
[Inventor]; Schweiger, Edwin J. [Inventor]  
 CORPORATE SOURCE: Poway, CA USA  
 ASSIGNEE: Exelixis Inc  
 PATENT INFORMATION: US 07265131 20070904  
 SOURCE: Official Gazette of the United States Patent and Trademark  
Office Patents, (SEP 4 2007)  
 CODEN: OGUPF7. ISSN: 0098-1133.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 ENTRY DATE: Entered STN: 16 Jul 2008  
 Last Updated on STN: 16 Jul 2008

AB Compounds of formula (I): wherein n, R-1, R-2, R(3 )and R(7 )are disclosed  
herein, are useful in treating disease-states associated with nuclear receptor  
activity. Pharmaceutical compositions comprising and methods of using said  
compounds are also disclosed herein.

L72 ANSWER 29 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on  
STN

ACCESSION NUMBER: 2006:280493 BIOSIS Full-text  
 DOCUMENT NUMBER: PREV200600279166  
 TITLE: Sar of highly potent full-range modulators of the farnesoid  
X receptor.  
 AUTHOR(S): Flatt, Brenton T. [Reprint Author]; Kahl, Jeffrey D.;  
 Busch, Brett B.; Boman, Erik; Liu, Amy; Ordentlich,  
 Peter; Yan, Grace; Mohan, Raju; Martin,  
 Richard  
 CORPORATE SOURCE: Exelixis Inc, Dept Chem, San Diego, CA 92121 USA  
 bflatt@exelixis.com

SOURCE: Abstracts of Papers American Chemical Society, (MAR 13  
2005) Vol. 229, No. Part 2, pp. U142-U143.

Meeting Info.: 229th National Meeting of the American-Chemical-Society. San Diego, CA, USA. March 13 -17, 2005. Amer Chem Soc.

CODEN: ACSRAL. ISSN: 0065-7727.

DOCUMENT TYPE: Conference; (Meeting)  
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 24 May 2006  
Last Updated on STN: 24 May 2006

L72 ANSWER 30 OF 30 BIOSIS COPYRIGHT (c) 2010 The Thomson Corporation on STN

ACCESSION NUMBER: 2003:237426 BIOSIS Full-text

DOCUMENT NUMBER: PREV200300237426

TITLE: Development of resistance to raspberry bushy dwarf virus.

AUTHOR(S): Martin, Robert R. [Inventor, Reprint Author];  
Mathews, Helena [Inventor]; Keller, Karen [Inventor];  
Kellogg, Jill A. [Inventor]; Wagner, Ry [Inventor]

CORPORATE SOURCE: Corvallis, OR, USA

ASSIGNEE: Exelixis, Inc.; The United States of America  
as represented by the Secretary of Agriculture

PATENT INFORMATION: US 6548742 20030415

SOURCE: Official Gazette of the United States Patent and Trademark  
Office Patents, (Apr 15 2003) Vol. 1269, No. 3.  
<http://www.uspto.gov/web/menu/patdata.html>. e-file.

ISSN: 0098-1133 (ISSN print).

DOCUMENT TYPE: Patent

LANGUAGE: English

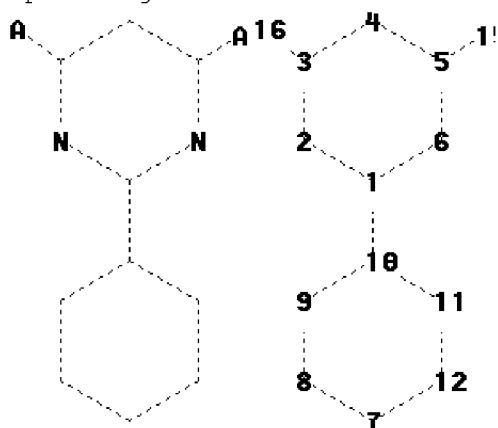
ENTRY DATE: Entered STN: 14 May 2003

Last Updated on STN: 14 May 2003

AB The present invention relates to isolated Raspberry Bushy Dwarf Virus (RBDV) nucleic acid sequences which encode RBDV coat and movement proteins or polypeptides and mutant or modified forms thereof. The invention further relates to heterologous nucleic acid constructs, vectors, transformation methods, plant cells and plants comprising such RBDV-encoding nucleic acids and methods for inducing resistance to RBDV by transforming plants with a nucleic acid construct comprising RBDV protein or polypeptide-encoding nucleic acid sequences.

Structures uploaded into STN REGISTRY

Uploading L1.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

15 16

chain bonds :

1-10 3-16 5-15

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-2 1-6 1-10 2-3 3-4 3-16 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

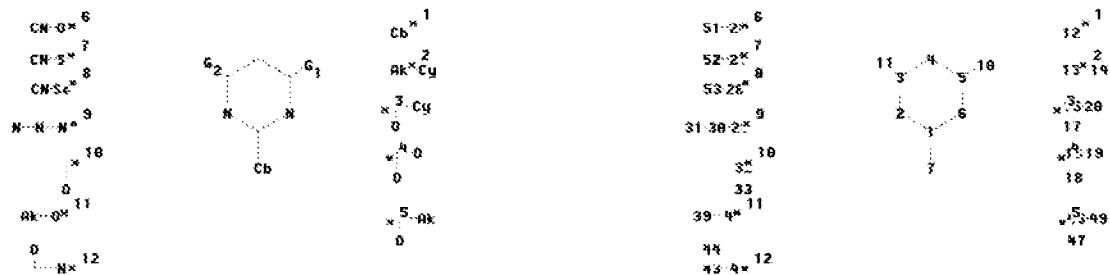
Connectivity :

2:2 E exact RC ring/chain 6:2 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 15:CLASS 16:CLASS

Uploading L17.str



chain nodes :

7 10 11 12 13 14 15 16 17 18 19 20 26 27 28 29 30 31 32 33 39  
40 42 43 44 46 47 49 51 52 53

ring nodes :

1 2 3 4 5 6

chain bonds :

1-7 3-11 5-10 13-14 15-17 15-20 16-18 16-19 26-51 27-52 28-53 29-30 30-31

32-33 39-40 42-43 43-44 46-47 46-49

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-7 2-3 3-4 3-11 4-5 5-6 5-10 13-14 15-17 15-20 16-18 16-19  
26-51 27-52 28-53 29-30 30-31 32-33 39-40 42-43 43-44 46-47 46-49

isolated ring systems :

containing 1 :

G1:O,S,N,[\*1],[\*2],[\*3],[\*4],[\*5]

G2:S,OH,SH,CN,NO2,Cy,Ak,[\*6],[\*7],[\*8],[\*9],[\*10],[\*11],[\*12]

Connectivity :

2:2 E exact RC ring/chain 6:2 E exact RC ring/chain 7:2 E exact RC ring/chain  
17:1 E exact RC ring/chain 18:1 E exact RC ring/chain 33:1 E exact RC ring/chain

44:1 E exact RC

ring/chain 47:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 10:CLASS 11:CLASS 12:Atom  
13:CLASS 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:Atom  
26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS  
42:CLASS 43:CLASS 44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS

Generic attributes :

7:

Saturation : Unsaturated

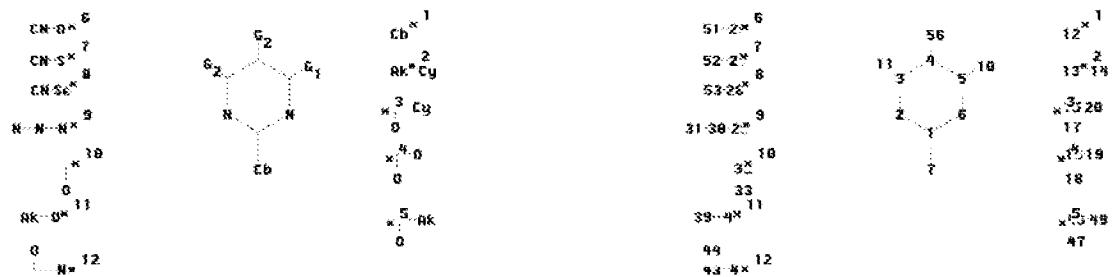
Number of Carbon Atoms : less than 7

Type of Ring System : Monocyclic

14:

Saturation : Unsaturated

Uploading L27.str



chain nodes :

7	10	11	12	13	14	15	16	17	18	19	20	26	27	28	29	30	31	32	33	39
40	42	43	44	46	47	49	51	52	53	56										

ring nodes :

1 2 3 4 5 6

chain bonds :

1-7	3-11	4-56	5-10	13-14	15-17	15-20	16-18	16-19	26-51	27-52	28-53	29-	30
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30-31 32-33 39-40 42-43 43-44 46-47 46-49

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2	1-6	1-7	2-3	3-4	3-11	4-5	4-56	5-6	5-10	13-14	15-17	15-20	16-18
16-19	26-51	27-52	28-53	29-30	30-31	32-33	39-40	42-43	43-44	46-47	46-49		

isolated ring systems :

containing 1 :

G1:O,S,N,[\*1],[\*2],[\*3],[\*4],[\*5]

G2:S,OH,SH,CN,NO2,Cy,Ak,[\*6],[\*7],[\*8],[\*9],[\*10],[\*11],[\*12]

Connectivity :

2:2	E exact RC ring/chain	6:2	E exact RC ring/chain	7:2	E exact RC ring/chain
17:1	E exact RC ring/chain	18:1	E exact RC ring/chain	33:1	E exact RC ring/chain
44:1	E exact RC				

ring/chain 47:1 E exact RC ring/chain

Match level :

1:Atom	2:Atom	3:Atom	4:Atom	5:Atom	6:Atom	7:Atom	10:CLASS	11:CLASS	12:Atom
13:CLASS	14:Atom	15:CLASS	16:CLASS	17:CLASS	18:CLASS	19:CLASS	20:Atom		

26:CLASS 27:CLASS

28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 39:CLASS 40:CLASS

42:CLASS 43:CLASS

44:CLASS 46:CLASS 47:CLASS 49:CLASS 51:CLASS 52:CLASS 53:CLASS 56:CLASS

Generic attributes :

7:

Saturation : Unsaturated  
Number of Carbon Atoms : less than 7  
Type of Ring System : Monocyclic  
14:  
Saturation : Unsaturated

Full search history

=> d his full

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FILE 'REGISTRY' ENTERED AT 11:06:59 ON 12 AUG 2010  
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L3 33380 SEA SSS FUL L1  
SAVE TEMP L3 JAI734STL1/A

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D SCAN

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D L4  
D SCAN  
SEL RN

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23288-49-5/BI OR 25812-30-0/BI OR 299406-55-6/BI OR 300359-06-2  
/BI OR 300359-07-3/BI OR 300359-08-4/BI OR 300719-05-5/BI OR  
300837-31-4/BI OR 303147-11-7/BI OR 303147-12-8/BI OR 303147-40  
-2/BI OR 303147-41-3/BI OR 303147-45-7/BI OR 306980-56-3/BI OR  
306980-58-5/BI OR 307332-77-0/BI OR 307332-78-1/BI OR 312499-77  
-7/BI OR 312626-14-5/BI OR 312626-15-6/BI OR 315194-30-0/BI OR  
320418-43-7/BI OR 320418-48-2/BI OR 320418-49-3/BI OR 320421-36  
-1/BI OR 329077-80-7/BI OR 329900-75-6/BI OR 329967-85-3/BI OR  
330221-00-6/BI OR 330819-79-9/BI OR 330981-36-7/BI OR 330981-37  
-8/BI OR 330981-38-9/BI OR 330981-39-0/BI OR 330981-41-4/BI OR  
330981-42-5/BI OR 330981-45-8/BI OR 330981-47-0/BI OR 330981-49  
-2/BI OR 330981-52-7/BI OR 330981-53-8/BI OR 330981-54-9/BI OR  
330981-55-0/BI OR 330981-59-4/BI OR 330981-60-7/BI OR 330981-61  
-8/BI OR 330981-63-0/BI OR 330981-64-1/BI OR 330981-65-2/BI OR  
330981-70-9/BI OR 330993-01-6/BI OR 330993-02-7/BI OR 331648-43  
-2/BI OR 331648-44-3/BI OR 331848-81-8/BI OR 331971-30-3/BI OR  
332374-83-1/BI OR 333415-58-0/BI OR 337488-96-7/BI OR 338395-36  
-1/BI OR 338960-71-7/BI OR 338960-72-8/BI OR 338960-73-9/BI OR  
338960-74-0/BI OR 338960-75-1/BI OR 338960-76-2/BI OR 338960-93  
-3/BI OR 338960-99-9/BI OR 338967-63-8/BI OR 339279-05-9/BI OR  
339279-06-0/BI OR 339279-07-1/BI OR 339279-08-2/BI OR 339279-21  
-9/BI OR 339279-27-5/BI OR 371199-20-1/BI OR 371199-57-4/BI OR  
380472-88-8/BI OR 380571-66-4/BI OR 381683-04-1/BI OR 383146-83  
-6/BI OR 415699-44-4/BI OR 41859-67-0/BI OR 419548-22-4/BI OR  
420104-18-3/BI OR 477710-02-4/BI OR 477886-15-0/BI OR 477886-16  
-1/BI OR 477886-19-4/BI OR 478031-54-8/BI OR 478031-59-3/BI OR  
L6 84 SEA SPE=ON ABB=ON PLU=ON L3 AND L5

FILE 'HCAPLUS' ENTERED AT 11:22:54 ON 12 AUG 2010  
L7 17 SEA SPE=ON ABB=ON PLU=ON L6  
L8 8 SEA SPE=ON ABB=ON PLU=ON L7 AND (AY<2007 OR PY<2007 OR  
PRY<2007 OR REVIEW/DT)

FILE 'STNGUIDE' ENTERED AT 11:23:41 ON 12 AUG 2010

FILE 'REGISTRY' ENTERED AT 11:36:06 ON 12 AUG 2010

L9 STRUCTURE uploaded  
D L9  
L10 0 SEA SUB=L3 SSS SAM L9  
L11 0 SEA SUB=L3 SSS FUL L9

FILE 'STNGUIDE' ENTERED AT 11:37:32 ON 12 AUG 2010

FILE 'REGISTRY' ENTERED AT 11:39:02 ON 12 AUG 2010

L12 STRUCTURE uploaded  
D L12  
L13 50 SEA SUB=L3 SSS SAM L12  
L14 22964 SEA SUB=L3 SSS FUL L12

FILE 'STNGUIDE' ENTERED AT 11:49:48 ON 12 AUG 2010

FILE 'REGISTRY' ENTERED AT 11:51:07 ON 12 AUG 2010

L15 STRUCTURE uploaded  
D L15  
L16 50 SEA SUB=L3 SSS SAM L15

FILE 'STNGUIDE' ENTERED AT 11:52:00 ON 12 AUG 2010

FILE 'REGISTRY' ENTERED AT 11:53:10 ON 12 AUG 2010

L17 STRUCTURE uploaded  
D L17  
L18 50 SEA SUB=L3 SSS SAM L17  
L19 11720 SEA SUB=L3 SSS FUL L17  
L20 27 SEA SPE=ON ABB=ON PLU=ON L19 AND L5  
D SCAN  
L21 3 SEA SPE=ON ABB=ON PLU=ON L19 AND ?CYANATO?/CNS  
D SCAN

FILE 'HCAPLUS' ENTERED AT 11:57:27 ON 12 AUG 2010

L22 717 SEA SPE=ON ABB=ON PLU=ON L19  
L23 598 SEA SPE=ON ABB=ON PLU=ON L22 AND (AY<2007 OR PY<2007 OR  
PRY<2007 OR REVIEW/DT)  
L24 184 SEA SPE=ON ABB=ON PLU=ON L23 AND (THU/RL OR DGN/RL OR  
DMA/RL OR PAC/RL OR PKT/RL)  
L25 2 SEA SPE=ON ABB=ON PLU=ON L24 AND L20  
L26 2 SEA SPE=ON ABB=ON PLU=ON L24 AND L6  
D L26 1-2 AU

FILE 'STNGUIDE' ENTERED AT 11:59:01 ON 12 AUG 2010

FILE 'REGISTRY' ENTERED AT 12:05:11 ON 12 AUG 2010

L27 STRUCTURE uploaded  
D L27  
L28 50 SEA SUB=L3 SSS SAM L27  
L29 3855 SEA SUB=L3 SSS FUL L27

FILE 'STNGUIDE' ENTERED AT 12:07:06 ON 12 AUG 2010

FILE 'REGISTRY' ENTERED AT 12:08:51 ON 12 AUG 2010

L30 STRUCTURE uploaded  
D L30  
L31 50 SEA SUB=L3 SSS SAM L30

L32           7345 SEA SUB=L3 SSS FUL L30  
L33            27 SEA SPE=ON ABB=ON PLU=ON L32 AND L5  
L34            0 SEA SPE=ON ABB=ON PLU=ON L29 AND L5

FILE 'HCAPLUS' ENTERED AT 12:11:33 ON 12 AUG 2010  
L35            71 SEA SPE=ON ABB=ON PLU=ON L24 AND L29  
L36            108 SEA SPE=ON ABB=ON PLU=ON L24 AND L32  
L37           158 SEA SPE=ON ABB=ON PLU=ON (L35 OR L36)  
E PHARMACEUTICALS/CT  
L38           83538 SEA SPE=ON ABB=ON PLU=ON PHARMACEUTICALS+NT, PFT/CT  
L39            2 SEA SPE=ON ABB=ON PLU=ON L37 AND L38  
D L39 1-2 AU

FILE 'REGISTRY' ENTERED AT 12:14:39 ON 12 AUG 2010  
L40            59 SEA SPE=ON ABB=ON PLU=ON L5 NOT L6  
L41           10086 SEA SPE=ON ABB=ON PLU=ON TUMOR NECROSIS FACTOR  
L42           12404 SEA SPE=ON ABB=ON PLU=ON COX1  
L43           4548 SEA SPE=ON ABB=ON PLU=ON COX2

FILE 'HCAPLUS' ENTERED AT 12:15:55 ON 12 AUG 2010  
L44            1 SEA SPE=ON ABB=ON PLU=ON L37 AND L6 AND L40  
D L44 1 AU  
L45            3 SEA SPE=ON ABB=ON PLU=ON L37 AND (L41 OR L42 OR L43)  
L46           46 SEA SPE=ON ABB=ON PLU=ON L37 AND (INFLAM? OR ANTINFLAM? OR  
ANTI(W)INFLAM? OR ANTIPYR? OR ANTI(W)PYRET?)  
L47            2 SEA SPE=ON ABB=ON PLU=ON (L36 OR L37) AND L6  
L48           48 SEA SPE=ON ABB=ON PLU=ON (L25 OR L26) OR L39 OR (L44 OR L45  
OR L46 OR L47)  
D L48 1-11 TI  
L49           46 SEA SPE=ON ABB=ON PLU=ON L48 AND ("TNF" OR "TNF ALPHA" OR  
TNF(W)ALPHA? OR "COX-1" OR "COX-2" OR INFLAMMAT? OR ANTI(W)(INF  
LAM? OR PYRET?))  
E NSAIDS/CT  
L50           5353 SEA SPE=ON ABB=ON PLU=ON NSAIDS+NT, PFT/CT  
L51            0 SEA SPE=ON ABB=ON PLU=ON L48 AND L50  
L52           ANALYZE PLU=ON L48 1-48 RN : 14183 TERMS  
D L52 1-22  
L53           39 SEA SPE=ON ABB=ON PLU=ON L48 AND (AY<2005 OR PY<2005 OR  
PRY<2005 OR REVIEW/DT)  
D L53 1-11 TI  
L54           2 SEA SPE=ON ABB=ON PLU=ON L6 AND L53  
L55           39 SEA SPE=ON ABB=ON PLU=ON (L53 OR L54)  
E MARTIN R?/AU  
L56           7664 SEA SPE=ON ABB=ON PLU=ON MARTIN R?/AU  
L57           849 SEA SPE=ON ABB=ON PLU=ON MOHAN R?/AU  
E ORDENTLICH P?/AU  
L58           36 SEA SPE=ON ABB=ON PLU=ON ORDENTLICH P?/AU  
L59           5 SEA SPE=ON ABB=ON PLU=ON L56 AND L57 AND L58  
L60           21 SEA SPE=ON ABB=ON PLU=ON L56 AND (L57 OR L58)  
L61           5 SEA SPE=ON ABB=ON PLU=ON L57 AND L58  
L62           18 SEA SPE=ON ABB=ON PLU=ON (L56 OR L57 OR L58) AND EXELIXIS?/C  
O,CS,PA,SO  
L63           22 SEA SPE=ON ABB=ON PLU=ON L59 OR L62  
L64           9 SEA SPE=ON ABB=ON PLU=ON (L59 OR L60 OR L61 OR L62 OR L63)  
AND ("TNF" OR "TNF ALPHA" OR TNF(W)ALPHA? OR "COX-1" OR  
"COX-2" OR INFLAMMAT? OR ANTI(W)(INFLAM? OR PYRET?))  
L65           24 SEA SPE=ON ABB=ON PLU=ON (L63 OR L64)

FILE 'MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 12:31:41 ON 12 AUG 2010  
L66           11 SEA SPE=ON ABB=ON PLU=ON L59

10/595,734

L67 13 SEA SPE=ON ABB=ON PLU=ON L62  
L68 0 SEA SPE=ON ABB=ON PLU=ON (L66 OR L67) AND ("TNF" OR "TNF  
ALPHA" OR TNF(W) ALPHA? OR "COX-1" OR "COX-2" OR INFLAMMAT? OR  
ANTI(W) (INFLAM? OR PYRET?))  
L69 22 SEA SPE=ON ABB=ON PLU=ON (L66 OR L67)

FILE 'HCAPLUS' ENTERED AT 12:33:34 ON 12 AUG 2010  
SAVE TEMP L55 JAI734HCST/A  
L70 126 SEA SPE=ON ABB=ON PLU=ON L37 AND (AY<2005 OR PY<2005 OR  
PRY<2005 OR REVIEW/DT)  
L71 126 SEA SPE=ON ABB=ON PLU=ON L70 AND (THU/RL OR DMA/RL OR  
PAC/RL OR PKT/RL)  
D L71 1-11 TI

FILE 'STNGUIDE' ENTERED AT 12:36:04 ON 12 AUG 2010  
D STAT QUERY L55

FILE 'HCAPLUS' ENTERED AT 13:45:20 ON 12 AUG 2010  
D L55 1-39 IBIB ED ABS HITRN HITSTR

FILE 'STNGUIDE' ENTERED AT 13:46:38 ON 12 AUG 2010  
D QUE L65  
D QUE L69

FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, DRUGU' ENTERED AT 13:47:20 ON 12  
AUG 2010  
L72 30 DUP REM L65 L69 (16 DUPLICATES REMOVED)  
ANSWERS '1-24' FROM FILE HCAPLUS  
ANSWERS '25-30' FROM FILE BIOSIS  
D L72 1-30 IBIB AB

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 11 AUG 2010 HIGHEST RN 1236030-08-2  
DICTIONARY FILE UPDATES: 11 AUG 2010 HIGHEST RN 1236030-08-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 8, 2010.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

FILE STNGUIDE  
FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Aug 6, 2010 (20100806/UP).

FILE HCAPLUS

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FILE COVERS 1907 - 12 Aug 2010 VOL 153 ISS 7  
FILE LAST UPDATED: 11 Aug 2010 (20100811/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

HCplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE MEDLINE

FILE LAST UPDATED: 11 Aug 2010 (20100811/UP). FILE COVERS 1947 TO DATE.

MEDLINE and LMEDLINE have been updated with the 2010 Medical Subject Headings (MeSH) vocabulary and tree numbers from the U.S. National Library of Medicine (NLM). Additional information is available at

[http://www.nlm.nih.gov/pubs/techbull/nd09/nd09\\_medline\\_data\\_changes\\_2010.html](http://www.nlm.nih.gov/pubs/techbull/nd09/nd09_medline_data_changes_2010.html)

The Medline file has been reloaded effective January 24, 2010. See HELP RLOAD for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

See HELP RANGE before carrying out any RANGE search.

FILE BIOSIS

FILE COVERS 1926 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNS) PRESENT FROM JANUARY 1926 TO DATE.

RECORDS LAST ADDED: 11 August 2010 (20100811/ED)

BIOSIS has been augmented with 1.8 million archival records from 1926 through 1968. These records have been re-indexed to match current BIOSIS indexing.

FILE EMBASE

FILE COVERAGE: EMBASE-originated material 1947 to 12 Aug 2010 (20100812/E Unique MEDLINE content 1948 to present

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

For further assistance, please contact your local helpdesk.

FILE DRUGU  
FILE LAST UPDATED: 4 AUG 2010 <20100804/UP>  
>>> DERWENT DRUG FILE (SUBSCRIBER) <<<  
  
>>> FILE COVERS 1983 TO DATE <<<  
>>> THESAURUS AVAILABLE IN /CT <<<